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LOGINID:SSPTAALF1626

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * Welcome to STN International * * * * *

NEWS 1 Web Page for STN Seminar Schedule - N. America
NEWS 2 NOV 21 CAS patent coverage to include exemplified prophetic
substances identified in English-, French-, German-,
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NEWS 3 NOV 26 MARPAT enhanced with FSORT command
NEWS 4 NOV 26 CHEMSAFE now available on STN Easy
NEWS 5 NOV 26 Two new SET commands increase convenience of STN
searching
NEWS 6 DEC 01 ChemPort single article sales feature unavailable
NEWS 7 DEC 12 GBFULL now offers single source for full-text
coverage of complete UK patent families
NEWS 8 DEC 17 Fifty-one pharmaceutical ingredients added to PS
NEWS 9 JAN 06 The retention policy for unread STNmail messages
will change in 2009 for STN-Columbus and STN-Tokyo
NEWS 10 JAN 07 WPIDS, WPINDEX, and WPIX enhanced Japanese Patent
Classification Data
NEWS 11 FEB 02 Simultaneous left and right truncation (SLART) added
for CERAB, COMPUAB, ELCOM, and SOLIDSTATEM
NEWS 12 FEB 02 GENBANK enhanced with SET PLURALS and SET SPELLING

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS LOGIN Welcome Banner and News Items
NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that
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of commercial gateways or other similar uses is prohibited and may
result in loss of user privileges and other penalties.

* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 09:19:08 ON 03 FEB 2009

=> file reg		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	0.22	0.22

FILE 'REGISTRY' ENTERED AT 09:19:19 ON 03 FEB 2009
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STRUCTURE FILE UPDATES: 1 FEB 2009 HIGHEST RN 1099320-21-4
DICTIONARY FILE UPDATES: 1 FEB 2009 HIGHEST RN 1099320-21-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

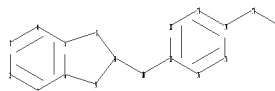
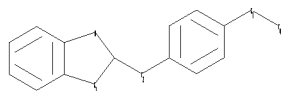
Please note that search-term pricing does apply when
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10573176A.str



chain nodes :
10 17 18
ring nodes :
1 2 3 4 5 6 7 8 9 11 12 13 14 15 16
chain bonds :
8-10 10-11 14-17 17-18
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 11-12 11-16 12-13 13-14 14-15
15-16
exact/norm bonds :
5-7 6-9 7-8 8-9 8-10 10-11 14-17 17-18
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 11-12 11-16 12-13 13-14 14-15 15-16

G1:O,S,N,Se,CH2

G2:CH2,NH

G3:O,S

G4:Cb,Hy,Ph

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:CLASS 18:CLASS

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR

/ Structure 437 in file .gra /

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full

FULL SEARCH INITIATED 09:20:03 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 108626 TO ITERATE

100.0% PROCESSED 108626 ITERATIONS

352 ANSWERS

SEARCH TIME: 00.00.02

L2 352 SEA SSS FUL L1

=> file capl

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

186.36

186.58

FILE 'CAPLUS' ENTERED AT 09:20:21 ON 03 FEB 2009

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FILE COVERS 1907 - 3 Feb 2009 VOL 150 ISS 6

FILE LAST UPDATED: 2 Feb 2009 (20090202/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

CAS Information Use Policies apply and are available at:

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This file contains CAS Registry Numbers for easy and accurate substance identification.

```
=> file capl
COST IN U.S. DOLLARS          SINCE FILE      TOTAL
                               ENTRY      SESSION
FULL ESTIMATED COST          0.07      187.15
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FILE 'CAPLUS' ENTERED AT 09:20:38 ON 03 FEB 2009
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FILE COVERS 1907 - 3 Feb 2009 VOL 150 ISS 6
FILE LAST UPDATED: 2 Feb 2009 (20090202/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

```
=> s 12
L3          25 L2

=> d 1-25 ibib hitstr
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L3  ANSWER 1 OF 25  CAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:    2008:1508519  CAPLUS <<LOGINID::20090203>>
DOCUMENT NUMBER:     150:56153
TITLE:               Benzimidazole derivatives and related compounds for
                      inhibiting KSP kinesin activity and their preparation
                      and use in the treatment of KSP-associated diseases
INVENTOR(S):         Shipps, Gerald W., Jr.; Ma, Yao; Lahue, Brian Robert;
                      Seghezzi, Wolfgang; Herbst, Ronald; Chuang, Cheng-Chi;
                      Annis, D. Allen; Kirtley, Matthew
PATENT ASSIGNEE(S):  Schering Corporation, USA
```

SOURCE: PCT Int. Appl., 319pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008153701	A1	20081218	WO 2008-US6472	20080521
W:	AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.: US 2007-939963P P 20070524

IT 1092829-76-9P 1092829-95-2P 1092830-04-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of benzimidazole derivs. and related compds. as KSP inhibitors useful in treatment of KSP-associated diseases)

RN 1092829-76-9 CAPLUS

CN 1H-Benzimidazole-5-carboxylic acid,
2-[(4-phenoxyphenyl)amino]-1-[[2-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

/ Structure 438 in file .gra /

RN 1092829-95-2 CAPLUS

CN 1H-Benzimidazole-5-carboxamide, 2-[[4-(1-piperidinylsulfonyl)phenyl]amino]-1-[[2-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

/ Structure 439 in file .gra /

RN 1092830-04-0 CAPLUS

CN 1H-Benzimidazole-5-carboxamide, 2-[[4-(1-azetidiny lsulfonyl)phenyl]amino]-1-[[2-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

/ Structure 440 in file .gra /

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:708755 CAPLUS <<LOGINID::20090203>>

DOCUMENT NUMBER: 149:53994

TITLE: Preparation of benzimidazoles and imidazopyridines having affinity for melanocortin (MC), in particular MC4₁ receptors

INVENTOR(S): Poitout, Lydie; Brault, Valerie; Sackur, Carole;

PATENT ASSIGNEE(S): Roubert, Pierre; Plas, Pascale
 Societe de Conseils de Recherches et d'Applications
 (S.C.R.A.S.), Fr.
 SOURCE: U.S. Pat. Appl. Publ., 204pp., Cont.-in-part of U.S.
 Ser. No. 504,033.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20080139619	A1	20080612	US 2008-12184	20080131
WO 2004075823	A2	20040910	WO 2004-FR418	20040225
WO 2004075823	A3	20041007		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
 CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
 GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
 LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI
 RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE,
 BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU,
 MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN,
 GQ, GW, ML, MR, NE, SN, TD, TG

US 20050065179	A1	20050324	US 2004-915920	20040811
US 20050267147	A1	20051201	US 2004-504033	20040928
US 7355052	B2	20080408		

PRIORITY APPLN. INFO.:
 WO 2004-FR418 W 20040225
 US 2004-915920 A3 20040811
 US 2004-504033 A2 20040928
 FR 2003-2320 A 20030226
 US 2003-504033 A2 20030920

OTHER SOURCE(S): MARPAT 149:53994

IT 848577-67-3P 848577-77-5P 848578-17-6P
 848578-27-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(preparation of benzimidazoles and imidazopyridines having affinity for
 melanocortin (MC), in particular MC4, receptors)

RN 848577-67-3 CAPLUS

CN 1H-Benzimidazole-6-carboxamide, N,N-bis(3-methylbutyl)-1-[3-(1-
 piperidinyl)propyl]-2-[[4-(1-piperidinylsulfonyl)phenyl]amino]- (CA INDEX
 NAME)

/ Structure 441 in file .gra /

RN 848577-77-5 CAPLUS

CN 1H-Benzimidazole-6-carboxamide, N,N-bis(3-methylbutyl)-2-[(4-
 phenoxyphenyl)amino]-1-[3-(1-piperidinyl)propyl]- (CA INDEX NAME)

/ Structure 442 in file .gra /

RN 848578-17-6 CAPLUS

CN 1H-Benzimidazole-6-carboxamide, N,N-bis(3-methylbutyl)-2-[(4-
 phenoxyphenyl)amino]-1-[3-(1-pyrrolidinyl)propyl]- (CA INDEX NAME)

/ Structure 443 in file .gra /

RN 848578-27-8 CAPLUS
CN 1H-Benzimidazole-6-carboxamide, N,N-dibutyl-2-[(4-phenoxyphenyl)amino]-1-[3-(1-piperidinyl)propyl]- (CA INDEX NAME)

/ Structure 444 in file .gra /

L3 ANSWER 3 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:1308092 CAPLUS <<LOGINID::20090203>>
DOCUMENT NUMBER: 147:541859
TITLE: Preparation of phenylaminobenzoxazole as antidiabetic agents
INVENTOR(S): Defossa, Elisabeth; Follmann, Markus; Klabunde, Thomas; Drosou, Viktoria; Hessler, Gerhard; Stengelin, Siegfried; Haschke, Guido; Herling, Andreas; Bartoschek, Stefan
PATENT ASSIGNEE(S): Sanofi-Aventis, Fr.
SOURCE: Ger. Offen., 23pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 102006021878	A1	20071115	DE 2006-102006021878	20060511
AU 2007250213	A1	20071122	AU 2007-250213	20070430
WO 2007131622	A1	20071122	WO 2007-EP3806	20070430
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
KR 2009006846	A	20090115	KR 2008-727644	20081111
PRIORITY APPLN. INFO.:			DE 2006-102006021878A	20060511
			WO 2007-EP3806	W 20070430

OTHER SOURCE(S): MARPAT 147:541859

IT 1054311-76-0 1054312-34-3

RL: PRPH (Prophetic)

(Preparation of phenylaminobenzoxazole as antidiabetic agents)

RN 1054311-76-0 CAPLUS

CN 5-Benzoxazolepropanoic acid, 2-[(4-phenoxyphenyl)amino]- (CA INDEX NAME)

/ Structure 445 in file .gra /

RN 1054312-34-3 CAPLUS

CN 5-Benzoxazolepropanoic acid, 2-[[4-(1-piperidinylsulfonyl)phenyl]amino]- (CA INDEX NAME)

/ Structure 446 in file .gra /

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:998153 CAPLUS <<LOGINID::20090203>>
DOCUMENT NUMBER: 147:344090
TITLE: Preparation of multi-cyclic compounds useful in
treatment of oncol. diseases related to kinase
activity
INVENTOR(S): Cee, Victor J.; Deak, Holly L.; Geuns-Meyer, Stephanie
D.; Hodous, Brian L.; Nguyen, Hanh Nho; Olivieri,
Philip R.; Patel, Vinod F.; Romero, Karina
PATENT ASSIGNEE(S): Amgen Inc., USA
SOURCE: PCT Int. Appl., 104pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007100646	A1	20070907	WO 2007-US4700	20070222
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
US 20070213325	A1	20070913	US 2007-709994	20070221
AU 2007221294	A1	20070907	AU 2007-221294	20070222
CA 2643177	A1	20070907	CA 2007-2643177	20070222
EP 1994030	A1	20081126	EP 2007-751460	20070222
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS			
PRIORITY APPLN. INFO.:			US 2006-776507P	P 20060224
			US 2007-709994	A 20070221
			WO 2007-US4700	W 20070222

OTHER SOURCE(S): CASREACT 147:344090; MARPAT 147:344090

IT 948562-79-6P 948562-83-2P 948562-84-3P
948562-85-4P 948562-87-6P 948562-88-7P
948562-89-8P 948562-90-1P 948562-91-2P
948562-92-3P 948562-93-4P 948562-94-5P
948562-95-6P 948562-96-7P 948562-97-8P
948562-98-9P 948562-99-0P 948563-00-6P
948563-01-7P 948563-02-8P 948563-05-1P
948563-06-2P 948563-07-3P 948563-09-5P
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948563-36-8P 948563-38-0P 948563-40-4P

948563-42-6P 948563-44-8P 948563-46-0P
948563-50-6P 948563-52-8P 948563-53-9P
948563-54-0P 948563-55-1P 948563-56-2P
948563-57-3P 948563-58-4P 948563-59-5P
948563-60-8P 948563-61-9P 948563-62-0P
948563-63-1P 948563-64-2P 948563-65-3P
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948563-69-7P 948563-70-0P 948563-71-1P
948563-72-2P 948563-73-3P 948563-74-4P
948563-75-5P 948563-76-6P 948563-77-7P
948563-78-8P 948563-79-9P 948563-80-2P
948563-81-3P 948563-82-4P 948563-83-5P
948563-84-6P 948563-86-8P 948563-88-0P
948563-90-4P 948563-92-6P 948563-93-7P
948563-94-8P 948563-95-9P 948563-96-0P
948563-97-1P 948563-98-2P 948563-99-3P
948564-01-0P 948564-02-1P 948564-03-2P
948564-04-3P 948564-05-4P 948564-06-5P
948564-07-6P 948564-08-7P 948564-09-8P
948564-10-1P 948564-11-2P 948564-12-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation of novel multicyclic compds. useful in treatment of oncol.
diseases related to kinase activity)

RN 948562-79-6 CAPLUS

CN 2-Benzoxazamine, N-[3-methyl-4-[[3-(4-pyrimidinyl)-2-
pyridinyl]oxy]phenyl]- (CA INDEX NAME)

/ Structure 447 in file .gra /

RN 948562-83-2 CAPLUS

CN 1H-Benzimidazol-2-amine, 5,6-difluoro-N-[4-[[3-[2-[[3-(4-methyl-1-
piperazinyl)propyl]amino]-4-pyrimidinyl]-2-pyridinyl]oxy]phenyl]- (CA
INDEX NAME)

/ Structure 448 in file .gra /

RN 948562-84-3 CAPLUS

CN 1H-Benzimidazol-2-amine, 5,6-difluoro-N-[4-[[3-[2-[[4-(4-methyl-1-
piperazinyl)phenyl]amino]-4-pyrimidinyl]-2-pyridinyl]oxy]phenyl]- (CA
INDEX NAME)

/ Structure 449 in file .gra /

RN 948562-85-4 CAPLUS

CN 1H-Benzimidazol-2-amine, 5,6-difluoro-N-[4-[[3-[2-(3-pyridinylamino)-4-
pyrimidinyl]-2-pyridinyl]oxy]phenyl]- (CA INDEX NAME)

/ Structure 450 in file .gra /

RN 948562-87-6 CAPLUS

CN 1H-Benzimidazol-2-amine, N-[4-[[3-[2-(methylamino)-4-pyrimidinyl]-2-
pyridinyl]oxy]-1-naphthalenyl]- (CA INDEX NAME)

/ Structure 451 in file .gra /

RN 948562-88-7 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[4-[[3-[2-(methylamino)-4-pyrimidinyl]-2-pyridinyl]oxy]-1-naphthalenyl]-6-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 452 in file .gra /

RN 948562-89-8 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[4-[[3-[4-(methylamino)-1,3,5-triazin-2-yl]-2-pyridinyl]oxy]-1-naphthalenyl]- (CA INDEX NAME)

/ Structure 453 in file .gra /

RN 948562-90-1 CAPLUS
 CN 2-Benzoxazolamine, N-[4-[[3-[2-(methylamino)-4-pyrimidinyl]-2-pyridinyl]oxy]-1-naphthalenyl]- (CA INDEX NAME)

/ Structure 454 in file .gra /

RN 948562-91-2 CAPLUS
 CN 2-Benzothiazolamine, N-[4-[[3-[2-(methylamino)-4-pyrimidinyl]-2-pyridinyl]oxy]-1-naphthalenyl]- (CA INDEX NAME)

/ Structure 455 in file .gra /

RN 948562-92-3 CAPLUS
 CN 1H-Benzimidazol-2-amine, 5,6-difluoro-N-[4-[[3-[2-(methylamino)-4-pyrimidinyl]-2-pyridinyl]oxy]-1-naphthalenyl]- (CA INDEX NAME)

/ Structure 456 in file .gra /

RN 948562-93-4 CAPLUS
 CN 1H-Benzimidazol-2-amine, 7-methyl-N-[4-[[3-[2-(methylamino)-4-pyrimidinyl]-2-pyridinyl]oxy]-1-naphthalenyl]- (CA INDEX NAME)

/ Structure 457 in file .gra /

RN 948562-94-5 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[4-[[3-[2-[[2-(4-morpholinyl)ethyl]amino]-4-pyrimidinyl]-2-pyridinyl]oxy]-1-naphthalenyl]- (CA INDEX NAME)

/ Structure 458 in file .gra /

RN 948562-95-6 CAPLUS
 CN 1H-Benzimidazol-2-amine, 6-chloro-5-fluoro-N-[4-[[3-[2-(methylamino)-4-pyrimidinyl]-2-pyridinyl]oxy]-1-naphthalenyl]- (CA INDEX NAME)

/ Structure 459 in file .gra /

RN 948562-96-7 CAPLUS
 CN 1H-Benzimidazol-2-amine, N-[4-[[3-[2-(methylthio)-4-pyrimidinyl]-2-pyridinyl]oxy]-1-naphthalenyl]- (CA INDEX NAME)

/ Structure 460 in file .gra /

RN 948562-97-8 CAPLUS
CN 1,2-Ethanediamine, N2-[4-[2-[[4-(1H-benzimidazol-2-ylamino)-1-naphthalenyl]oxy]-3-pyridinyl]-2-pyrimidinyl]-N1,N1-dimethyl- (CA INDEX NAME)

/ Structure 461 in file .gra /

RN 948562-98-9 CAPLUS
CN 1,3-Propanediamine, N3-[4-[2-[[4-(1H-benzimidazol-2-ylamino)-1-naphthalenyl]oxy]-3-pyridinyl]-2-pyrimidinyl]-N1,N1-dimethyl- (CA INDEX NAME)

/ Structure 462 in file .gra /

RN 948562-99-0 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[4-[3-[2-(methylsulfonyl)-4-pyrimidinyl]-2-pyridinyl]oxy]-1-naphthalenyl]- (CA INDEX NAME)

/ Structure 463 in file .gra /

RN 948563-00-6 CAPLUS
CN 1H-Benzimidazol-2-amine, 5,7-difluoro-N-[4-[3-[2-(methylamino)-4-pyrimidinyl]-2-pyridinyl]oxy]-1-naphthalenyl]- (CA INDEX NAME)

/ Structure 464 in file .gra /

RN 948563-01-7 CAPLUS
CN 1,4-Butanediamine, N4-[4-[2-[[4-(1H-benzimidazol-2-ylamino)-1-naphthalenyl]oxy]-3-pyridinyl]-2-pyrimidinyl]-N1,N1-dimethyl- (CA INDEX NAME)

/ Structure 465 in file .gra /

RN 948563-02-8 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[4-[3-[2-(methylamino)-4-pyrimidinyl]-2-pyridinyl]oxy]-1-naphthalenyl]-5,7-bis(trifluoromethyl)- (CA INDEX NAME)

/ Structure 466 in file .gra /

RN 948563-05-1 CAPLUS
CN 1H-Benzimidazole-1-propanamine, N,N-dimethyl-2-[[4-[3-[2-(methylamino)-4-pyrimidinyl]-2-pyridinyl]oxy]-1-naphthalenyl]amino]- (CA INDEX NAME)

/ Structure 467 in file .gra /

RN 948563-06-2 CAPLUS
CN 1H-Benzimidazole-1-ethanamine, N,N-dimethyl-2-[[4-[3-[2-(methylamino)-4-pyrimidinyl]-2-pyridinyl]oxy]-1-naphthalenyl]amino]- (CA INDEX NAME)

/ Structure 468 in file .gra /

RN 948563-07-3 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[4-[[3-[2-[[3-(1-pyrrolidinyl)propyl]amino]-4-pyrimidinyl]-2-pyridinyl]oxy]-1-naphthalenyl]- (CA INDEX NAME)

/ Structure 469 in file .gra /

RN 948563-09-5 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[3-methyl-4-[[3-[2-(methylamino)-4-pyrimidinyl]-2-pyridinyl]oxy]-1-naphthalenyl]- (CA INDEX NAME)

/ Structure 470 in file .gra /

RN 948563-10-8 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[3,5-dichloro-4-[[3-[2-(methylamino)-4-pyrimidinyl]-2-pyridinyl]oxy]phenyl]- (CA INDEX NAME)

/ Structure 471 in file .gra /

RN 948563-12-0 CAPLUS
CN 5-Quinolinamine, N-1H-benzimidazol-2-yl-8-[[3-[2-(methylamino)-4-pyrimidinyl]-2-pyridinyl]oxy]- (CA INDEX NAME)

/ Structure 472 in file .gra /

RN 948563-14-2 CAPLUS
CN 1H-Benzimidazol-2-amine, 6,7-difluoro-N-[4-[[3-[2-(methylamino)-4-pyrimidinyl]-2-pyridinyl]oxy]-1-naphthalenyl]- (CA INDEX NAME)

/ Structure 473 in file .gra /

RN 948563-18-6 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[4-[2-[2-(methylamino)-4-pyrimidinyl]phenoxy]phenyl]- (CA INDEX NAME)

/ Structure 474 in file .gra /

RN 948563-20-0 CAPLUS
CN 1H-Benzimidazol-2-amine, 6,7-difluoro-N-[4-[2-[2-(methylamino)-4-pyrimidinyl]phenoxy]phenyl]- (CA INDEX NAME)

/ Structure 475 in file .gra /

RN 948563-22-2 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[4-[[2'-(methylamino)[3,4'-bipyridin]-2-yl]oxy]phenyl]- (CA INDEX NAME)

/ Structure 476 in file .gra /

RN 948563-24-4 CAPLUS
CN 1H-Benzimidazol-2-amine, 6,7-difluoro-N-[4-[[2'-(methylamino)[3,4'-bipyridin]-2-yl]oxy]phenyl]- (CA INDEX NAME)

/ Structure 477 in file .gra /

RN 948563-26-6 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[4-[[3-(2-amino-4-pyrimidinyl)-2-pyridinyl]oxy]-3-methyl-1-naphthalenyl]- (CA INDEX NAME)

/ Structure 478 in file .gra /

RN 948563-28-8 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[4-[[3-[2-[[2-(1-methyl-2-pyrrolidinyl)ethyl]amino]-4-pyrimidinyl]-2-pyridinyl]oxy]-1-naphthalenyl]- (CA INDEX NAME)

/ Structure 479 in file .gra /

RN 948563-30-2 CAPLUS
CN 2-Benzoxazamine, 5,7-dimethyl-N-[3-methyl-4-[[3-(4-pyrimidinyl)-2-pyridinyl]oxy]phenyl]- (CA INDEX NAME)

/ Structure 480 in file .gra /

RN 948563-32-4 CAPLUS
CN 2-Benzoxazamine, 6-methyl-N-[3-methyl-4-[[3-(4-pyrimidinyl)-2-pyridinyl]oxy]phenyl]- (CA INDEX NAME)

/ Structure 481 in file .gra /

RN 948563-34-6 CAPLUS
CN 2-Benzoxazamine, 5-methyl-N-[3-methyl-4-[[3-(4-pyrimidinyl)-2-pyridinyl]oxy]phenyl]- (CA INDEX NAME)

/ Structure 482 in file .gra /

RN 948563-36-8 CAPLUS
CN 2-Benzoxazamine, 4-methyl-N-[3-methyl-4-[[3-(4-pyrimidinyl)-2-pyridinyl]oxy]phenyl]- (CA INDEX NAME)

/ Structure 483 in file .gra /

RN 948563-38-0 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[4-[[3-[2-[[1-ethyl-4-piperidinyl)methyl]amino]-4-pyrimidinyl]-2-pyridinyl]oxy]-1-naphthalenyl]- (CA INDEX NAME)

/ Structure 484 in file .gra /

RN 948563-40-4 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[4-[[3-[2-[[1-methyl-4-piperidinyl]amino]-4-pyrimidinyl]-2-pyridinyl]oxy]-1-naphthalenyl]- (CA INDEX NAME)

/ Structure 485 in file .gra /

RN 948563-42-6 CAPLUS
CN 1H-Benzimidazol-2-amine, 6,7-difluoro-N-[4-[[3-(1H-pyrazol-4-yl)-2-pyridinyl]oxy]phenyl]- (CA INDEX NAME)

/ Structure 486 in file .gra /

RN 948563-44-8 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[4-[[3-(1H-pyrazol-4-yl)-2-pyridinyl]oxy]phenyl]- (CA INDEX NAME)

/ Structure 487 in file .gra /

RN 948563-46-0 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[4-[[3-(2-amino-4-pyrimidinyl)-2-pyridinyl]oxy]phenyl]-6,7-difluoro- (CA INDEX NAME)

/ Structure 488 in file .gra /

RN 948563-50-6 CAPLUS
CN 2-Benzoxazamine, N-[4-[[3-[2-(methylamino)-4-pyrimidinyl]-2-pyridinyl]oxy]phenyl]- (CA INDEX NAME)

/ Structure 489 in file .gra /

RN 948563-52-8 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[4-[[3-[2-(methylamino)-4-pyrimidinyl]-2-pyridinyl]oxy]phenyl]- (CA INDEX NAME)

/ Structure 490 in file .gra /

RN 948563-53-9 CAPLUS
CN 2-Benzoxazamine, N-[3-methyl-4-[[3-[2-(methylamino)-4-pyrimidinyl]-2-pyridinyl]oxy]phenyl]- (CA INDEX NAME)

/ Structure 491 in file .gra /

RN 948563-54-0 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[3-methyl-4-[[3-[2-(methylamino)-4-pyrimidinyl]-2-pyridinyl]oxy]phenyl]- (CA INDEX NAME)

/ Structure 492 in file .gra /

RN 948563-55-1 CAPLUS
CN 2-Benzothiazamine, N-[4-[[3-[2-(methylamino)-4-pyrimidinyl]-2-pyridinyl]oxy]phenyl]- (CA INDEX NAME)

/ Structure 493 in file .gra /

RN 948563-56-2 CAPLUS
CN 1H-Benzimidazol-2-amine, 6-methyl-N-[4-[[3-[2-(methylamino)-4-pyrimidinyl]-2-pyridinyl]oxy]phenyl]- (CA INDEX NAME)

/ Structure 494 in file .gra /

RN 948563-57-3 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[4-[[3-[2-(methylamino)-4-pyrimidinyl]-2-pyridinyl]oxy]phenyl]-6-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 495 in file .gra /

RN 948563-58-4 CAPLUS
CN 1H-Benzimidazol-2-amine, 6-methyl-N-[3-methyl-4-[[3-[2-(methylamino)-4-pyrimidinyl]-2-pyridinyl]oxy]phenyl]- (CA INDEX NAME)

/ Structure 496 in file .gra /

RN 948563-59-5 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[3-methyl-4-[[3-[2-(methylamino)-4-pyrimidinyl]-2-pyridinyl]oxy]phenyl]-6-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 497 in file .gra /

RN 948563-60-8 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-methyl-N-[3-methyl-4-[[3-[2-(methylamino)-4-pyrimidinyl]-2-pyridinyl]oxy]phenyl]- (CA INDEX NAME)

/ Structure 498 in file .gra /

RN 948563-61-9 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-methyl-N-[4-[[3-[2-(methylamino)-4-pyrimidinyl]-2-pyridinyl]oxy]phenyl]- (CA INDEX NAME)

/ Structure 499 in file .gra /

RN 948563-62-0 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-methyl-N-[4-[[3-[2-(methylamino)-4-pyrimidinyl]-2-pyridinyl]oxy]phenyl]-5-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 500 in file .gra /

RN 948563-63-1 CAPLUS
CN 1H-Benzimidazol-2-amine, 5,6-difluoro-N-[4-[[3-[2-(methylamino)-4-pyrimidinyl]-2-pyridinyl]oxy]phenyl]- (CA INDEX NAME)

/ Structure 501 in file .gra /

RN 948563-64-2 CAPLUS
CN 1H-Benzimidazol-2-amine, 6-(1,1-dimethylethyl)-N-[4-[[3-[2-(methylamino)-4-pyrimidinyl]-2-pyridinyl]oxy]phenyl]- (CA INDEX NAME)

/ Structure 502 in file .gra /

RN 948563-65-3 CAPLUS
CN 1H-Benzimidazol-2-amine, 6-chloro-5-fluoro-N-[4-[[3-[2-(methylamino)-4-pyrimidinyl]-2-pyridinyl]oxy]phenyl]- (CA INDEX NAME)

/ Structure 503 in file .gra /

RN 948563-66-4 CAPLUS
CN 1H-Benzimidazol-2-amine, 7-methyl-N-[4-[[3-[2-(methylamino)-4-pyrimidinyl]-2-pyridinyl]oxy]phenyl]- (CA INDEX NAME)

/ Structure 504 in file .gra /

RN 948563-67-5 CAPLUS
CN 1H-Benzimidazol-2-amine, 6-fluoro-N-[4-[[3-[2-(methylamino)-4-pyrimidinyl]-2-pyridinyl]oxy]phenyl]- (CA INDEX NAME)

/ Structure 505 in file .gra /

RN 948563-68-6 CAPLUS
CN 1H-Benzimidazol-2-amine, 7-methyl-N-[3-methyl-4-[[3-[2-(methylamino)-4-pyrimidinyl]-2-pyridinyl]oxy]phenyl]- (CA INDEX NAME)

/ Structure 506 in file .gra /

RN 948563-69-7 CAPLUS
CN 1H-Benzimidazol-2-amine, 6-fluoro-N-[3-methyl-4-[[3-[2-(methylamino)-4-pyrimidinyl]-2-pyridinyl]oxy]phenyl]- (CA INDEX NAME)

/ Structure 507 in file .gra /

RN 948563-70-0 CAPLUS
CN 1H-Benzimidazol-2-amine, 5,6-difluoro-N-[3-methyl-4-[[3-[2-(methylamino)-4-pyrimidinyl]-2-pyridinyl]oxy]phenyl]- (CA INDEX NAME)

/ Structure 508 in file .gra /

RN 948563-71-1 CAPLUS
CN 1H-Benzimidazol-2-amine, 6-chloro-5-fluoro-N-[3-methyl-4-[[3-[2-(methylamino)-4-pyrimidinyl]-2-pyridinyl]oxy]phenyl]- (CA INDEX NAME)

/ Structure 509 in file .gra /

RN 948563-72-2 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[2-methyl-4-[[3-[2-(methylamino)-4-pyrimidinyl]-2-pyridinyl]oxy]phenyl]- (CA INDEX NAME)

/ Structure 510 in file .gra /

RN 948563-73-3 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[2,3-dimethyl-4-[[3-[2-(methylamino)-4-pyrimidinyl]-2-pyridinyl]oxy]phenyl]- (CA INDEX NAME)

/ Structure 511 in file .gra /

RN 948563-74-4 CAPLUS

CN 1H-Benzimidazol-2-amine, 5,6-dimethyl-N-[4-[[3-[2-(methylamino)-4-pyrimidinyl]-2-pyridinyl]oxy]phenyl]- (CA INDEX NAME)

/ Structure 512 in file .gra /

RN 948563-75-5 CAPLUS

CN 1H-Benzimidazol-2-amine, 5,7-difluoro-N-[4-[[3-[2-(methylamino)-4-pyrimidinyl]-2-pyridinyl]oxy]phenyl]- (CA INDEX NAME)

/ Structure 513 in file .gra /

RN 948563-76-6 CAPLUS

CN 1H-Benzimidazol-2-amine, 6,7-dimethyl-N-[4-[[3-[2-(methylamino)-4-pyrimidinyl]-2-pyridinyl]oxy]phenyl]- (CA INDEX NAME)

/ Structure 514 in file .gra /

RN 948563-77-7 CAPLUS

CN 1H-Benzimidazol-2-amine, 6-fluoro-N-[4-[[3-[2-[[3-(4-morpholinyl)propyl]amino]-4-pyrimidinyl]-2-pyridinyl]oxy]phenyl]- (CA INDEX NAME)

/ Structure 515 in file .gra /

RN 948563-78-8 CAPLUS

CN 1H-Benzimidazol-2-amine, 5,6-dichloro-N-[4-[[3-[2-(methylamino)-4-pyrimidinyl]-2-pyridinyl]oxy]phenyl]- (CA INDEX NAME)

/ Structure 516 in file .gra /

RN 948563-79-9 CAPLUS

CN 1H-Benzimidazol-2-amine, N-[4-[[3-[2-(methylamino)-4-pyrimidinyl]-2-pyridinyl]oxy]phenyl]-5,7-bis(trifluoromethyl)- (CA INDEX NAME)

/ Structure 517 in file .gra /

RN 948563-80-2 CAPLUS

CN 1H-Benzimidazol-2-amine, 6-chloro-5-methyl-N-[4-[[3-[2-(methylamino)-4-pyrimidinyl]-2-pyridinyl]oxy]phenyl]- (CA INDEX NAME)

/ Structure 518 in file .gra /

RN 948563-81-3 CAPLUS

CN 1H-Benzimidazol-2-amine, 6-fluoro-N-[4-[[3-[2-[[4-(4-methyl-1-piperazinyl)phenyl]amino]-4-pyrimidinyl]-2-pyridinyl]oxy]phenyl]- (CA INDEX NAME)

/ Structure 519 in file .gra /

RN 948563-82-4 CAPLUS

CN 1H-Benzimidazol-2-amine, N-[4-[[3-[2-(methylamino)-4-pyrimidinyl]-2-pyridinyl]oxy]phenyl]-6-(4-methyl-1-piperazinyl)- (CA INDEX NAME)

/ Structure 520 in file .gra /

RN 948563-83-5 CAPLUS
CN 1,3-Propanediamine, N3-[4-[2-[4-[(5,6-difluoro-1H-benzimidazol-2-yl)amino]phenoxy]-3-pyridinyl]-2-pyrimidinyl]-N1,N1-dimethyl- (CA INDEX NAME)

/ Structure 521 in file .gra /

RN 948563-84-6 CAPLUS
CN 1H-Benzimidazol-2-amine, 6,7-difluoro-N-[4-[[3-[2-(methylamino)-4-pyrimidinyl]-2-pyridinyl]oxy]phenyl]- (CA INDEX NAME)

/ Structure 522 in file .gra /

RN 948563-86-8 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[4-[[3-[2-[[3-(1-piperidinyl)propyl]amino]-4-pyrimidinyl]-2-pyridinyl]oxy]-1-naphthalenyl]- (CA INDEX NAME)

/ Structure 523 in file .gra /

RN 948563-88-0 CAPLUS
CN 1,3-Propanediamine, N3-[4-[2-[[4-(1H-benzimidazol-2-ylamino)-1-naphthalenyl]oxy]-3-pyridinyl]-2-pyrimidinyl]-N1,N1,2,2-tetramethyl- (CA INDEX NAME)

/ Structure 524 in file .gra /

RN 948563-90-4 CAPLUS
CN 1H-Benzimidazol-2-amine, 5,6-difluoro-N-[4-[[3-(1H-pyrrolo[2,3-b]pyridin-4-yl)-2-pyridinyl]oxy]phenyl]- (CA INDEX NAME)

/ Structure 525 in file .gra /

RN 948563-92-6 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[4-[[3-[2-[[3-(1H-1,2,3-triazol-1-yl)propyl]amino]-4-pyrimidinyl]-2-pyridinyl]oxy]-1-naphthalenyl]- (CA INDEX NAME)

/ Structure 526 in file .gra /

RN 948563-93-7 CAPLUS
CN 1H-Benzimidazol-2-amine, 5,6-difluoro-N-[4-[[3-[2-[[3-(4-thiomorpholinyl)propyl]amino]-4-pyrimidinyl]-2-pyridinyl]oxy]phenyl]- (CA INDEX NAME)

/ Structure 527 in file .gra /

RN 948563-94-8 CAPLUS
CN 1,4-Butanediamine, N4-[4-[2-[4-[(5,6-difluoro-1H-benzimidazol-2-yl)amino]phenoxy]-3-pyridinyl]-2-pyrimidinyl]-N1,N1-dimethyl- (CA INDEX NAME)

/ Structure 528 in file .gra /

RN 948563-95-9 CAPLUS
CN Ethanol, 2,2'-[[3-[[4-[2-[4-[(5,6-difluoro-1H-benzimidazol-2-yl)amino]phenoxy]-3-pyridinyl]-2-pyrimidinyl]amino]propyl]imino]bis- (CA INDEX NAME)

/ Structure 529 in file .gra /

RN 948563-96-0 CAPLUS
CN 1,5-Pentanediamine, N5-[4-[2-[4-[(5,6-difluoro-1H-benzimidazol-2-yl)amino]phenoxy]-3-pyridinyl]-2-pyrimidinyl]-N1,N1-dimethyl- (CA INDEX NAME)

/ Structure 530 in file .gra /

RN 948563-97-1 CAPLUS
CN 1,6-Hexanediamine, N6-[4-[2-[4-[(5,6-difluoro-1H-benzimidazol-2-yl)amino]phenoxy]-3-pyridinyl]-2-pyrimidinyl]-N1,N1-dimethyl- (CA INDEX NAME)

/ Structure 531 in file .gra /

RN 948563-98-2 CAPLUS
CN 1H-Benzimidazol-2-amine, 5,6-difluoro-N-[4-[[3-[2-[[3-(4-morpholinyl)propyl]amino]-4-pyrimidinyl]-2-pyridinyl]oxy]phenyl]- (CA INDEX NAME)

/ Structure 532 in file .gra /

RN 948563-99-3 CAPLUS
CN 1H-Benzimidazol-2-amine, 5,6,7-trifluoro-N-[4-[[3-[2-(methylamino)-4-pyrimidinyl]-2-pyridinyl]oxy]phenyl]- (CA INDEX NAME)

/ Structure 533 in file .gra /

RN 948564-01-0 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[4-[[3-(1H-pyrrolo[2,3-b]pyridin-4-yl)-2-pyridinyl]oxy]phenyl]- (CA INDEX NAME)

/ Structure 534 in file .gra /

RN 948564-02-1 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[4-[[3-[2-(methylamino)-4-pyrimidinyl]-2-pyridinyl]thio]phenyl]- (CA INDEX NAME)

/ Structure 535 in file .gra /

RN 948564-03-2 CAPLUS
CN 1H-Benzimidazol-2-amine, 6,7-difluoro-N-[4-[[3-(1H-pyrrolo[2,3-b]pyridin-4-yl)-2-pyridinyl]oxy]phenyl]- (CA INDEX NAME)

/ Structure 536 in file .gra /

RN 948564-04-3 CAPLUS
CN 1H-Benzimidazol-2-amine, 6,7-difluoro-N-[4-[[3-[2-(methylamino)-4-pyrimidinyl]-2-pyridinyl]thio]phenyl]- (CA INDEX NAME)

/ Structure 537 in file .gra /

RN 948564-05-4 CAPLUS
CN 1H-Benzimidazol-2-amine, 6,7-difluoro-N-[4-[[3-[2-[[4-(4-methyl-1-piperazinyl)phenyl]amino]-4-pyrimidinyl]-2-pyridinyl]oxy]phenyl]- (CA INDEX NAME)

/ Structure 538 in file .gra /

RN 948564-06-5 CAPLUS
CN 1H-Benzimidazol-2-amine, 6,7-difluoro-N-[3-methoxy-4-[[3-[2-(methylamino)-4-pyrimidinyl]-2-pyridinyl]oxy]phenyl]- (CA INDEX NAME)

/ Structure 539 in file .gra /

RN 948564-07-6 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[3-methoxy-4-[[3-[2-(methylamino)-4-pyrimidinyl]-2-pyridinyl]oxy]phenyl]- (CA INDEX NAME)

/ Structure 540 in file .gra /

RN 948564-08-7 CAPLUS
CN 1H-Benzimidazol-2-amine, 6,7-difluoro-N-[3-methyl-4-[[3-[2-(methylamino)-4-pyrimidinyl]-2-pyridinyl]oxy]phenyl]- (CA INDEX NAME)

/ Structure 541 in file .gra /

RN 948564-09-8 CAPLUS
CN 1H-Benzimidazol-2-amine, 4,5,6,7-tetrafluoro-N-[4-[[3-[2-(methylamino)-4-pyrimidinyl]-2-pyridinyl]oxy]phenyl]- (CA INDEX NAME)

/ Structure 542 in file .gra /

RN 948564-10-1 CAPLUS
CN 1H-Benzimidazol-2-amine, 6,7-difluoro-N-[2-fluoro-4-[[3-[2-(methylamino)-4-pyrimidinyl]-2-pyridinyl]oxy]phenyl]- (CA INDEX NAME)

/ Structure 543 in file .gra /

RN 948564-11-2 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[4-[[3-[2-(methylamino)-4-pyrimidinyl]-2-pyridinyl]oxy]phenyl]-1-phenyl- (CA INDEX NAME)

/ Structure 544 in file .gra /

RN 948564-12-3 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[3-chloro-4-[[3-[2-(methylamino)-4-pyrimidinyl]-

2-pyridinyl]oxy]phenyl]-6,7-difluoro- (CA INDEX NAME)

/ Structure 545 in file .gra /

IT 948564-23-6P 948564-24-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of novel multicyclic compds. useful in treatment of oncol.
diseases related to kinase activity)
RN 948564-23-6 CAPLUS
CN 1H-Benzimidazol-2-amine, 5,6-difluoro-N-[4-[[3-[2-(methylthio)-4-
pyrimidinyl]-2-pyridinyl]oxy]phenyl]- (CA INDEX NAME)

/ Structure 546 in file .gra /

RN 948564-24-7 CAPLUS
CN 1H-Benzimidazol-2-amine, 5,6-difluoro-N-[4-[[3-[2-(methylsulfonyl)-4-
pyrimidinyl]-2-pyridinyl]oxy]phenyl]- (CA INDEX NAME)

/ Structure 547 in file .gra /

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(benzimidazoles as antagonists of human melanocortin-4 receptor)
RN 848577-77-5 CAPLUS
CN 1H-Benzimidazole-6-carboxamide, N,N-bis(3-methylbutyl)-2-[(4-
phenoxyphenyl)amino]-1-[3-(1-piperidinyl)propyl]- (CA INDEX NAME)

/ Structure 548 in file .gra /

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 6 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:32905 CAPLUS <<LOGINID::20090203>>
DOCUMENT NUMBER: 146:142671
TITLE: Preparation of pyrimidine-substituted benzimidazole derivatives as protein kinase inhibitors
INVENTOR(S): Zhang, Guobao; Ren, Pingda; Wang, Xia; Gray, Nathanael S.; Sim, Taebo
PATENT ASSIGNEE(S): Irm LLC, Bermuda
SOURCE: PCT Int. Appl., 83pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007005673	A1	20070111	WO 2006-US25706	20060630
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006265840	A1	20070111	AU 2006-265840	20060630
CA 2614148	A1	20070111	CA 2006-2614148	20060630
EP 1899329	A1	20080319	EP 2006-774386	20060630
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2009500348	T	20090108	JP 2008-519635	20060630
US 20080255112	A1	20081016	US 2007-915148	20071120
IN 2007DN09142	A	20080118	IN 2007-DN9142	20071127
MX 200716066	A	20080310	MX 2007-16066	20071214
KR 2008015475	A	20080219	KR 2007-730728	20071228
CN 101213192	A	20080702	CN 2006-80024227	20080102
PRIORITY APPLN. INFO.:			US 2005-696174P	P 20050701
			WO 2006-US25706	W 20060630

OTHER SOURCE(S): MARPAT 146:142671

IT 919084-14-3P, (4-Phenoxyphenyl)[1-(pyrimidin-4-yl)-1H-benzimidazol-2-yl]amine 919084-19-8P,
[1-(6-Aminopyrimidin-4-yl)-1H-benzimidazol-2-yl](4-phenoxyphenyl)amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrimidine-substituted benzimidazole derivs. as protein kinase inhibitors)
RN 919084-14-3 CAPLUS
CN 1H-Benzimidazol-2-amine, N-(4-phenoxyphenyl)-1-(4-pyrimidinyl)- (CA INDEX NAME)

/ Structure 549 in file .gra /

RN 919084-19-8 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-(6-amino-4-pyrimidinyl)-N-(4-phenoxyphenyl)-

(CA INDEX NAME)

/ Structure 550 in file .gra /

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 7 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:409508 CAPLUS <<LOGINID::20090203>>

DOCUMENT NUMBER: 142:463726

TITLE: Preparation of benzimidazolyls as TIE-2 tyrosine kinase inhibitors for the treatment of tumors

INVENTOR(S): Staehle, Wolfgang; Buchstaller, Hans-Peter; Jonczyk, Alfred; Rautenberg, Wilfried

PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany

SOURCE: PCT Int. Appl., 105 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005042520	A1	20050512	WO 2004-EP11550	20041014
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
DE 10349587	A1	20050525	DE 2003-10349587	20031024
AU 2004285643	A1	20050512	AU 2004-285643	20041014
CA 2543346	A1	20050512	CA 2004-2543346	20041014
EP 1675849	A1	20060705	EP 2004-765962	20041014
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK			
CN 1871232	A	20061129	CN 2004-80031334	20041014
BR 2004015760	A	20061219	BR 2004-15760	20041014
JP 2007509096	T	20070412	JP 2006-536006	20041014
MX 2006004405	A	20060614	MX 2006-4405	20060420
KR 2006123124	A	20061201	KR 2006-707939	20060424
US 20070066660	A1	20070322	US 2006-577033	20060424
US 7470702	B2	20081230		
IN 2006KN01239	A	20070427	IN 2006-KN1239	20060511
PRIORITY APPLN. INFO.:			DE 2003-10349587	A 20031024
			WO 2004-EP11550	W 20041014

OTHER SOURCE(S): MARPAT 142:463726

IT 851677-12-8P, (5-Chloro-6-trifluoromethyl-1H-benzimidazol-2-yl) [4-(pyridin-4-yloxy)phenyl]amine 851677-13-9P, [4-(Pyridin-4-yloxy)phenyl] (6-trifluoromethyl-1H-benzimidazol-2-yl)amine 851677-14-0P, (6-Methyl-1H-benzimidazol-2-yl) [4-(pyridin-4-yloxy)phenyl]amine 851677-15-1P, (5-Chloro-4-methyl-1H-benzimidazol-2-yl) [4-(pyridin-4-yloxy)phenyl]amine 851677-16-2P, (4-Bromo-6-trifluoromethyl-1H-benzimidazol-2-yl) [4-

(pyridin-4-yloxy)phenyl]amine 851677-17-3P,
 (4-Bromo-6-trifluoromethyl-1H-benzimidazol-2-yl) [4-(pyridin-3-yloxy)phenyl]amine 851677-18-4P,
 (5,6-Dimethyl-1H-benzimidazol-2-yl) [4-(pyridin-4-yloxy)phenyl]amine 851677-19-5P,
 (5-Chloro-6-trifluoromethyl-1H-benzimidazol-2-yl) [4-(pyridin-3-yloxy)phenyl]amine 851677-20-8P,
 (5,6-Dichloro-1H-benzimidazol-2-yl) [4-(pyridin-4-yloxy)phenyl]amine 851677-21-9P,
 (5,6-Dichloro-1H-benzimidazol-2-yl) [4-(pyridin-3-yloxy)phenyl]amine 851677-22-0P,
 (5-Chloro-1H-benzimidazol-2-yl) [4-(pyridin-4-yloxy)phenyl]amine 851677-23-1P,
 (5-Chloro-1H-benzimidazol-2-yl) [4-(pyridin-3-yloxy)phenyl]amine 851677-24-2P,
 (4-Methyl-1H-benzimidazol-2-yl) [4-(pyridin-3-yloxy)phenyl]amine 851677-25-3P,
 (4-Chloro-6-trifluoromethyl-1H-benzimidazol-2-yl) [4-(pyridin-4-yloxy)phenyl]amine 851677-26-4P,
 (4-Chloro-6-trifluoromethyl-1H-benzimidazol-2-yl) [4-(pyridin-3-yloxy)phenyl]amine 851677-27-5P,
 (4,5-Dimethyl-1H-benzimidazol-2-yl) [4-(pyridin-4-yloxy)phenyl]amine 851677-28-6P,
 (5-Chloro-6-methyl-1H-benzimidazol-2-yl) [4-(pyridin-4-yloxy)phenyl]amine 851677-29-7P,
 (5-Chloro-6-methyl-1H-benzimidazol-2-yl) [4-(pyridin-3-yloxy)phenyl]amine 851677-30-0P,
 [4,6-Bis(trifluoromethyl)-1H-benzimidazol-2-yl] [4-(pyridin-4-yloxy)phenyl]amine 851677-31-1P,
 [4,6-Bis(trifluoromethyl)-1H-benzimidazol-2-yl] [4-(pyridin-3-yloxy)phenyl]amine 851677-32-2P,
 [4-(Pyridin-3-yloxy)phenyl] (6-trifluoromethyl-1H-benzimidazol-2-yl)amine 851677-33-3P,
 (6-Methyl-1H-benzimidazol-2-yl) [4-(pyridin-3-yloxy)phenyl]amine 851677-34-4P,
 (4,5-Dimethyl-1H-benzimidazol-2-yl) [4-(pyridin-3-yloxy)phenyl]amine 851677-35-5P,
 (5-Chloro-4-methyl-1H-benzimidazol-2-yl) [4-(pyridin-3-yloxy)phenyl]amine 851677-36-6P,
 (4-Methyl-1H-benzimidazol-2-yl) [4-(pyridin-4-yloxy)phenyl]amine 851677-37-7P,
 (5,6-Dimethyl-1H-benzimidazol-2-yl) [4-(pyridin-3-yloxy)phenyl]amine 851677-38-8P,
 (4-Bromo-6-trifluoromethyl-1H-benzimidazol-2-yl) [4-(2,6-dimethylpyrimidin-4-yloxy)phenyl]amine 851677-39-9P 851677-40-2P
 851677-41-3P, [4-(2-Amino-6-methylpyrimidin-4-yloxy)phenyl] (4-bromo-6-trifluoromethyl-1H-benzimidazol-2-yl)amine 851677-42-4P,
 (4-Chloro-6-trifluoromethyl-1H-benzimidazol-2-yl) [4-(2,6-dimethylpyrimidin-4-yloxy)phenyl]amine 851677-43-5P,
 [4-(2-Amino-6-methylpyrimidin-4-yloxy)phenyl] (4-chloro-6-trifluoromethyl-1H-benzimidazol-2-yl)amine 851677-44-6P,
 (6-Nitro-1H-benzimidazol-2-yl) [4-(pyridin-4-yloxy)phenyl]amine 851677-45-7P,
 2-[4-(Pyridin-4-yloxy)phenylamino]-3H-benzimidazole-5-carboxylic acid methyl ester 851677-48-0P,
 (4-Fluoro-6-trifluoromethyl-1H-benzimidazol-2-yl) [4-(pyridin-4-yloxy)phenyl]amine 851677-49-1P,
 [4-(2,6-Dimethylpyrimidin-4-yloxy)phenyl] (4-fluoro-6-trifluoromethyl-1H-benzimidazol-2-yl)amine 851677-50-4P,
 [4-(2-Amino-6-methylpyrimidin-4-yloxy)phenyl]-(4-fluoro-6-trifluoromethyl-1H-benzimidazol-2-yl)amine 851677-51-5P 851677-52-6P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzimidazolyls as TIE-2 tyrosine kinase inhibitors for treatment of tumors)

RN 851677-12-8 CAPLUS

CN 1H-Benzimidazol-2-amine, 6-chloro-N-[4-(4-pyridinyloxy)phenyl]-5-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 551 in file .gra /

RN 851677-13-9 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[4-(4-pyridinyloxy)phenyl]-6-(trifluoromethyl)-
(CA INDEX NAME)

/ Structure 552 in file .gra /

RN 851677-14-0 CAPLUS
CN 1H-Benzimidazol-2-amine, 6-methyl-N-[4-(4-pyridinyloxy)phenyl]- (CA INDEX NAME)

/ Structure 553 in file .gra /

RN 851677-15-1 CAPLUS
CN 1H-Benzimidazol-2-amine, 6-chloro-7-methyl-N-[4-(4-pyridinyloxy)phenyl]-
(CA INDEX NAME)

/ Structure 554 in file .gra /

RN 851677-16-2 CAPLUS
CN 1H-Benzimidazol-2-amine, 7-bromo-N-[4-(4-pyridinyloxy)phenyl]-5-
(trifluoromethyl)- (CA INDEX NAME)

/ Structure 555 in file .gra /

RN 851677-17-3 CAPLUS
CN 1H-Benzimidazol-2-amine, 7-bromo-N-[4-(3-pyridinyloxy)phenyl]-5-
(trifluoromethyl)- (CA INDEX NAME)

/ Structure 556 in file .gra /

RN 851677-18-4 CAPLUS
CN 1H-Benzimidazol-2-amine, 5,6-dimethyl-N-[4-(4-pyridinyloxy)phenyl]- (CA INDEX NAME)

/ Structure 557 in file .gra /

RN 851677-19-5 CAPLUS
CN 1H-Benzimidazol-2-amine, 6-chloro-N-[4-(3-pyridinyloxy)phenyl]-5-
(trifluoromethyl)- (CA INDEX NAME)

/ Structure 558 in file .gra /

RN 851677-20-8 CAPLUS
CN 1H-Benzimidazol-2-amine, 5,6-dichloro-N-[4-(4-pyridinyloxy)phenyl]- (CA INDEX NAME)

/ Structure 559 in file .gra /

RN 851677-21-9 CAPLUS
CN 1H-Benzimidazol-2-amine, 5,6-dichloro-N-[4-(3-pyridinyloxy)phenyl]- (CA INDEX NAME)

/ Structure 560 in file .gra /

RN 851677-22-0 CAPLUS
CN 1H-Benzimidazol-2-amine, 6-chloro-N-[4-(4-pyridinyloxy)phenyl]- (CA INDEX NAME)

/ Structure 561 in file .gra /

RN 851677-23-1 CAPLUS
CN 1H-Benzimidazol-2-amine, 6-chloro-N-[4-(3-pyridinyloxy)phenyl]- (CA INDEX NAME)

/ Structure 562 in file .gra /

RN 851677-24-2 CAPLUS
CN 1H-Benzimidazol-2-amine, 7-methyl-N-[4-(3-pyridinyloxy)phenyl]- (CA INDEX NAME)

/ Structure 563 in file .gra /

RN 851677-25-3 CAPLUS
CN 1H-Benzimidazol-2-amine, 7-chloro-N-[4-(4-pyridinyloxy)phenyl]-5-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 564 in file .gra /

RN 851677-26-4 CAPLUS
CN 1H-Benzimidazol-2-amine, 7-chloro-N-[4-(3-pyridinyloxy)phenyl]-5-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 565 in file .gra /

RN 851677-27-5 CAPLUS
CN 1H-Benzimidazol-2-amine, 6,7-dimethyl-N-[4-(4-pyridinyloxy)phenyl]- (CA INDEX NAME)

/ Structure 566 in file .gra /

RN 851677-28-6 CAPLUS
CN 1H-Benzimidazol-2-amine, 6-chloro-5-methyl-N-[4-(4-pyridinyloxy)phenyl]- (CA INDEX NAME)

/ Structure 567 in file .gra /

RN 851677-29-7 CAPLUS
CN 1H-Benzimidazol-2-amine, 6-chloro-5-methyl-N-[4-(3-pyridinyloxy)phenyl]- (CA INDEX NAME)

/ Structure 568 in file .gra /

RN 851677-30-0 CAPLUS

CN 1H-Benzimidazol-2-amine, N-[4-(4-pyridinyloxy)phenyl]-5,7-bis(trifluoromethyl)- (CA INDEX NAME)

/ Structure 569 in file .gra /

RN 851677-31-1 CAPLUS

CN 1H-Benzimidazol-2-amine, N-[4-(3-pyridinyloxy)phenyl]-5,7-bis(trifluoromethyl)- (CA INDEX NAME)

/ Structure 570 in file .gra /

RN 851677-32-2 CAPLUS

CN 1H-Benzimidazol-2-amine, N-[4-(3-pyridinyloxy)phenyl]-6-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 571 in file .gra /

RN 851677-33-3 CAPLUS

CN 1H-Benzimidazol-2-amine, 6-methyl-N-[4-(3-pyridinyloxy)phenyl]- (CA INDEX NAME)

/ Structure 572 in file .gra /

RN 851677-34-4 CAPLUS

CN 1H-Benzimidazol-2-amine, 6,7-dimethyl-N-[4-(3-pyridinyloxy)phenyl]- (CA INDEX NAME)

/ Structure 573 in file .gra /

RN 851677-35-5 CAPLUS

CN 1H-Benzimidazol-2-amine, 6-chloro-7-methyl-N-[4-(3-pyridinyloxy)phenyl]- (CA INDEX NAME)

/ Structure 574 in file .gra /

RN 851677-36-6 CAPLUS

CN 1H-Benzimidazol-2-amine, 7-methyl-N-[4-(4-pyridinyloxy)phenyl]- (CA INDEX NAME)

/ Structure 575 in file .gra /

RN 851677-37-7 CAPLUS

CN 1H-Benzimidazol-2-amine, 5,6-dimethyl-N-[4-(3-pyridinyloxy)phenyl]- (CA INDEX NAME)

/ Structure 576 in file .gra /

RN 851677-38-8 CAPLUS

CN 1H-Benzimidazol-2-amine, 7-bromo-N-[4-[(2,6-dimethyl-4-pyrimidinyl)oxy]phenyl]-5-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 577 in file .gra /

RN 851677-39-9 CAPLUS
CN 2-Pyridinecarboxamide, 4-[4-[[7-bromo-5-(trifluoromethyl)-1H-benzimidazol-2-yl]amino]phenoxy]-N-methyl- (CA INDEX NAME)

/ Structure 578 in file .gra /

RN 851677-40-2 CAPLUS
CN 1H-Benzimidazole-6-carbonitrile, 2-[[4-(4-pyridinyloxy)phenyl]amino]- (CA INDEX NAME)

/ Structure 579 in file .gra /

RN 851677-41-3 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[4-[(2-amino-6-methyl-4-pyrimidinyl)oxy]phenyl]-7-bromo-5-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 580 in file .gra /

RN 851677-42-4 CAPLUS
CN 1H-Benzimidazol-2-amine, 7-chloro-N-[4-[(2,6-dimethyl-4-pyrimidinyl)oxy]phenyl]-5-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 581 in file .gra /

RN 851677-43-5 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[4-[(2-amino-6-methyl-4-pyrimidinyl)oxy]phenyl]-7-chloro-5-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 582 in file .gra /

RN 851677-44-6 CAPLUS
CN 1H-Benzimidazol-2-amine, 6-nitro-N-[4-(4-pyridinyloxy)phenyl]- (CA INDEX NAME)

/ Structure 583 in file .gra /

RN 851677-45-7 CAPLUS
CN 1H-Benzimidazole-6-carboxylic acid, 2-[[4-(4-pyridinyloxy)phenyl]amino]-, methyl ester (CA INDEX NAME)

/ Structure 584 in file .gra /

RN 851677-48-0 CAPLUS
CN 1H-Benzimidazol-2-amine, 7-fluoro-N-[4-(4-pyridinyloxy)phenyl]-5-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 585 in file .gra /

RN 851677-49-1 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[4-[(2,6-dimethyl-4-pyrimidinyl)oxy]phenyl]-7-fluoro-5-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 586 in file .gra /

RN 851677-50-4 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[4-[(2-amino-6-methyl-4-pyrimidinyl)oxy]phenyl]-
7-fluoro-5-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 587 in file .gra /

RN 851677-51-5 CAPLUS
CN 2-Pyridinecarboxamide, N-methyl-4-[4-[[6-(2-thienylcarbonyl)-1H-
benzimidazol-2-yl]amino]phenoxy]- (CA INDEX NAME)

/ Structure 588 in file .gra /

RN 851677-52-6 CAPLUS
CN 1H-Benzimidazole-2,6-diamine, N2-[4-(4-pyridinyloxy)phenyl]- (CA INDEX
NAME)

/ Structure 589 in file .gra /

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 8 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:369277 CAPLUS <<LOGINID::20090203>>
DOCUMENT NUMBER: 142:430271
TITLE: Preparation of substituted benzazoles as inhibitors of
raf kinase
INVENTOR(S): Ramurthy, Savithri; Subramanian, Sharadha; Verhagen,
Joelle; Poon, Daniel J.; Hansen, Teresa; Shafer,
Cynthia; McBride, Christopher; Levine, Barry H.;
Costales, Abran; Renhowe, Paul A.
PATENT ASSIGNEE(S): Chiron Corporation, USA
SOURCE: PCT Int. Appl., 185 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	---	-----	-----	-----
WO 2005037273	A1	20050428	WO 2004-US34179	20041015
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,				
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,				
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,				
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,				
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,				
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,				
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,				
EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,				
SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,				
SN, TD, TG				
AU 2004281151	A1	20050428	AU 2004-281151	20041015
CA 2542653	A1	20050428	CA 2004-2542653	20041015
US 20050192287	A1	20050901	US 2004-967089	20041015

US 7423150 B2 20080909
 EP 1682126 A1 20060726 EP 2004-795357 20041015
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK
 CN 1889951 A 20070103 CN 2004-80036199 20041015
 JP 2007509058 T 20070412 JP 2006-535367 20041015
 MX 2006004236 A 20060628 MX 2006-4236 20060417
 KR 2006118472 A 20061123 KR 2006-709081 20060510
 IN 2006KN01221 A 20070427 IN 2006-KN1221 20060510
 PRIORITY APPLN. INFO.: US 2003-511966P P 20031016
 WO 2004-US34179 W 20041015
 OTHER SOURCE(S): CASREACT 142:430271; MARPAT 142:430271
 IT 850713-32-5P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)
 (preparation of substituted benzazoles as inhibitors of raf kinase)
 RN 850713-32-5 CAPLUS
 CN Acetamide, N-[4-[[1-methyl-2-[(4-phenoxyphenyl)amino]-1H-benzimidazol-5-
 yl]oxy]-2-pyridinyl]- (CA INDEX NAME)

/ Structure 590 in file .gra /

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 9 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2005:345863 CAPLUS <<LOGINID::20090203>>
 DOCUMENT NUMBER: 142:411345
 TITLE: Preparation of 1,3-benzoxazols as TIE-2 kinase
 inhibitors
 INVENTOR(S): Staehle, Wolfgang; Jonczyk, Alfred; Rautenberg,
 Wilfried
 PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
 SOURCE: Ger. Offen., 36 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10344223	A1	20050421	DE 2003-10344223	20030924
AU 2004281879	A1	20050428	AU 2004-281879	20040901
CA 2539767	A1	20050428	CA 2004-2539767	20040901
WO 2005037829	A1	20050428	WO 2004-EP9743	20040901
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1664039	A1	20060607	EP 2004-764704	20040901
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK
JP 2007506687 T 20070322 JP 2006-527292 20040901
US 20060281762 A1 20061214 US 2006-573176 20060323
PRIORITY APPLN. INFO.: DE 2003-10344223 A 20030924
WO 2004-EP9743 W 20040901

OTHER SOURCE(S): MARPAT 142:411345

IT 850258-31-0P 850258-34-3P 850258-39-8P
850258-41-2P 850258-44-5P 850258-50-3P
850258-53-6P 850258-58-1P 850258-61-6P
850258-66-1P 850258-68-3P 850258-72-9P
850258-74-1P 850258-76-3P 850258-80-9P
850258-82-1P 850258-84-3P 850258-86-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation of benzoxazols as TIE-2 kinase inhibitors)

RN 850258-31-0 CAPLUS

CN 2-Benzoxazolamine, N-[4-(4-pyridinyloxy)phenyl]- (CA INDEX NAME)

/ Structure 591 in file .gra /

RN 850258-34-3 CAPLUS

CN 2-Benzoxazolamine, N-[4-(4-pyridinylthio)phenyl]- (CA INDEX NAME)

/ Structure 592 in file .gra /

RN 850258-39-8 CAPLUS

CN 5-Benzoxazolecarboxylic acid, 2-[[4-(4-pyridinylthio)phenyl]amino]- (CA
INDEX NAME)

/ Structure 593 in file .gra /

RN 850258-41-2 CAPLUS

CN 6-Benzoxazolecarboxylic acid, 2-[[4-(4-pyridinyloxy)phenyl]amino]- (CA
INDEX NAME)

/ Structure 594 in file .gra /

RN 850258-44-5 CAPLUS

CN 6-Benzoxazolecarboxylic acid, 2-[[4-(4-pyridinylthio)phenyl]amino]- (CA
INDEX NAME)

/ Structure 595 in file .gra /

RN 850258-50-3 CAPLUS

CN 2-Benzoxazolamine, 5-nitro-N-[4-(4-pyridinylthio)phenyl]- (CA INDEX NAME)

/ Structure 596 in file .gra /

RN 850258-53-6 CAPLUS

CN 2-Benzoxazolamine, 5-nitro-N-[4-(4-pyridinyloxy)phenyl]- (CA INDEX NAME)

/ Structure 597 in file .gra /

RN 850258-58-1 CAPLUS
CN 2-Benzoxazoline, 6-nitro-N-[4-(4-pyridinyloxy)phenyl]- (CA INDEX NAME)

/ Structure 598 in file .gra /

RN 850258-61-6 CAPLUS
CN 2-Benzoxazoline, 6-nitro-N-[4-(4-pyridinylthio)phenyl]- (CA INDEX NAME)

/ Structure 599 in file .gra /

RN 850258-66-1 CAPLUS
CN 2-Benzoxazoline, 5-chloro-7-nitro-N-[4-(4-pyridinyloxy)phenyl]- (CA INDEX NAME)

/ Structure 600 in file .gra /

RN 850258-68-3 CAPLUS
CN 2-Benzoxazoline, 5-chloro-7-nitro-N-[4-(4-pyridinylthio)phenyl]- (CA INDEX NAME)

/ Structure 601 in file .gra /

RN 850258-72-9 CAPLUS
CN 2-Benzoxazoline, 7-bromo-N-[4-(4-pyridinyloxy)phenyl]-5-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 602 in file .gra /

RN 850258-74-1 CAPLUS
CN 2-Benzoxazoline, 7-bromo-N-[4-(4-pyridinylthio)phenyl]-5-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 603 in file .gra /

RN 850258-76-3 CAPLUS
CN 2-Benzoxazoline, 7-bromo-N-[4-[(4-fluorophenyl)thio]phenyl]-5-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 604 in file .gra /

RN 850258-80-9 CAPLUS
CN 2-Benzoxazoline, N-[4-[(2-amino-6-methyl-4-pyrimidinyl)oxy]phenyl]-7-bromo-5-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 605 in file .gra /

RN 850258-82-1 CAPLUS
CN 2-Pyridinecarboxamide, 4-[4-[[7-bromo-5-(trifluoromethyl)-2-benzoxazolyl]amino]phenoxy]-N-methyl- (CA INDEX NAME)

/ Structure 606 in file .gra /

RN 850258-84-3 CAPLUS
CN 2-Pyridinecarboxamide, 4-[[4-[[7-bromo-5-(trifluoromethyl)-2-benzoxazolyl]amino]phenyl]thio]-N-methyl- (CA INDEX NAME)

/ Structure 607 in file .gra /

RN 850258-86-5 CAPLUS
CN 2-Benzoxazolamine, 7-bromo-N-[4-[(2,4-difluorophenyl)thio]phenyl]-5-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 608 in file .gra /

L3 ANSWER 10 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:259680 CAPLUS <<LOGINID::20090203>>
DOCUMENT NUMBER: 142:336356
TITLE: Preparation of benzimidazoles and imidazopyridines having affinity for melanocortin (MC), in particular MC4, receptors
INVENTOR(S): Poitout, Lydie; Brault, Valerie; Sackur, Carole; Roubert, Pierre; Plas, Pascale
PATENT ASSIGNEE(S): Fr.
SOURCE: U.S. Pat. Appl. Publ., 213 pp., Cont.-in-part of U.S. Ser. No. 504,033.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050065179	A1	20050324	US 2004-915920	20040811
FR 2851563	A1	20040827	FR 2003-2320	20030226
FR 2851563	B1	20050422		
WO 2004075823	A2	20040910	WO 2004-FR418	20040225
WO 2004075823	A3	20041007		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 20080139619	A1	20080612	US 2008-12184	20080131
PRIORITY APPLN. INFO.:			FR 2003-2320	A 20030226
			US 2003-504033	A2 20030920
			WO 2004-FR418	W 20040225
			US 2004-915920	A3 20040811
			US 2004-504033	A2 20040928

OTHER SOURCE(S): CASREACT 142:336356; MARPAT 142:336356

IT 848577-67-3P 848577-77-5P 848578-17-6P
848578-27-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzimidazoles and imidazopyridines having affinity for melanocortin (MC), in particular MC4, receptors)

RN 848577-67-3 CAPLUS
CN 1H-Benzimidazole-6-carboxamide, N,N-bis(3-methylbutyl)-1-[3-(1-piperidinyl)propyl]-2-[[4-(1-piperidinylsulfonyl)phenyl]amino]- (CA INDEX NAME)

/ Structure 609 in file .gra /

RN 848577-77-5 CAPLUS
CN 1H-Benzimidazole-6-carboxamide, N,N-bis(3-methylbutyl)-2-[(4-phenoxyphenyl)amino]-1-[3-(1-piperidinyl)propyl]- (CA INDEX NAME)

/ Structure 610 in file .gra /

RN 848578-17-6 CAPLUS
CN 1H-Benzimidazole-6-carboxamide, N,N-bis(3-methylbutyl)-2-[(4-phenoxyphenyl)amino]-1-[3-(1-pyrrolidinyl)propyl]- (CA INDEX NAME)

/ Structure 611 in file .gra /

RN 848578-27-8 CAPLUS
CN 1H-Benzimidazole-6-carboxamide, N,N-dibutyl-2-[(4-phenoxyphenyl)amino]-1-[3-(1-piperidinyl)propyl]- (CA INDEX NAME)

/ Structure 612 in file .gra /

L3 ANSWER 11 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:182661 CAPLUS <<LOGINID::20090203>>
DOCUMENT NUMBER: 142:280210
TITLE: Preparation of 2-aminobenzimidazoles as TIE-2 and Raf kinase inhibitors for the treatment of tumors
INVENTOR(S): Hoelzemann, Guenter; Crassier, Helene; Ackermann, Karl-August; Staehle, Wolfgang; Jonczyk, Alfred; Rautenberg, Wilfried; Mitjans, Francesco; Rosell-Vives, Elisabet; Adan, Jaume; Soler, Marta
PATENT ASSIGNEE(S): Merck Patent GmbH, Germany
SOURCE: PCT Int. Appl., 89 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2005019216	A1	20050303	WO 2004-EP8042	20040719
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

DE 10337942	A1	20050317	DE 2003-10337942	20030818
AU 2004266797	A1	20050303	AU 2004-266797	20040719
CA 2536095	A1	20050303	CA 2004-2536095	20040719
EP 1656377	A1	20060517	EP 2004-741135	20040719
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
JP 2007502786	T	20070215	JP 2006-523546	20040719
US 20070021456	A1	20070125	US 2006-568626	20060216
PRIORITY APPLN. INFO.:			DE 2003-10337942	A 20030818
			WO 2004-EP8042	W 20040719

OTHER SOURCE(S): MARPAT 142:280210

IT 847234-77-9P 847234-78-0P 847234-79-1P
847234-80-4P 847234-81-5P 847234-82-6P
847234-85-9P 847234-86-0P 847234-87-1P
847234-88-2P 847234-89-3P 847234-92-8P
847234-93-9P 847234-94-0P 847234-95-1P
847234-96-2P 847234-97-3P 847234-98-4P
847234-99-5P 847235-00-1P 847235-01-2P
847235-02-3P 847235-03-4P 847235-04-5P
847235-05-6P 847235-06-7P 847235-07-8P
847235-08-9P 847235-09-0P 847235-11-4P
847235-12-5P 847235-14-7P 847235-15-8P
847235-16-9P 847235-17-0P 847235-19-2P
847235-20-5P 847235-21-6P 847235-22-7P
847235-25-0P 847235-26-1P 847235-27-2P
847235-28-3P 847235-29-4P 847235-30-7P
847235-31-8P 847235-32-9P 847235-33-0P
847235-34-1P 847235-36-3P 847235-38-5P
847235-39-6P 847235-40-9P 847235-42-1P
847235-43-2P 847235-44-3P 847235-45-4P
847235-46-5P 847235-47-6P 847235-52-3P
847235-53-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aminobenzimidazoles as TIE-2 and Raf kinase inhibitors for treatment of tumors)

RN 847234-77-9 CAPLUS

CN 1H-Benzimidazol-2-amine, N-[4-(2,1,3-benzothiadiazol-5-yloxy)phenyl]-7-bromo-5-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 613 in file .gra /

RN 847234-78-0 CAPLUS

CN 1H-Benzimidazol-2-amine, N-[4-(2,1,3-benzothiadiazol-5-yloxy)phenyl]-7-chloro-5-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 614 in file .gra /

RN 847234-79-1 CAPLUS

CN 1H-Benzimidazol-2-amine, N-[4-(1,3-benzodioxol-5-yloxy)phenyl]-7-chloro-5-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 615 in file .gra /

RN 847234-80-4 CAPLUS

CN 1H-Benzimidazol-2-amine, N-[4-(2,1,3-benzothiadiazol-4-yloxy)phenyl]-7-bromo-5-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 616 in file .gra /

RN 847234-81-5 CAPLUS
CN 1H-Benzimidazol-2-amine, 7-bromo-N-[4-(imidazo[1,2-a]quinolin-9-yloxy)phenyl]-5-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 617 in file .gra /

RN 847234-82-6 CAPLUS
CN 1H-Benzimidazol-2-amine, 7-chloro-N-[4-(imidazo[1,2-a]quinolin-9-yloxy)phenyl]-5-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 618 in file .gra /

RN 847234-85-9 CAPLUS
CN 1H-Benzimidazol-2-amine, 7-chloro-N-[4-(1H-indol-6-yloxy)phenyl]-5-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 619 in file .gra /

RN 847234-86-0 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[4-(2,1,3-benzothiadiazol-4-yloxy)phenyl]-7-chloro-5-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 620 in file .gra /

RN 847234-87-1 CAPLUS
CN 1H-Benzimidazol-2-amine, 7-bromo-N-[4-(1H-indol-5-yloxy)phenyl]-5-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 621 in file .gra /

RN 847234-88-2 CAPLUS
CN 1H-Benzimidazol-2-amine, 7-chloro-N-[4-(1H-indol-5-yloxy)phenyl]-5-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 622 in file .gra /

RN 847234-89-3 CAPLUS
CN 1H-Benzimidazol-2-amine, 7-bromo-N-[4-(1H-indol-6-yloxy)phenyl]-5-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 623 in file .gra /

RN 847234-92-8 CAPLUS
CN 1H-Benzimidazol-2-amine, 7-bromo-N-[4-[(2,3,6,7-tetrahydro-1H,5H-benzo[ij]quinolizin-8-yl)oxy]phenyl]-5-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 624 in file .gra /

RN 847234-93-9 CAPLUS

CN 1H-Benzimidazol-2-amine, 7-chloro-N-[4-[(2,3,6,7-tetrahydro-1H,5H-benzo[ij]quinolizin-8-yl)oxy]phenyl]-5-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 625 in file .gra /

RN 847234-94-0 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-[4-[[7-bromo-5-(trifluoromethyl)-1H-benzimidazol-2-yl]amino]phenoxy]-, ethyl ester (CA INDEX NAME)

/ Structure 626 in file .gra /

RN 847234-95-1 CAPLUS

CN 1H-Indole-2-carboxylic acid, 5-[4-[[7-chloro-5-(trifluoromethyl)-1H-benzimidazol-2-yl]amino]phenoxy]-, ethyl ester (CA INDEX NAME)

/ Structure 627 in file .gra /

RN 847234-96-2 CAPLUS

CN 2-Benzofurancarboxylic acid, 7-[4-[[7-bromo-5-(trifluoromethyl)-1H-benzimidazol-2-yl]amino]phenoxy]-, methyl ester (CA INDEX NAME)

/ Structure 628 in file .gra /

RN 847234-97-3 CAPLUS

CN 2-Benzofurancarboxylic acid, 7-[4-[[7-chloro-5-(trifluoromethyl)-1H-benzimidazol-2-yl]amino]phenoxy]-, methyl ester (CA INDEX NAME)

/ Structure 629 in file .gra /

RN 847234-98-4 CAPLUS

CN 1H-Benzimidazol-2-amine, N-[4-(2,1,3-benzoxadiazol-5-yloxy)phenyl]-7-bromo-5-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 630 in file .gra /

RN 847234-99-5 CAPLUS

CN 2-Benzofurancarboxamide, 7-[4-[[7-bromo-5-(trifluoromethyl)-1H-benzimidazol-2-yl]amino]phenoxy]- (CA INDEX NAME)

/ Structure 631 in file .gra /

RN 847235-00-1 CAPLUS

CN 2-Benzofurancarboxamide, 7-[4-[[7-chloro-5-(trifluoromethyl)-1H-benzimidazol-2-yl]amino]phenoxy]- (CA INDEX NAME)

/ Structure 632 in file .gra /

RN 847235-01-2 CAPLUS

CN 1H-Benzimidazol-2-amine, N-[4-(2,1,3-benzoxadiazol-5-yloxy)phenyl]-7-fluoro-5-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 633 in file .gra /

RN 847235-02-3 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[4-(2,1,3-benzothiadiazol-4-yloxy)phenyl]-7-fluoro-5-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 634 in file .gra /

RN 847235-03-4 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[4-(1,3-benzodioxol-5-yloxy)phenyl]-7-bromo-5-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 635 in file .gra /

RN 847235-04-5 CAPLUS
CN 1H-Benzimidazol-2-amine, 7-bromo-N-[4-[(3-methyl-3H-imidazo[4,5-c]pyridin-4-yl)thio]phenyl]-5-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 636 in file .gra /

RN 847235-05-6 CAPLUS
CN 1H-Benzimidazol-2-amine, 7-chloro-5-(trifluoromethyl)-N-[4-[(2,4,7-trimethyl-6-benzothiazolyl)oxy]phenyl]- (CA INDEX NAME)

/ Structure 637 in file .gra /

RN 847235-06-7 CAPLUS
CN 1H-Benzimidazol-2-amine, 7-chloro-N-[4-(imidazo[1,2-a]pyridin-8-yloxy)phenyl]-5-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 638 in file .gra /

RN 847235-07-8 CAPLUS
CN 1H-Benzimidazol-2-amine, 7-bromo-5-(trifluoromethyl)-N-[4-[(2,4,7-trimethyl-6-benzothiazolyl)oxy]phenyl]- (CA INDEX NAME)

/ Structure 639 in file .gra /

RN 847235-08-9 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[4-(2,1,3-benzothiadiazol-5-yloxy)phenyl]-7-fluoro-5-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 640 in file .gra /

RN 847235-09-0 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[4-(2,1,3-benzothiadiazol-5-yloxy)phenyl]-5,7-difluoro- (CA INDEX NAME)

/ Structure 641 in file .gra /

RN 847235-11-4 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[4-(2,1,3-benzothiadiazol-5-yloxy)phenyl]-6,7-difluoro- (CA INDEX NAME)

/ Structure 642 in file .gra /

RN 847235-12-5 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[4-(2,1,3-benzothiadiazol-5-yloxy)phenyl]-5,6-difluoro- (CA INDEX NAME)

/ Structure 643 in file .gra /

RN 847235-14-7 CAPLUS
CN 2-Benzothiazolamine, 6-[4-[[7-chloro-5-(trifluoromethyl)-1H-benzimidazol-2-yl]amino]phenoxy]- (CA INDEX NAME)

/ Structure 644 in file .gra /

RN 847235-15-8 CAPLUS
CN 1H-Benzimidazol-2-amine, 6,7-difluoro-N-[4-[(3-methyl-3H-imidazo[4,5-c]pyridin-4-yl)thio]phenyl]- (CA INDEX NAME)

/ Structure 645 in file .gra /

RN 847235-16-9 CAPLUS
CN 1H-Benzimidazol-2-amine, 7-bromo-N-[4-[(2-methyl-5-benzothiazolyl)oxy]phenyl]-5-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 646 in file .gra /

RN 847235-17-0 CAPLUS
CN 1H-Benzimidazol-2-amine, 7-chloro-N-[4-[(2-methyl-5-benzothiazolyl)oxy]phenyl]-5-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 647 in file .gra /

RN 847235-19-2 CAPLUS
CN 1H-Benzimidazol-2-amine, 7-bromo-N-[4-(imidazo[1,2-a]pyridin-8-yloxy)phenyl]-5-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 648 in file .gra /

RN 847235-20-5 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[4-[2-(2,1,3-benzothiadiazol-5-yloxy)phenoxy]phenyl]-7-chloro-5-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 649 in file .gra /

RN 847235-21-6 CAPLUS
CN 1H-Benzimidazol-2-amine, 7-bromo-N-[4-[(2,3-dihydro-1,4-benzodioxin-6-yl)oxy]phenyl]-5-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 650 in file .gra /

RN 847235-22-7 CAPLUS
CN 1H-Benzimidazol-2-amine, 7-chloro-N-[4-[(2,3-dihydro-1,4-benzodioxin-6-

yl)oxy]phenyl]-5-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 651 in file .gra /

RN 847235-25-0 CAPLUS
CN 1H-Benzimidazol-2-amine, 7-bromo-N-[4-[(1-methyl-1H-imidazo[4,5-c]pyridin-4-yl)thio]phenyl]-5-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 652 in file .gra /

RN 847235-26-1 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[4-(2,1,3-benzothiadiazol-5-yloxy)-3-methylphenyl]-7-bromo-5-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 653 in file .gra /

RN 847235-27-2 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[4-(2,1,3-benzothiadiazol-5-yloxy)-3-methylphenyl]-7-chloro-5-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 654 in file .gra /

RN 847235-28-3 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[4-(2,1,3-benzothiadiazol-5-yloxy)-2-methylphenyl]-7-bromo-5-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 655 in file .gra /

RN 847235-29-4 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[4-(2,1,3-benzothiadiazol-5-yloxy)-2-methylphenyl]-7-chloro-5-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 656 in file .gra /

RN 847235-30-7 CAPLUS
CN 1H-Benzimidazol-2-amine, 7-bromo-N-[4-[(2,3-dihydro-1,4-benzodioxin-5-yl)oxy]phenyl]-5-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 657 in file .gra /

RN 847235-31-8 CAPLUS
CN 1H-Benzimidazol-2-amine, 7-chloro-N-[4-[(2,3-dihydro-1,4-benzodioxin-5-yl)oxy]phenyl]-5-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 658 in file .gra /

RN 847235-32-9 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[4-(1,3-benzodioxol-4-yloxy)phenyl]-7-bromo-5-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 659 in file .gra /

RN 847235-33-0 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[4-(1,3-benzodioxol-4-yloxy)phenyl]-7-chloro-5-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 660 in file .gra /

RN 847235-34-1 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[4-(1,3-benzodioxol-5-yloxy)phenyl]-6,7-difluoro- (CA INDEX NAME)

/ Structure 661 in file .gra /

RN 847235-36-3 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[4-(1,3-benzodioxol-5-yloxy)phenyl]-6-fluoro- (CA INDEX NAME)

/ Structure 662 in file .gra /

RN 847235-38-5 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[4-(1,3-benzodioxol-5-yloxy)phenyl]-5,7-difluoro- (CA INDEX NAME)

/ Structure 663 in file .gra /

RN 847235-39-6 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[4-(2,1,3-benzothiadiazol-5-yloxy)phenyl]-6-fluoro- (CA INDEX NAME)

/ Structure 664 in file .gra /

RN 847235-40-9 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[4-(2,1,3-benzothiadiazol-5-yloxy)phenyl]-5,6,7-trifluoro- (CA INDEX NAME)

/ Structure 665 in file .gra /

RN 847235-42-1 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[4-(2,1,3-benzothiadiazol-5-ylthio)phenyl]-7-bromo-5-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 666 in file .gra /

RN 847235-43-2 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[4-(2,1,3-benzothiadiazol-5-ylthio)phenyl]-7-chloro-5-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 667 in file .gra /

RN 847235-44-3 CAPLUS
CN 1H-Benzimidazol-2-amine, 7-bromo-N-[4-[(2,3-dihydro-1H-inden-5-yl)oxy]phenyl]-5-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 668 in file .gra /

RN 847235-45-4 CAPLUS
CN 1H-Inden-1-one, 5-[4-[(6-fluoro-1H-benzimidazol-2-yl)amino]phenoxy]-2,3-dihydro- (CA INDEX NAME)

/ Structure 669 in file .gra /

RN 847235-46-5 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[4-(2,1,3-benzothiadiazol-5-yloxy)-3-fluorophenyl]-7-bromo-5-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 670 in file .gra /

RN 847235-47-6 CAPLUS
CN 1H-Benzimidazol-2-amine, N-[4-(2,1,3-benzothiadiazol-5-yloxy)-3-fluorophenyl]-7-chloro-5-(trifluoromethyl)- (CA INDEX NAME)

/ Structure 671 in file .gra /

RN 847235-52-3 CAPLUS
CN 2-Benzofurancarboxylic acid, 5-[4-[[7-bromo-5-(trifluoromethyl)-1H-benzimidazol-2-yl]amino]phenoxy]-, ethyl ester (CA INDEX NAME)

/ Structure 672 in file .gra /

RN 847235-53-4 CAPLUS
CN 2-Benzofurancarboxylic acid, 5-[4-[[7-chloro-5-(trifluoromethyl)-1H-benzimidazol-2-yl]amino]phenoxy]-, ethyl ester (CA INDEX NAME)

/ Structure 673 in file .gra /

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 12 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:817883 CAPLUS <<LOGINID::20090203>>
DOCUMENT NUMBER: 141:332190
TITLE: Preparation of fused azoles such as 2,5-disubstituted benzimidazoles, benzoxazoles and benzothiazoles as kinase inhibitors
INVENTOR(S): Dipietro, Lucian V.; Harmange, Jean-Christophe; Askew, Benny C., Jr.; Elbaum, Daniel; Germain, Julie; Habgood, Gregory J.; Kim, Joseph L.; Patel, Vinod F.; Potashman, Michele; Van der Plas, Simon
PATENT ASSIGNEE(S): Amgen Inc., USA
SOURCE: PCT Int. Appl., 289 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
WO 2004085425	A1	20041007	WO 2004-US8809	20040322

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 20040209892 A1 20041021 US 2004-804915 20040319
AU 2004223827 A1 20041007 AU 2004-223827 20040322
AU 2004223827 B2 20080306
CA 2518909 A1 20041007 CA 2004-2518909 20040322
EP 1638954 A1 20060329 EP 2004-758050 20040322

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK

JP 2006520805 T 20060914 JP 2006-507472 20040322
MX 2005010086 A 20060210 MX 2005-10086 20050921

PRIORITY APPLN. INFO.: US 2003-456691P P 20030321
US 2004-804915 A 20040319
WO 2004-US8809 A 20040322

OTHER SOURCE(S): MARPAT 141:332190

IT 1055984-60-5
RL: PRPH (Prophetic)
(Preparation of fused azoles such as 2,5-disubstituted benzimidazoles, benzoxazoles and benzothiazoles as kinase inhibitors)

RN 1055984-60-5 CAPLUS

CN Benzamide, N-methyl-3-[[2-[(4-phenoxyphenyl)amino]-1H-benzimidazol-6-yl]thio]- (CA INDEX NAME)

/ Structure 674 in file .gra /

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 13 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:513393 CAPLUS <<LOGINID::20090203>>

DOCUMENT NUMBER: 141:71544

TITLE: Preparation of substituted benzazoles as Raf kinase inhibitors

INVENTOR(S): Amiri, Payman; Fantl, Wendy; Levine, Barry Haskell; Poon, Daniel J.; Ramurthy, Savithri; Renhowe, Paul A.; Subramanian, Sharadha; Sung, Leonard

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 476 pp., Cont.-in-part of U.S. Pat. Appl. 2004 87,626.
CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040122237	A1	20040624	US 2003-675927	20030929
US 20040087626	A1	20040506	US 2003-405945	20030331
US 7071216	B2	20060704		
AU 2004277405	A1	20050414	AU 2004-277405	20040929

CA 2539748	A1	20050414	CA 2004-2539748	20040929
WO 2005032548	A1	20050414	WO 2004-US32161	20040929
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1675584	A1	20060705	EP 2004-789345	20040929
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK			
BR 2004014908	A	20061107	BR 2004-14908	20040929
CN 1913884	A	20070214	CN 2004-80032677	20040929
JP 2007507428	T	20070329	JP 2006-528331	20040929
US 20070299039	A1	20071227	US 2005-282939	20051118
MX 2006003435	A	20060620	MX 2006-3435	20060327
JP 2006193533	A	20060727	JP 2006-96143	20060330
KR 2006089232	A	20060808	KR 2006-706470	20060403
IN 2006KN00838	A	20070413	IN 2006-KN838	20060405
PRIORITY APPLN. INFO.:			US 2002-369066P	P 20020329
			US 2003-405945	A2 20030331
			JP 2003-579810	A3 20030331
			US 2003-675927	A 20030929
			WO 2004-US32161	W 20040929
OTHER SOURCE(S): MARPAT 141:71544				
IT	611213-19-5P 611213-76-4P 611214-53-0P 611217-34-6P			
RL:	PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)			
	(Raf kinase inhibitor; preparation of substituted benzazoles as Raf kinase inhibitors for treatment of cancer)			
RN	611213-19-5 CAPLUS			
CN	2-Pyridinecarboxamide, N-methyl-4-[[2-[(4-phenoxyphenyl)amino]-1H-benzimidazol-6-yl]oxy]- (CA INDEX NAME)			
/ Structure 675 in file .gra /				
RN	611213-76-4 CAPLUS			
CN	2-Pyridinecarboxamide, N-methyl-4-[[1-methyl-2-[(4-phenoxyphenyl)amino]-1H-benzimidazol-5-yl]oxy]- (CA INDEX NAME)			
/ Structure 676 in file .gra /				
RN	611214-53-0 CAPLUS			
CN	2-Pyridinecarboxamide, N-methyl-4-[[1-methyl-2-[[4-(4-nitrophenoxy)phenyl]amino]-1H-benzimidazol-5-yl]oxy]- (CA INDEX NAME)			
/ Structure 677 in file .gra /				
RN	611217-34-6 CAPLUS			
CN	2-Pyridinecarboxamide, N-methyl-4-[[2-[(4-phenoxyphenyl)amino]-5-benzoxazolyl]oxy]- (CA INDEX NAME)			

/ Structure 678 in file .gra /

L3 ANSWER 14 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:195004 CAPLUS <<LOGINID::20090203>>
DOCUMENT NUMBER: 140:391238
TITLE: NR2B-Selective N-Methyl-D-aspartate Antagonists:
Synthesis and Evaluation of 5-Substituted
Benzimidazoles
AUTHOR(S): McCauley, John A.; Theberge, Cory R.; Romano, Joseph
J.; Billings, Susan B.; Anderson, Kenneth D.;
Claremon, David A.; Freidinger, Roger M.; Bednar,
Rodney A.; Mosser, Scott D.; Gaul, Stanley L.;
Connolly, Thomas M.; Condra, Cindra L.; Xia, Menghang;
Cunningham, Michael E.; Bednar, Bohumil; Stump, Gary
L.; Lynch, Joseph J.; Macaulay, Alison; Wafford, Keith
A.; Koblan, Kenneth S.; Liverton, Nigel J.
CORPORATE SOURCE: Departments of Medicinal Chemistry, Molecular
Pharmacology and Pharmacology, Merck Research
Laboratories, West Point, PA, 19486, USA
SOURCE: Journal of Medicinal Chemistry (2004), 47(8),
2089-2096
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:391238
IT 68321-05-1P 337964-69-9P 337964-71-3P
337964-72-4P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant
or reagent)
(preparation of 5-substituted benzimidazoles as NR2B-selective
N-methyl-D-aspartate antagonists)
RN 68321-05-1 CAPLUS
CN 1H-Benzimidazole, 2-[(4-phenoxyphenyl)methyl]- (CA INDEX NAME)

/ Structure 679 in file .gra /

RN 337964-69-9 CAPLUS
CN 1H-Benzimidazole, 6-methoxy-2-[(4-phenoxyphenyl)methyl]- (CA INDEX NAME)

/ Structure 680 in file .gra /

RN 337964-71-3 CAPLUS
CN 1H-Benzimidazole, 6-nitro-2-[(4-phenoxyphenyl)methyl]- (CA INDEX NAME)

/ Structure 681 in file .gra /

RN 337964-72-4 CAPLUS
CN 1H-Benzimidazol-6-amine, 2-[(4-phenoxyphenyl)methyl]- (CA INDEX NAME)

/ Structure 682 in file .gra /

IT 337964-70-2P 337964-73-5P 337964-79-1P

337964-85-9P 337964-92-8P 337964-94-0P
337965-02-3P 337965-32-9P 337965-44-3P
337965-67-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL
(Biological study); PREP (Preparation)
(preparation of 5-substituted benzimidazoles as NR2B-selective
N-methyl-D-aspartate antagonists)

RN 337964-70-2 CAPLUS

CN 1H-Benzimidazol-6-ol, 2-[(4-phenoxyphenyl)methyl]- (CA INDEX NAME)

/ Structure 683 in file .gra /

RN 337964-73-5 CAPLUS

CN Methanesulfonamide, N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-6-yl]-
(CA INDEX NAME)

/ Structure 684 in file .gra /

RN 337964-79-1 CAPLUS

CN Methanesulfonamide, N-methyl-N-[2-[(4-phenoxyphenyl)methyl]-1H-
benzimidazol-6-yl]- (CA INDEX NAME)

/ Structure 685 in file .gra /

RN 337964-85-9 CAPLUS

CN 1H-Benzimidazole-6-carbonitrile, 2-[(4-phenoxyphenyl)methyl]- (CA INDEX
NAME)

/ Structure 686 in file .gra /

RN 337964-92-8 CAPLUS

CN Ethanesulfonamide, N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-6-yl]-
(CA INDEX NAME)

/ Structure 687 in file .gra /

RN 337964-94-0 CAPLUS

CN 1-Propanesulfonamide, N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-6-yl]-
(CA INDEX NAME)

/ Structure 688 in file .gra /

RN 337965-02-3 CAPLUS

CN Benzenesulfonamide, N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-6-yl]-
(CA INDEX NAME)

/ Structure 689 in file .gra /

RN 337965-32-9 CAPLUS

CN Acetamide, N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-6-yl]- (CA
INDEX NAME)

/ Structure 690 in file .gra /

RN 337965-44-3 CAPLUS
CN 2-Propanesulfonamide, N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-6-yl]-
(CA INDEX NAME)

/ Structure 691 in file .gra /

RN 337965-67-0 CAPLUS
CN 1H-Benzimidazol-7-ol, 2-[(4-phenoxyphenyl)methyl]- (CA INDEX NAME)

/ Structure 692 in file .gra /

REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:848645 CAPLUS <<LOGINID::20090203>>
DOCUMENT NUMBER: 140:296830
TITLE: Synthesis and cytotoxicity of substituted
2-benzyl naphth[2,3-d]imidazoles
AUTHOR(S): Grella, G. E.; Cabras, M. C.; Murineddu, G.; Pau, A.;
Pinna, G. A.
CORPORATE SOURCE: Dipartimento Farmaco Chimico Tossicologico, Universita
di Sassari, Sassari, 07100, Italy
SOURCE: European Journal of Pharmaceutical Sciences (2003),
20(3), 267-272
CODEN: EPSCED; ISSN: 0928-0987
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:296830
IT 676530-61-3P
RL: PAC (Pharmacological activity); PRP (Properties); RCT (Reactant); SPN
(Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);
PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(synthesis and cytotoxicity of substituted
2-benzyl naphth[2,3-d]imidazoles)
RN 676530-61-3 CAPLUS
CN 1H-Naphth[2,3-d]imidazole, 2-[[4-(phenylthio)phenyl]methyl]- (CA INDEX
NAME)

/ Structure 693 in file .gra /

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 16 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:796477 CAPLUS <<LOGINID::20090203>>
DOCUMENT NUMBER: 139:307759
TITLE: Preparation of substituted benzazoles as Raf kinase
inhibitors
INVENTOR(S): Renhowe, Paul A.; Ramurthy, Savithri; Amiri, Payman;
Levine, Barry Haskell; Poon, Daniel J.; Subramanian,
Sharadha; Sung, Leonard; Fantl, Wendy
PATENT ASSIGNEE(S): Chiron Corporation, USA
SOURCE: PCT Int. Appl., 259 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003082272	A1	20031009	WO 2003-US10117	20030331
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2480638	A1	20031009	CA 2003-2480638	20030331
AU 2003226211	A1	20031013	AU 2003-226211	20030331
AU 2003226211	B2	20080529		
EP 1499311	A1	20050126	EP 2003-745683	20030331
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
BR 2003008854	A	20050222	BR 2003-8854	20030331
CN 1655779	A	20050817	CN 2003-812193	20030331
JP 2005529089	T	20050929	JP 2003-579810	20030331
NZ 535985	A	20070427	NZ 2003-535985	20030331
AP 1913	A	20081031	AP 2004-3161	20030331
IN 2004KN01433	A	20051230	IN 2004-KN1433	20040927
MX 2004009541	A	20050125	MX 2004-9541	20040929
NO 2004004617	A	20041228	NO 2004-4617	20041026
ZA 2004008386	A	20060531	ZA 2004-8386	20060308
JP 2006193533	A	20060727	JP 2006-96143	20060330
PRIORITY APPLN. INFO.:			US 2002-369066P	P 20020329
			JP 2003-579810	A3 20030331
			WO 2003-US10117	W 20030331

OTHER SOURCE(S): MARPAT 139:307759

IT 611213-19-5P 611213-76-4P 611214-53-0P
611217-34-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted benzazoles as Raf kinase inhibitors)

RN 611213-19-5 CAPLUS

CN 2-Pyridinecarboxamide, N-methyl-4-[[2-[(4-phenoxyphenyl)amino]-1H-benzimidazol-6-yl]oxy]- (CA INDEX NAME)

/ Structure 694 in file .gra /

RN 611213-76-4 CAPLUS

CN 2-Pyridinecarboxamide, N-methyl-4-[[1-methyl-2-[(4-phenoxyphenyl)amino]-1H-benzimidazol-5-yl]oxy]- (CA INDEX NAME)

/ Structure 695 in file .gra /

RN 611214-53-0 CAPLUS

CN 2-Pyridinecarboxamide, N-methyl-4-[[1-methyl-2-[[4-(4-nitrophenoxy)phenyl]amino]-1H-benzimidazol-5-yl]oxy]- (CA INDEX NAME)

/ Structure 696 in file .gra /

RN 611217-34-6 CAPLUS
CN 2-Pyridinecarboxamide, N-methyl-4-[[2-[(4-phenoxyphenyl)amino]-5-benzoxazolyl]oxy]- (CA INDEX NAME)

/ Structure 697 in file .gra /

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 17 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:570948 CAPLUS <<LOGINID::20090203>>
DOCUMENT NUMBER: 139:133343
TITLE: Preparation of arylsulfonylalkanoic acids as $\alpha\text{v}\beta 3$ and $\alpha\text{v}\beta 5$ integrin antagonists
INVENTOR(S): Dixon, Julie; Brennan, Catherine; Dumas, Jacques; Hatoum-Mokdad, Holia; Sibley, Robert; Hart, Barry; Khire, Uday; Scott, William J.; Johson, Jeffrey; Liu, Peking; Redman, Aniko; Wood, Jill
PATENT ASSIGNEE(S): Bayer Corporation, USA
SOURCE: PCT Int. Appl., 261 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003059872	A1	20030724	WO 2002-US41692	20021231
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2002364260	A1	20030730	AU 2002-364260	20021231
PRIORITY APPLN. INFO.:			US 2001-345726P	P 20011231
			WO 2002-US41692	W 20021231

OTHER SOURCE(S): MARPAT 139:133343

IT 569305-98-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of arylsulfonylalkanoic acids as $\alpha\text{v}\beta 3$ and $\alpha\text{v}\beta 5$ integrin antagonists)

RN 569305-98-2 CAPLUS
CN Benzenepropanoic acid, β -[[[4-[4-(2-benzothiazolylamino)phenoxy]phenyl]sulfonyl]methyl]- (CA INDEX NAME)

/ Structure 698 in file .gra /

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 18 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:492184 CAPLUS <<LOGINID::20090203>>
DOCUMENT NUMBER: 139:69263
TITLE: Preparation of benzimidazoles as
gonadotropin-releasing hormone receptor antagonists
and their use against cancer and other diseases
INVENTOR(S): Poitout, Lydie; Brault, Valerie; Ferrandis, Eric;
Thurieu, Christophe
PATENT ASSIGNEE(S): Societe De Conseils De Recherches Et D'Applications
Scientifiques SCRAS, Fr.
SOURCE: Fr. Demande, 140 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2833948	A1	20030627	FR 2001-16647	20011221
FR 2833948	B1	20040206		
CA 2471044	A1	20030703	CA 2002-2471044	20021220
WO 2003053939	A1	20030703	WO 2002-FR4477	20021220
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2002365016	A1	20030709	AU 2002-365016	20021220
AU 2002365016	B2	20081120		
EP 1467974	A1	20041020	EP 2002-805404	20021220
EP 1467974	B1	20070815		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK			
CN 1615300	A	20050511	CN 2002-827409	20021220
CN 100334077	C	20070829		
JP 2005514397	T	20050519	JP 2003-554655	20021220
HU 2005000183	A2	20050530	HU 2005-183	20021220
NZ 533558	A	20051223	NZ 2002-533558	20021220
RU 2294326	C2	20070227	RU 2004-122102	20021220
AT 370126	T	20070915	AT 2002-805404	20021220
ES 2291544	T3	20080301	ES 2002-805404	20021220
US 20050049290	A1	20050303	US 2004-499384	20040616
NO 2004003095	A	20040719	NO 2004-3095	20040719
HK 1070359	A1	20080704	HK 2005-103022	20050411
PRIORITY APPLN. INFO.:			FR 2001-16647	A 20011221
			WO 2002-FR4477	W 20021220

OTHER SOURCE(S): MARPAT 139:69263

IT 549540-90-1P, N,N-Diisobutyl-1-[3-[(methyl)(2-phenylethyl)amino]propyl]-2-[(4-phenoxyphenyl)amino]-1H-benzimidazole-5-carboxamide 549541-14-2P,
N-[4-(Diethylamino)phenyl]-1-[3-[(methyl)(2-phenylethyl)amino]propyl]-2-[(4-phenoxyphenyl)amino]-1H-benzimidazole-5-carboxamide

549541-38-0P, N,N-Diisobutyl-1-[3-[(methyl)(2-(pyridin-2-yl)ethyl)amino]propyl]-2-[(4-phenoxyphenyl)amino]-1H-benzimidazole-5-carboxamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of benzimidazoles as gonadotropin-releasing hormone receptor antagonists and their use against cancer and other diseases)

RN 549540-90-1 CAPLUS

CN 1H-Benzimidazole-5-carboxamide, 1-[3-[methyl(2-phenylethyl)amino]propyl]-N,N-bis(2-methylpropyl)-2-[(4-phenoxyphenyl)amino]- (CA INDEX NAME)

/ Structure 699 in file .gra /

RN 549541-14-2 CAPLUS

CN 1H-Benzimidazole-5-carboxamide, N-[4-(diethylamino)phenyl]-1-[3-[methyl(2-phenylethyl)amino]propyl]-2-[(4-phenoxyphenyl)amino]- (CA INDEX NAME)

/ Structure 700 in file .gra /

RN 549541-38-0 CAPLUS

CN 1H-Benzimidazole-5-carboxamide, N,N-bis(2-methylpropyl)-1-[3-[methyl(2-(2-pyridinyl)ethyl)amino]propyl]-2-[(4-phenoxyphenyl)amino]- (CA INDEX NAME)

/ Structure 701 in file .gra /

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:338351 CAPLUS <<LOGINID::20090203>>

DOCUMENT NUMBER: 134:340508

TITLE: Preparation of 2-benzyl and 2-heteroaryl benzimidazole NMDA/NR2B antagonists

INVENTOR(S): McCauley, John A.; Theberge, Cory R.; Liverton, Nigel J.; Claremon, David A.; Claiborne, Christopher F.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 80 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001032174	A1	20010510	WO 2000-US29470	20001026
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
US 6316474	B1	20011113	US 2000-696501	20001025

CA 2389259	A1	20010510	CA 2000-2389259	20001026
EP 1242076	A1	20020925	EP 2000-975393	20001026
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003513041	T	20030408	JP 2001-534379	20001026
PRIORITY APPLN. INFO.:			US 1999-162351P	P 19991029
			WO 2000-US29470	W 20001026

OTHER SOURCE(S): MARPAT 134:340508

IT 337964-69-9P, 6-Methoxy-2-(4-phenoxybenzyl)-1H-benzimidazole
 337964-71-3P, 6-Nitro-2-(4-phenoxybenzyl)-1H-benzimidazole
 337964-72-4P, 2-(4-Phenoxybenzyl)-3H-benzimidazol-5-ylamine
 337964-73-5P, N-[2-(4-Phenoxybenzyl)-3H-benzimidazol-5-yl]methanesulfonamide 337964-80-4P,
 5-(1,3-Dioxo-1,3-dihydro-2H-isoindol-2-yl)-N-[2-(4-phenoxybenzyl)-1H-benzimidazol-6-yl]pentane-1-sulfonamide 337964-81-5P,
 5-Amino-N-[2-(4-phenoxybenzyl)-1H-benzimidazol-6-yl]pentane-1-sulfonamide
 337964-85-9P, 2-(4-Phenoxybenzyl)-1H-benzimidazole-6-carbonitrile
 337964-86-0P, 1-[2-(4-Phenoxybenzyl)-1H-benzimidazole-6-yl]methanamine
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of 2-benzyl and 2-heteroaryl benzimidazole NMDA/NR2B antagonists by cycloaddn. of phenylenediamines with arylacetates)
 RN 337964-69-9 CAPLUS
 CN 1H-Benzimidazole, 6-methoxy-2-[(4-phenoxyphenyl)methyl]- (CA INDEX NAME)

/ Structure 702 in file .gra /

RN 337964-71-3 CAPLUS
 CN 1H-Benzimidazole, 6-nitro-2-[(4-phenoxyphenyl)methyl]- (CA INDEX NAME)

/ Structure 703 in file .gra /

RN 337964-72-4 CAPLUS
 CN 1H-Benzimidazol-6-amine, 2-[(4-phenoxyphenyl)methyl]- (CA INDEX NAME)

/ Structure 704 in file .gra /

RN 337964-73-5 CAPLUS
 CN Methanesulfonamide, N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

/ Structure 705 in file .gra /

RN 337964-80-4 CAPLUS
 CN 2H-Isoindole-2-pentanesulfonamide,
 1,3-dihydro-1,3-dioxo-N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

/ Structure 706 in file .gra /

RN 337964-81-5 CAPLUS
 CN 1-Pentanesulfonamide, 5-amino-N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

/ Structure 707 in file .gra /

RN 337964-85-9 CAPLUS
CN 1H-Benzimidazole-6-carbonitrile, 2-[(4-phenoxyphenyl)methyl]- (CA INDEX NAME)

/ Structure 708 in file .gra /

RN 337964-86-0 CAPLUS
CN 1H-Benzimidazole-6-methanamine, 2-[(4-phenoxyphenyl)methyl]- (CA INDEX NAME)

/ Structure 709 in file .gra /

IT 68321-05-1P, 2-(4-Phenoxybenzyl)-1H-benzimidazole
337964-70-2P 337964-79-1P,
N-Methyl-N-[2-(4-phenoxybenzyl)-1H-benzimidazol-6-yl]methanesulfonamide
337964-82-6P 337964-83-7P,
N-[5-[[[2-(4-Phenoxybenzyl)-1H-benzimidazol-6-yl]amino]sulfonyl]pentyl]acetamide 337964-87-1P,
N-[2-(4-Phenoxybenzyl)-1H-benzimidazole-6-yl]methyl]propane-2-sulfonamide
337964-88-2P, N-[2-(3-Cyano-4-phenoxybenzyl)-1H-benzimidazol-6-yl]methanesulfonamide 337964-93-9P 337964-94-0P
337964-95-1P 337964-96-2P 337964-97-3P
337964-98-4P 337964-99-5P 337965-00-1P
337965-01-2P 337965-02-3P 337965-03-4P
337965-05-6P 337965-07-8P 337965-09-0P
337965-11-4P 337965-13-6P 337965-15-8P
337965-17-0P 337965-19-2P 337965-21-6P
337965-23-8P 337965-25-0P 337965-27-2P
337965-29-4P 337965-31-8P 337965-33-0P
337965-35-2P 337965-37-4P 337965-38-5P
337965-40-9P 337965-41-0P 337965-42-1P
337965-43-2P 337965-45-4P 337965-47-6P
337965-48-7P 337965-50-1P 337965-52-3P
337965-67-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-benzyl and 2-heteroaryl benzimidazole NMDA/NR2B antagonists by cycloaddn. of phenylenediamines with arylacetates)
RN 68321-05-1 CAPLUS
CN 1H-Benzimidazole, 2-[(4-phenoxyphenyl)methyl]- (CA INDEX NAME)

/ Structure 710 in file .gra /

RN 337964-70-2 CAPLUS
CN 1H-Benzimidazol-6-ol, 2-[(4-phenoxyphenyl)methyl]- (CA INDEX NAME)

/ Structure 711 in file .gra /

RN 337964-79-1 CAPLUS
CN Methanesulfonamide, N-methyl-N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

/ Structure 712 in file .gra /

RN 337964-82-6 CAPLUS
CN 1-Pentanesulfonamide, 5-[[[(3',6'-dihydroxy-3-oxospiro[isobenzofuran-1(3H),9'-[9H]xanthen]-5-yl)amino]thioxomethyl]amino]-N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

/ Structure 713 in file .gra /

/ Structure 714 in file .gra /

RN 337964-83-7 CAPLUS
CN Acetamide, N-[5-[[[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-6-yl]amino]sulfonyl]pentyl]- (CA INDEX NAME)

/ Structure 715 in file .gra /

RN 337964-87-1 CAPLUS
CN 2-Propanesulfonamide, N-[[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-6-yl]methyl]- (CA INDEX NAME)

/ Structure 716 in file .gra /

RN 337964-88-2 CAPLUS
CN Methanesulfonamide, N-[2-[(3-cyano-4-phenoxyphenyl)methyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

/ Structure 717 in file .gra /

RN 337964-93-9 CAPLUS
CN Ethanesulfonamide, N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-6-yl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 337964-92-8
CMF C22 H21 N3 O3 S

/ Structure 718 in file .gra /

CM 2

CRN 76-05-1
CMF C2 H F3 O2

/ Structure 719 in file .gra /

RN 337964-94-0 CAPLUS
CN 1-Propanesulfonamide, N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

/ Structure 720 in file .gra /

RN 337964-95-1 CAPLUS
CN 1-Propanesulfonamide, N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-6-yl]-
, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 337964-94-0
CMF C23 H23 N3 O3 S

/ Structure 721 in file .gra /

CM 2

CRN 76-05-1
CMF C2 H F3 O2

/ Structure 722 in file .gra /

RN 337964-96-2 CAPLUS
CN 1-Butanesulfonamide, N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-6-yl]-
(CA INDEX NAME)

/ Structure 723 in file .gra /

RN 337964-97-3 CAPLUS
CN 1-Butanesulfonamide, N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-6-yl]-
, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 337964-96-2
CMF C24 H25 N3 O3 S

/ Structure 724 in file .gra /

CM 2

CRN 76-05-1
CMF C2 H F3 O2

/ Structure 725 in file .gra /

RN 337964-98-4 CAPLUS
CN 2-Thiophenesulfonamide, N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-6-
yl]- (CA INDEX NAME)

/ Structure 726 in file .gra /

RN 337964-99-5 CAPLUS
CN 2-Thiophenesulfonamide, N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-6-
yl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 337964-98-4

CMF C24 H19 N3 O3 S2

/ Structure 727 in file .gra /

CM 2

CRN 76-05-1

CMF C2 H F3 O2

/ Structure 728 in file .gra /

RN 337965-00-1 CAPLUS

CN 1H-Imidazole-4-sulfonamide, 1-methyl-N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

/ Structure 729 in file .gra /

RN 337965-01-2 CAPLUS

CN 1H-Imidazole-4-sulfonamide, 1-methyl-N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-6-yl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 337965-00-1

CMF C24 H21 N5 O3 S

/ Structure 730 in file .gra /

CM 2

CRN 76-05-1

CMF C2 H F3 O2

/ Structure 731 in file .gra /

RN 337965-02-3 CAPLUS

CN Benzenesulfonamide, N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

/ Structure 732 in file .gra /

RN 337965-03-4 CAPLUS

CN Benzenesulfonamide, N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-6-yl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 337965-02-3

CMF C26 H21 N3 O3 S

/ Structure 733 in file .gra /

CM 2
CRN 76-05-1
CMF C2 H F3 O2

/ Structure 734 in file .gra /

RN 337965-05-6 CAPLUS
CN Benzenesulfonamide, 2-methyl-N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-6-yl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)
CM 1
CRN 337965-04-5
CMF C27 H23 N3 O3 S

/ Structure 735 in file .gra /

CM 2
CRN 76-05-1
CMF C2 H F3 O2

/ Structure 736 in file .gra /

RN 337965-07-8 CAPLUS
CN Benzenesulfonamide, 3-methyl-N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-6-yl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)
CM 1
CRN 337965-06-7
CMF C27 H23 N3 O3 S

/ Structure 737 in file .gra /

CM 2
CRN 76-05-1
CMF C2 H F3 O2

/ Structure 738 in file .gra /

RN 337965-09-0 CAPLUS
CN Benzenesulfonamide, 4-methyl-N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-6-yl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)
CM 1

CRN 337965-08-9
CMF C27 H23 N3 O3 S

/ Structure 739 in file .gra /

CM 2

CRN 76-05-1
CMF C2 H F3 O2

/ Structure 740 in file .gra /

RN 337965-11-4 CAPLUS
CN Benzenesulfonamide, 3-chloro-N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-6-yl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 337965-10-3
CMF C26 H20 Cl N3 O3 S

/ Structure 741 in file .gra /

CM 2

CRN 76-05-1
CMF C2 H F3 O2

/ Structure 742 in file .gra /

RN 337965-13-6 CAPLUS
CN Benzenesulfonamide, 4-chloro-N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-6-yl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 337965-12-5
CMF C26 H20 Cl N3 O3 S

/ Structure 743 in file .gra /

CM 2

CRN 76-05-1
CMF C2 H F3 O2

/ Structure 744 in file .gra /

RN 337965-15-8 CAPLUS
CN Benzenesulfonamide, 2-fluoro-N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-6-yl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1
CRN 337965-14-7
CMF C26 H20 F N3 O3 S

/ Structure 745 in file .gra /

CM 2
CRN 76-05-1
CMF C2 H F3 O2

/ Structure 746 in file .gra /

RN 337965-17-0 CAPLUS
CN Benzenesulfonamide, 4-fluoro-N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-6-yl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1
CRN 337965-16-9
CMF C26 H20 F N3 O3 S

/ Structure 747 in file .gra /

CM 2
CRN 76-05-1
CMF C2 H F3 O2

/ Structure 748 in file .gra /

RN 337965-19-2 CAPLUS
CN Benzenesulfonamide, 4-methoxy-N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-6-yl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1
CRN 337965-18-1
CMF C27 H23 N3 O4 S

/ Structure 749 in file .gra /

CM 2
CRN 76-05-1
CMF C2 H F3 O2

/ Structure 750 in file .gra /

RN 337965-21-6 CAPLUS
CN Benzenesulfonamide, N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-6-yl]-2-

(trifluoromethoxy)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 337965-20-5

CMF C27 H20 F3 N3 O4 S

/ Structure 751 in file .gra /

CM 2

CRN 76-05-1

CMF C2 H F3 O2

/ Structure 752 in file .gra /

RN 337965-23-8 CAPLUS

CN Benzenesulfonamide, N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-6-yl]-2-(trifluoromethyl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 337965-22-7

CMF C27 H20 F3 N3 O3 S

/ Structure 753 in file .gra /

CM 2

CRN 76-05-1

CMF C2 H F3 O2

/ Structure 754 in file .gra /

RN 337965-25-0 CAPLUS

CN Benzenesulfonamide, N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-6-yl]-4-(trifluoromethyl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 337965-24-9

CMF C27 H20 F3 N3 O3 S

/ Structure 755 in file .gra /

CM 2

CRN 76-05-1

CMF C2 H F3 O2

/ Structure 756 in file .gra /

RN 337965-27-2 CAPLUS
CN 4-Isoxazolesulfonamide, 3,5-dimethyl-N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-6-yl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 337965-26-1
CMF C25 H22 N4 O4 S

/ Structure 757 in file .gra /

CM 2

CRN 76-05-1
CMF C2 H F3 O2

/ Structure 758 in file .gra /

RN 337965-29-4 CAPLUS
CN 2-Thiophenesulfonamide, N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-6-yl]-5-(phenylsulfonyl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 337965-28-3
CMF C30 H23 N3 O5 S3

/ Structure 759 in file .gra /

CM 2

CRN 76-05-1
CMF C2 H F3 O2

/ Structure 760 in file .gra /

RN 337965-31-8 CAPLUS
CN 3-Thiophenesulfonamide, 2,5-dichloro-N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-6-yl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 337965-30-7
CMF C24 H17 Cl2 N3 O3 S2

/ Structure 761 in file .gra /

CM 2

CRN 76-05-1
CMF C2 H F3 O2

/ Structure 762 in file .gra /

RN 337965-33-0 CAPLUS
CN Acetamide, N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-6-yl]-,
2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 337965-32-9
CMF C22 H19 N3 O2

/ Structure 763 in file .gra /

CM 2

CRN 76-05-1
CMF C2 H F3 O2

/ Structure 764 in file .gra /

RN 337965-35-2 CAPLUS
CN Methanesulfonamide, 1,1,1-trifluoro-N-[2-[(4-phenoxyphenyl)methyl]-1H-
benzimidazol-6-yl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 337965-34-1
CMF C21 H16 F3 N3 O3 S

/ Structure 765 in file .gra /

CM 2

CRN 76-05-1
CMF C2 H F3 O2

/ Structure 766 in file .gra /

RN 337965-37-4 CAPLUS
CN Methanesulfonamide, 1-chloro-N-[2-[(4-phenoxyphenyl)methyl]-1H-
benzimidazol-6-yl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 337965-36-3
CMF C21 H18 Cl N3 O3 S

/ Structure 767 in file .gra /

CM 2

CRN 76-05-1
CMF C2 H F3 O2

/ Structure 768 in file .gra /

RN 337965-38-5 CAPLUS
CN Thiourea, N-(3',6'-dihydroxy-3-oxospiro[isobenzofuran-1(3H),9'-[9H]xanthen]-5-yl)-N'-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-6-yl]-
(CA INDEX NAME)

/ Structure 769 in file .gra /

RN 337965-40-9 CAPLUS
CN 1-Naphthalenesulfonamide, 5-(dimethylamino)-N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-6-yl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 337965-39-6
CMF C32 H28 N4 O3 S

/ Structure 770 in file .gra /

CM 2

CRN 76-05-1
CMF C2 H F3 O2

/ Structure 771 in file .gra /

RN 337965-41-0 CAPLUS
CN Thiourea, N-(3',6'-dihydroxy-3-oxospiro[isobenzofuran-1(3H),9'-[9H]xanthen]-6-yl)-N'-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-6-yl]-
(CA INDEX NAME)

/ Structure 772 in file .gra /

RN 337965-42-1 CAPLUS
CN Spiro[isobenzofuran-1(3H),9'-[9H]xanthene]-6-carboxamide, 3',6'-dihydroxy-3-oxo-N-[6-oxo-6-[[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-6-yl]amino]hexyl]- (CA INDEX NAME)

/ Structure 773 in file .gra /

/ Structure 774 in file .gra /

RN 337965-43-2 CAPLUS
CN Spiro[isobenzofuran-1(3H),9'-[9H]xanthene]-5-carboxamide, 3',6'-dihydroxy-3-oxo-N-[6-oxo-6-[[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-6-yl]amino]hexyl]- (CA INDEX NAME)

/ Structure 775 in file .gra /

/ Structure 776 in file .gra /

RN 337965-45-4 CAPLUS
CN 2-Propanesulfonamide, N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-6-yl]-
, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 337965-44-3
CMF C23 H23 N3 O3 S

/ Structure 777 in file .gra /

CM 2

CRN 76-05-1
CMF C2 H F3 O2

/ Structure 778 in file .gra /

RN 337965-47-6 CAPLUS
CN Ethenesulfonamide, N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-6-yl]-2-
phenyl-, (1E)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 337965-46-5
CMF C28 H23 N3 O3 S

Double bond geometry as shown.

/ Structure 779 in file .gra /

CM 2

CRN 76-05-1
CMF C2 H F3 O2

/ Structure 780 in file .gra /

RN 337965-48-7 CAPLUS
CN 2-Butanesulfonamide, N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-6-yl]-
, hydrochloride (1:1) (CA INDEX NAME)

/ Structure 781 in file .gra /

RN 337965-50-1 CAPLUS
CN 1-Propene-1-sulfonamide, 2-methyl-N-[2-[(4-phenoxyphenyl)methyl]-1H-
benzimidazol-6-yl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 337965-49-8
CMF C24 H23 N3 O3 S

/ Structure 782 in file .gra /

CM 2
CRN 76-05-1
CMF C2 H F3 O2

/ Structure 783 in file .gra /

RN 337965-52-3 CAPLUS
CN 1-Propanesulfonamide, 2-methyl-N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-6-yl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)
CM 1
CRN 337965-51-2
CMF C24 H25 N3 O3 S

/ Structure 784 in file .gra /

CM 2
CRN 76-05-1
CMF C2 H F3 O2

/ Structure 785 in file .gra /

RN 337965-67-0 CAPLUS
CN 1H-Benzimidazol-7-ol, 2-[(4-phenoxyphenyl)methyl]- (CA INDEX NAME)

/ Structure 786 in file .gra /

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 20 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:84790 CAPLUS <<LOGINID::20090203>>
DOCUMENT NUMBER: 132:137375
TITLE: Preparation of benzoxazole derivatives for inhibiting the interaction between VCAM-1 and/or fibronectin and the integrin receptor VLA-4
INVENTOR(S): Brittain, David Robert; Johnstone, Craig; Davies, Gareth Morse; Large, Michael Stewart
PATENT ASSIGNEE(S): Zeneca Limited, UK
SOURCE: PCT Int. Appl., 125 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000005223	A2	20000203	WO 1999-GB2330	19990720

WO 2000005223 A3 20010712
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,
DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,
JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,
MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ,
MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
AU 9950521 A1 20000214 AU 1999-50521 19990720
EP 1133484 A2 20010919 EP 1999-934885 19990720
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO
JP 2002521375 T 20020716 JP 2000-561179 19990720
PRIORITY APPLN. INFO.: GB 1998-15970 A 19980723
GB 1998-15972 A 19980723
GB 1999-14441 A 19990622
WO 1999-GB2330 W 19990720

OTHER SOURCE(S): MARPAT 132:137375
IT 256522-37-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzoxazole derivs. for inhibiting the interaction between VCAM-1 and/or fibronectin and the integrin receptor VLA-4)
RN 256522-37-9 CAPLUS
CN 1,3-Benzodioxole-5-propanoic acid,
 β -[[[(2S)-4-methyl-1-oxo-2-[[2-[2-[(4-phenoxyphenyl)amino]-6-benzoxazolyl]acetyl]amino]pentyl]amino]-, (β S)- (CA INDEX NAME)

Absolute stereochemistry.

/ Structure 787 in file .gra /

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 21 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1990:478244 CAPLUS <<LOGINID::20090203>>
DOCUMENT NUMBER: 113:78244
ORIGINAL REFERENCE NO.: 113:13239a,13242a
TITLE: Diheterocyclic compounds from dithiocarbamates and derivatives thereof. I.
2,2'-(Arylenediamino)bisbenzoazoles,
2,2'-(arylenediamino)bis(imidazopyridines) and
8,8'-(arylenediamino)bisapurines
AUTHOR(S): Garin, Javier; Melendez, Enrique; Merchan, Francisco L.; Merino, Pedro; Orduna, Jesus; Tejedor, Rosa; Tejero, Tomas
CORPORATE SOURCE: Inst. Cienc. Mater. Aragon, Univ. Zaragoza, Zaragoza, E-50009, Spain
SOURCE: Journal of Heterocyclic Chemistry (1990), 27(2), 221-6
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 113:78244
IT 128587-14-4P 128587-18-8P 128587-31-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 128587-14-4 CAPLUS

CN 2-Benzothiazolamine, N,N'-(oxydi-4,1-phenylene)bis- (9CI) (CA INDEX NAME)

/ Structure 788 in file .gra /

RN 128587-18-8 CAPLUS

CN 2-Benzoxazolamine, N,N'-(oxydi-4,1-phenylene)bis- (9CI) (CA INDEX NAME)

/ Structure 789 in file .gra /

RN 128587-31-5 CAPLUS

CN 1H-Benzimidazol-2-amine, N,N'-(oxydi-4,1-phenylene)bis- (9CI) (CA INDEX NAME)

/ Structure 790 in file .gra /

L3 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1981:30644 CAPLUS <<LOGINID::20090203>>

DOCUMENT NUMBER: 94:30644

ORIGINAL REFERENCE NO.: 94:5055a,5058a

TITLE: Synthesis of 2-substituted benzimidazoles

AUTHOR(S): Todorova, N.; Zhelyazkov, L.; Vodenicharov, R.

CORPORATE SOURCE: Bulg.

SOURCE: Trudove na Nauchnoizsledovatelskiya
Khimikofarmatsevtichen Institut (1978), 10, 85-94
CODEN: TKZGAG; ISSN: 0371-8972

DOCUMENT TYPE: Journal

LANGUAGE: Bulgarian

OTHER SOURCE(S): CASREACT 94:30644

IT 68321-05-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, oxidation and UV and IR spectra of)

RN 68321-05-1 CAPLUS

CN 1H-Benzimidazole, 2-[(4-phenoxyphenyl)methyl]- (CA INDEX NAME)

/ Structure 791 in file .gra /

L3 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1978:614554 CAPLUS <<LOGINID::20090203>>

DOCUMENT NUMBER: 89:214554

ORIGINAL REFERENCE NO.: 89:33321a,33324a

TITLE: Spectral behavior of 2-substituted benzimidazole
derivatives of biphenyl and biphenyl ether

AUTHOR(S): Vodenicharov, R. I.; Todorova, N. I.

CORPORATE SOURCE: Pharm. Res. Inst., Sofia, Bulg.

SOURCE: Doklady Bolgarskoi Akademii Nauk (1978), 31(4), 441-4
CODEN: DBANAD; ISSN: 0366-8681

DOCUMENT TYPE: Journal

LANGUAGE: English

IT 68321-05-1

RL: PRP (Properties)
(IR and UV spectra of)

RN 68321-05-1 CAPLUS

CN 1H-Benzimidazole, 2-[(4-phenoxyphenyl)methyl]- (CA INDEX NAME)

/ Structure 792 in file .gra /

L3 ANSWER 24 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1970:111896 CAPLUS <<LOGINID::20090203>>
DOCUMENT NUMBER: 72:111896
ORIGINAL REFERENCE NO.: 72:20233a,20236a
TITLE: Synthesis and characterization of
polyiminobenzothiazoles
AUTHOR(S): Evers, Robert C.
CORPORATE SOURCE: Air Force Mater. Lab., Wright-Patterson Air Force
Base, OH, USA
SOURCE: Journal of Polymer Science, Part A-1: Polymer
Chemistry (1970), 8(2), 563-76
CODEN: JPSPC3; ISSN: 0449-296X
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 26659-47-2P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and properties of)
RN 26659-47-2 CAPLUS
CN Poly(benzo[1,2-d:5,4-d']bisthiazole-2,6-diylimino-1,4-phenylenesulfonyl-
1,4-phenyleneimino) (9CI) (CA INDEX NAME)

/ Structure 793 in file .gra /

IT 26659-48-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 26659-48-3 CAPLUS
CN Poly(benzo[1,2-d:5,4-d']bisthiazole-2,6-diylimino-1,4-phenyleneoxy-1,4-
phenyleneimino) (9CI) (CA INDEX NAME)

/ Structure 794 in file .gra /

L3 ANSWER 25 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1963:409014 CAPLUS <<LOGINID::20090203>>
DOCUMENT NUMBER: 59:9014
ORIGINAL REFERENCE NO.: 59:1645h,1646a-c
TITLE: Benzimidazolylalkylbenzenesulfonamides
INVENTOR(S): Moyle, Clarence L.; Chern, Diomed M.
PATENT ASSIGNEE(S): Dow Chemical Co.
SOURCE: 12 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
US 3075991		19630129	US 1960-349360	19600120
PRIORITY APPLN. INFO.:			US	19600120
IT 94312-08-0P, Piperidine, 1-[(α -2-benzimidazolyl-p- tolyl)sulfonyl]- RL: PREP (Preparation) (preparation of)				
RN 94312-08-0 CAPLUS				
CN 1H-Benzimidazole, 2-[[4-(1-piperidinylsulfonyl)phenyl]methyl]- (CA INDEX				

NAME)

/ Structure 795 in file .gra /

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COST IN U.S. DOLLARS
FULL ESTIMATED COST

SINCE FILE	TOTAL
ENTRY	SESSION
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L1 STRUCTURE UPLOADED
L2 352 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 09:20:21 ON 03 FEB 2009

FILE 'STNGUIDE' ENTERED AT 09:20:29 ON 03 FEB 2009

FILE 'CAPLUS' ENTERED AT 09:20:38 ON 03 FEB 2009
L3 25 S L2

FILE 'STNGUIDE' ENTERED AT 09:29:13 ON 03 FEB 2009

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LOGOFF? (Y)/N/HOLD:y

(FILE 'HOME' ENTERED AT 09:19:08 ON 03 FEB 2009)

FILE 'REGISTRY' ENTERED AT 09:19:19 ON 03 FEB 2009
L1 STRUCTURE UPLOADED
D
L2 352 SEA SSS FUL L1

FILE 'CAPLUS' ENTERED AT 09:20:21 ON 03 FEB 2009

FILE 'STNGUIDE' ENTERED AT 09:20:29 ON 03 FEB 2009

FILE 'CAPLUS' ENTERED AT 09:20:38 ON 03 FEB 2009
L3 25 SEA SPE=ON ABB=ON PLU=ON L2
D 1-25 IBIB HITSTR

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION

FULL ESTIMATED COST 5.53 296.93

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substances identified in English-, French-, German-,
and Japanese-language basic patents from 2004-present
NEWS 3 NOV 26 MARPAT enhanced with FSORT command
NEWS 4 NOV 26 CHEMSAFE now available on STN Easy
NEWS 5 NOV 26 Two new SET commands increase convenience of STN
searching
NEWS 6 DEC 01 ChemPort single article sales feature unavailable
NEWS 7 DEC 12 GBFULL now offers single source for full-text
coverage of complete UK patent families
NEWS 8 DEC 17 Fifty-one pharmaceutical ingredients added to PS
NEWS 9 JAN 06 The retention policy for unread STNmail messages
will change in 2009 for STN-Columbus and STN-Tokyo
NEWS 10 JAN 07 WPIDS, WPINDEX, and WPIX enhanced Japanese Patent
Classification Data
NEWS 11 FEB 02 Simultaneous left and right truncation (SLART) added
for CERAB, COMPUAB, ELCOM, and SOLIDSTATEM
NEWS 12 FEB 02 GENBANK enhanced with SET PLURALS and SET SPELLING

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

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COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
0.44	0.44

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 10:32:51 ON 03 FEB 2009
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=> s (raf or tyr or tyrosine) (A) kinase
L1 133959 (RAF OR TYR OR TYROSINE) (A) KINASE

=> s inhibitor (5A) l1
L2 31230 INHIBITOR (5A) L1

=> s l2/ti or l2/ab
L3 22162 L2/TI OR L2/AB

=> s l3 and benzoxazol? or benzimidazol?
L4 96009 L3 AND BENZOXAZOL? OR BENZIMIDAZOL?

=> s l3 and (benzoxazol? or benzimidazol?)
L5 363 L3 AND (BENZOXAZOL? OR BENZIMIDAZOL?)

=> s l5 and (ay<2005 OR py<2005 OR pry<2005)
'2005' NOT A VALID FIELD CODE
'2005' NOT A VALID FIELD CODE
'2005' NOT A VALID FIELD CODE
'2005' NOT A VALID FIELD CODE
L6 285 L5 AND (AY<2005 OR PY<2005 OR PRY<2005)

=> s l3 (L) (benzoxazol? or benzimidazol?)
L7 69 L3 (L) (BENZOXAZOL? OR BENZIMIDAZOL?)

=> s l7 and (ay<2005 OR py<2005 OR pry<2005)
'2005' NOT A VALID FIELD CODE
'2005' NOT A VALID FIELD CODE
'2005' NOT A VALID FIELD CODE
'2005' NOT A VALID FIELD CODE
L8 45 L7 AND (AY<2005 OR PY<2005 OR PRY<2005)

=> d 40-45 ibib abs

L8 ANSWER 40 OF 45 BIOSIS COPYRIGHT (c) 2009 The Thomson Corporation on
STN

ACCESSION NUMBER: 2001:199094 BIOSIS <<LOGINID::20090203>>
DOCUMENT NUMBER: PREV200100199094

TITLE: Fibroblast growth factor-2 (FGF-2) increases N-cadherin
expression through protein kinase C and Src-kinase pathways
in human calvaria osteoblasts.

AUTHOR(S): Debiais, Francoise; Lemonnier, Jerome; Hay, Eric; Delannoy,

Philippe; Caverzasio, Joseph; Marie, Pierre J. [Reprint author]
CORPORATE SOURCE: INSERM U349, Lariboisiere Hospital, 2 Rue Ambroise Pare, 75475, Paris Cedex 10, France
pierre.marie@inserm.lrb.ap-hop-paris.fr
SOURCE: Journal of Cellular Biochemistry, (31 January-8 February, 2001) Vol. 81, No. 1, pp. 68-81. print.
CODEN: JCEBD5. ISSN: 0730-2312.
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 25 Apr 2001
Last Updated on STN: 18 Feb 2002

AB Fibroblast growth factors (FGFs) are important factors regulating osteogenesis. However, the early mechanisms and signaling pathways involved in FGF actions in osteoblasts are unknown. We investigated the effects of FGF-2 on cell-cell adhesion and cadherin expression and the underlying signaling pathways in immortalized human neonatal calvaria (IHNC) cells. These cells express E- and N-cadherins, as shown by immunocytochemical and Western blot analyses. rhFGF-2 increased cell-cell adhesion at 24-72 h, as measured in a cell aggregation assay, and this effect was blocked by specific neutralizing anti-N-cadherin, but not anti-E-cadherin antibodies. Accordingly, ELISA and Western blot analyses showed that rhFGF-2 (10-100 ng/ml) dose dependently increased N-cadherin but not E-cadherin protein levels. RT-PCR analysis showed that rhFGF-2 transiently increased N-cadherin mRNA levels in IHNC cells. The RNA polymerase II inhibitor 5,6-dichloro-1-beta-D-ribofuranosyl benzimidazole prevented the rhFGF-2-induced up-regulation of N-cadherin mRNA, suggesting that transcription is necessary for this effect. Analysis of signaling molecules showed evidence that PLCgamma-PKC, Src, Erk 1/2 and p38 MAPK pathways are activated by rhFGF-2 in IHNC cells. The selective PKC inhibitors calphostin C, Ro-31-8220, Go6976 and Go6983 abrogated the stimulatory effect of rhFGF-2 on N-cadherin mRNA levels. The src-family tyrosine kinase inhibitor PP1 also blocked rhFGF-2-promoted N-cadherin expression. In contrast, the p38 MAP kinase inhibitor SB 203580 or the MEK inhibitor PD98059 had no effect on rhFGF-2-induced N-cadherin mRNA levels. Our data indicate that FGF-2 increases N-cadherin expression and function in human calvaria osteoblasts via activation of PKC and src-kinase pathways. This study identifies N-cadherin as a previously unrecognized target gene for FGF-2 signaling pathway that regulates cell-cell adhesion in human osteoblasts.

L8 ANSWER 41 OF 45 BIOSIS COPYRIGHT (c) 2009 The Thomson Corporation on STN

ACCESSION NUMBER: 2000:290558 BIOSIS <<LOGINID::20090203>>
DOCUMENT NUMBER: PREV200000290558
TITLE: Benzimidazoles for inhibiting protein tyrosine kinase mediated cellular proliferation.
AUTHOR(S): Boschelli, Diane Harris [Inventor, Reprint author]; Denny, William Alexander [Inventor]; Doherty, Annette Marian [Inventor]; Hamby, James Marino [Inventor]; Khatana, Sonya Shah [Inventor]; Kramer, James Bernard [Inventor]; Palmer, Brian Desmond [Inventor]; Showalter, Howard Daniel Hollis [Inventor]
CORPORATE SOURCE: Ann Arbor, MI, USA
ASSIGNEE: Warner-Lambert Company, Monaco, Monaco
PATENT INFORMATION: US 5990146 19991123
SOURCE: Official Gazette of the United States Patent and Trademark Office Patents, (Nov. 23, 1999) Vol. 1228, No. 4. e-file.
CODEN: OGUPE7. ISSN: 0098-1133.

DOCUMENT TYPE: Patent
LANGUAGE: English
ENTRY DATE: Entered STN: 6 Jul 2000
Last Updated on STN: 7 Jan 2002

AB Benzimidazoles of Formula I below are inhibitors of protein tyrosine kinases, and are useful in treating cellular proliferation. ##STR1## The compounds are especially useful in treating cancer, atherosclerosis, restenosis, and psoriasis.

L8 ANSWER 42 OF 45 BIOSIS COPYRIGHT (c) 2009 The Thomson Corporation on STN

ACCESSION NUMBER: 2000:40806 BIOSIS <<LOGINID::20090203>>
DOCUMENT NUMBER: PREV200000040806
TITLE: A novel and expedient approach to new heterocycles containing benzothiophene, benzothieno(2,3-d)pyrimidine and coumarin moieties.
AUTHOR(S): Bilokin, Yaroslav V. [Reprint author]; Vasylyev, Maksym V.; Branytska, Olena V.; Kovalenko, Sergiy M.; Chernykh, Valentyn P.
CORPORATE SOURCE: Department of Organic Chemistry, Weizmann Institute of Science, Rehovot, 76100, Israel
SOURCE: Tetrahedron, (Nov. 26, 1999) Vol. 55, No. 48, pp. 13757-13766. print.
CODEN: TETRAB. ISSN: 0040-4020.
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 19 Jan 2000
Last Updated on STN: 31 Dec 2001

AB In order to obtain potent protein-tyrosine kinase inhibitors, a novel and versatile method for synthesis of heterocyclic compounds 4a-d and 5a-c comprising 2-imino-2H-1-benzopyran, tetrahydrobenzo(b)thiophene, and carboxamide/1H-benzimidazole fragments has been developed. This method was based on the reactions of 2-imino-2H-1-benzopyrans 1a,b and 2 with 2-amino-4,5,6,7-tetrahydrobenzo(b)thiophenes 3a-c in glacial acetic acid. Furthermore, new heterocycles 8a,b with tetrahydrobenzo(4,5)thieno(2,3-d)pyrimidine and coumarin moieties have been synthesized via a rearrangement of the corresponding 2-(tetrahydrobenzo(b)thien-2-yl)imino-2H-1-benzopyran-3-carboxamides 4a,b.

L8 ANSWER 43 OF 45 BIOSIS COPYRIGHT (c) 2009 The Thomson Corporation on STN

ACCESSION NUMBER: 1999:439504 BIOSIS <<LOGINID::20090203>>
DOCUMENT NUMBER: PREV199900439504
TITLE: Signal transduction-mediated CYP1A1 induction by omeprazole in human HepG2 cells.
AUTHOR(S): Kikuchi, H. [Reprint author]; Hossain, A.
CORPORATE SOURCE: Institute of Development, Aging and Cancer, Tohoku University, 4-1 Seiryomachi, Aoba-ku, Sendai, 980-8575, Japan
SOURCE: Experimental and Toxicologic Pathology, (July, 1999) Vol. 51, No. 4-5, pp. 342-346. print.
CODEN: ETPAEK. ISSN: 0940-2993.
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 18 Oct 1999
Last Updated on STN: 18 Oct 1999

AB Benzimidazole compounds, such as omeprazole and thiabendazole, are a different type of CYP1A1-inducer from Ah receptor-ligands, such as 2,3,7,8-tetrachlorodibenzo-p-dioxin (TCDD) and 3-methylcholanthrene. In HepG2 cells, the commonly used tyrosine kinase-

inhibitors, herbimycin-A and a series of tyrphostins, inhibited the induction of CYP1A1 produced by treatment with TCDD. Genistein, another type of tyrosine kinase inhibitor, inhibited the induction of CYP1A1 whether it was produced by omeprazole or TCDD; however, this inhibition was caused by a dual effect of genistein, that is an anti-tyrosine kinase and an anti-topoisomerase I effect. An antagonist of Ah receptor, 3'-methoxy-4'-aminoflavone (1 µM), did not inhibit the induction of CYP1A1 produced in HepG2 cells by omeprazole or alpha-naphthoflavone (50 µM), but this antagonist did inhibit that produced by TCDD. Thus, omeprazole appears to induce CYP1A1 by initiating a protein tyrosine kinase-mediated signal transduction pathway, a different pathway from that initiated by TCDD.

L8 ANSWER 44 OF 45 BIOSIS COPYRIGHT (c) 2009 The Thomson Corporation on STN

ACCESSION NUMBER: 1999:66551 BIOSIS <<LOGINID::20090203>>
DOCUMENT NUMBER: PREV199900066551
TITLE: BDNF-dependent enhancement of exocytosis in cultured cortical neurons requires translation but not transcription.
AUTHOR(S): Bradley, John; Sporns, Olaf
CORPORATE SOURCE: Neurosci. Inst., 10640 John Jay Hopkins Drive, San Diego, CA 92121, USA
SOURCE: Brain Research, (Jan. 2, 1999) Vol. 815, No. 1, pp. 140-149. print.
CODEN: BRREAP. ISSN: 0006-8993.
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 16 Feb 1999
Last Updated on STN: 16 Feb 1999

AB Neurotrophic factors, such as brain-derived neurotrophic factor (BDNF), are involved in acute modulation of synaptic plasticity. Different modes of action of BDNF have been described with time courses ranging from seconds to hours, but the sequence of cellular processes responsible for BDNF-dependent modulation of synaptic plasticity is unknown. We have used optical imaging of the styryl dye, FM1-43, which selectively labels synaptic vesicles, to investigate potential presynaptic effects of BDNF. Addition of BDNF to cultured cortical neurons for 3 h produced a significant enhancement of exocytosis upon modest depolarization. BDNF had no effect on exocytosis either immediately or after incubation for 30 min. BDNF-dependent enhancement of exocytosis was blocked by the tyrosine kinase inhibitor, K252a, but not by K252b, consistent with signalling via the TrkB receptor. Having demonstrated that the BDNF-dependent enhancement of synaptic vesicle release was present only after 1 h, we investigated whether de novo gene transcription and/or protein synthesis were involved. Addition of the inhibitors of RNA synthesis, actinomycin D, or 5,6-dichloro-1-beta-D-ribofuranosyl benzimidazole (DRB), did not affect the enhancement of exocytosis produced by BDNF. However, the effect of BDNF was blocked by the inhibitors of translation, cycloheximide or anisomycin. Our results indicate a rapid BDNF-dependent enhancement of neurotransmitter release that requires translation but not transcription.

L8 ANSWER 45 OF 45 BIOSIS COPYRIGHT (c) 2009 The Thomson Corporation on STN

ACCESSION NUMBER: 1998:501175 BIOSIS <<LOGINID::20090203>>
DOCUMENT NUMBER: PREV199800501175
TITLE: Induction of cytochrome P-450 1A1 by omeprazole in human HepG2 cells is protein tyrosine kinase-dependent and is not inhibited by alpha-naphthoflavone.
AUTHOR(S): Kikuchi, Hideaki [Reprint author]; Hossain, Anwar; Yoshida,

HIROYUKI; KOBAYASHI, SHUNSUKE
 CORPORATE SOURCE: Dep. Mol. Genetics, Res. Inst. Dev. Aging Cancer, Tohoku Univ., Sendai 980-8575, Japan
 SOURCE: Archives of Biochemistry and Biophysics, (Oct. 15, 1998) Vol. 358, No. 2, pp. 351-358. print.
 CODEN: ABBIA4. ISSN: 0003-9861.
 DOCUMENT TYPE: Article
 LANGUAGE: English
 ENTRY DATE: Entered STN: 18 Nov 1998
 Last Updated on STN: 18 Nov 1998

AB Benzimidazole compounds, such as omeprazole and thiabendazole, are a different type of CYP1A1 inducer from Ah receptor-ligands, such as TCDD (2,3,7,8-tetrachlorodibenzo-p-dioxin) and 3-methylcholanthrene. In HepG2 cells, the commonly used tyrosine kinase inhibitors, herbimycin-A and a series of tyrphostins, inhibited the induction of CYP1A1 produced by treatment with TCDD. Genistein, another type of tyrosine kinase inhibitor, inhibited the induction of CYP1A1 whether it was produced by omeprazole or TCDD; however, this inhibition was caused by a dual effect of genistein, that is an anti-tyrosine kinase and an anti-topoisomerase I effect. An antagonist of Ah receptor, alpha-naphthoflavone (0.1-10 muM), and 3'-methoxy-4'-aminoflavone (1 muM), did not inhibit the induction of CYP1A1 produced in HepG2 cells by omeprazole, but both of them did inhibit that produced by TCDD. In one of a number of human lung tumor cell lines, S6T, the inducibility of CYP1A1 was high by TCDD, whereas the inducibility by omeprazole was low. Thus, omeprazole appears to induce CYP1A1 by initiating a protein tyrosine kinase-mediated signal transduction pathway, a different pathway from that inhibited by TCDD.

=> d 30-39 ibib abs

L8 ANSWER 30 OF 45 USPATFULL on STN

ACCESSION NUMBER: 2007:107559 USPATFULL <<LOGINID::20090203>>

TITLE: Benzimidazole carboxamides as raf
 kinase inhibitors

INVENTOR(S): Buchstaller, Hans-Peter, Griesheim, GERMANY, FEDERAL
 REPUBLIC OF
 Wiesner, Matthias, Seeheim-Jugenheim, GERMANY, FEDERAL
 REPUBLIC OF
 Zenke, Frank, Darmstadt, GERMANY, FEDERAL REPUBLIC OF
 Amendt, Christiane, Darmstadt, GERMANY, FEDERAL
 REPUBLIC OF
 Grell, Matthias, Darmstadt, GERMANY, FEDERAL REPUBLIC
 OF
 Sirrenberg, Christian, Darmstadt, GERMANY, FEDERAL
 REPUBLIC OF

PATENT ASSIGNEE(S): MERCK PATENT GmbH, Darmstadt, GERMANY, FEDERAL REPUBLIC
 OF, 64293 (non-U.S. corporation)

	NUMBER	KIND	DATE		
PATENT INFORMATION:	US 20070093532	A1	20070426		
APPLICATION INFO.:	US 2004-564184	A1	20040611	(10)	<--
	WO 2004-EP6337		20040611		
			20060807	PCT 371	date

	NUMBER	DATE	
PRIORITY INFORMATION:	EP 2003-15583	20030711	<--
DOCUMENT TYPE:	Utility		

FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: HELLER EHRMAN LLP, 1717 RHODE ISLAND AVE, NW,
WASHINGTON, DC, 20036-3001, US
NUMBER OF CLAIMS: 31
EXEMPLARY CLAIM: 1
LINE COUNT: 4338

AB The present invention relates to benzimidazole carboxamides of formula (I), the use of the compounds of formula (I) as inhibitors of as inhibitors of one or more kinases, the use of the compounds of formula (I) for the manufacture of a pharmaceutical composition and a method of treatment, comprising administering said pharmaceutical composition to a patient. Accordingly, the compound of Formula (I) or a pharmaceutically acceptable salt thereof is administered for the treatment of diseases mediated by one or more kinase pathways, preferably by the raf kinase pathway, especially cancers. ##STR1##

L8 ANSWER 31 OF 45 USPATFULL on STN

ACCESSION NUMBER: 2007:76253 USPATFULL <<LOGINID::20090203>>
TITLE: Benzylbenzimidazolyl derivatives
INVENTOR(S): Stahle, Wolfgang, Ingelheim, GERMANY, FEDERAL REPUBLIC OF
Jonczyk, Alfred, Darmstadt, GERMANY, FEDERAL REPUBLIC OF
Rautenberg, Wilfried, Reinheim, GERMANY, FEDERAL REPUBLIC OF

	NUMBER	KIND	DATE		
PATENT INFORMATION:	US 20070066606	A1	20070322		
APPLICATION INFO.:	US 2004-571587	A1	20040817	(10)	<--
	WO 2004-EP9205		20040817		<--
			20060310	PCT 371 date	

	NUMBER	DATE	
PRIORITY INFORMATION:	DE 2003-10342503	20030912	<--
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	APPLICATION		
LEGAL REPRESENTATIVE:	MILLEN, WHITE, ZELANO & BRANIGAN, P.C., 2200 CLARENDON BLVD., SUITE 1400, ARLINGTON, VA, 22201, US		
NUMBER OF CLAIMS:	32		
EXEMPLARY CLAIM:	1		
LINE COUNT:	1817		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel benzyl-benzimidazolyl derivatives as inhibitors of tyrosine kinases, particularly TIE-2, VEGFR, PDGFR, FGFR and/or FLT/KDR, for the treatment of tumors, according to formula (I), wherein the radicals R.sup.1, R.sup.2, r and s are defined according to Claim (1). ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L8 ANSWER 32 OF 45 USPATFULL on STN

ACCESSION NUMBER: 2007:12145 USPATFULL <<LOGINID::20090203>>
TITLE: Benzimidazole derivatives as raf kinase inhibitors
INVENTOR(S): Buchstaller, Hans-Peter, Darmstadt, GERMANY, FEDERAL REPUBLIC OF
Finsinger, Dirk, Darmstadt, GERMANY, FEDERAL REPUBLIC OF

Wiesner, Matthias, Darmstadt, GERMANY, FEDERAL REPUBLIC
OF
Burgdorf, Lars Thore, Darmstadt, GERMANY, FEDERAL
REPUBLIC OF
Amendt, Chriatiane, Darmstadt, GERMANY, FEDERAL
REPUBLIC OF
Grell, Matthias, Darmstadt, GERMANY, FEDERAL REPUBLIC
OF
Sirrenberg, Chirstian, Darmstadt, GERMANY, FEDERAL
REPUBLIC OF
Zenke, Frank, Darmstadt, GERMANY, FEDERAL REPUBLIC OF
PATENT ASSIGNEE(S): MERCK PATENT GMBH, Darmstadt, GERMANY, FEDERAL REPUBLIC
OF (non-U.S. corporation)

	NUMBER	KIND	DATE		
PATENT INFORMATION:	US 20070010560	A1	20070111		
APPLICATION INFO.:	US 2004-564185	A1	20040615	(10)	<--
	WO 2004-EP6419		20040615		
			20060807	PCT 371 date	

	NUMBER	DATE	
PRIORITY INFORMATION:	EP 2003-15582	20030711	<--
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	APPLICATION		
LEGAL REPRESENTATIVE:	HELLER EHRMAN WHITE & MCAULIFFE LLP, 1717 RHODE ISLAND AVE, NW, WASHINGTON, DC, 20036-3001, US		
NUMBER OF CLAIMS:	31		
EXEMPLARY CLAIM:	1		
LINE COUNT:	3390		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to benzimidazole derivatives of formula I,
the use of the compounds of formula I as inhibitors of one or more
kinases, the use of the compounds of formula I for the manufacture of a
pharmaceutical composition and a method of treatment, comprising
administering said pharmaceutical composition to a patient. Accordingly,
the compound of Formula I or a pharmaceutically acceptable salt thereof
is administered for the treatment of diseases mediated by one or more
kinase pathways, preferably by the raf kinase pathway, especially
cancers, [FORMULA]

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L8 ANSWER 33 OF 45 USPATFULL on STN
ACCESSION NUMBER: 2005:63613 USPATFULL <<LOGINID::20090203>>
TITLE: Benzimidazole C-2 heterocycles as kinase inhibitors
INVENTOR(S): Beaulieu, Francis, LaPrairie, CANADA
Marinier, Anne, Kirkland, CANADA
Ouellet, Carl, Boucherville, CANADA
Roy, Stephan, St. Lambert, CANADA
Wittman, Mark D., Wallingford, CT, UNITED STATES

	NUMBER	KIND	DATE		
PATENT INFORMATION:	US 20050054655	A1	20050310		
	US 7312215	B2	20071225		
APPLICATION INFO.:	US 2004-894938	A1	20040720	(10)	<--

NUMBER	DATE
-----	-----

PRIORITY INFORMATION: US 2003-490889P 20030729 (60) <--
DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: STEPHEN B. DAVIS, BRISTOL-MYERS SQUIBB COMPANY, PATENT
DEPARTMENT, P O BOX 4000, PRINCETON, NJ, 08543-4000
NUMBER OF CLAIMS: 14
EXEMPLARY CLAIM: 1
LINE COUNT: 1469
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Benzimidazole derivatives having the general formula I
##STR1##

are provided. These compounds are useful as tyrosine
kinase inhibitors, especially for the treatment of
cancer.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L8 ANSWER 34 OF 45 USPATFULL on STN
ACCESSION NUMBER: 2001:55964 USPATFULL <<LOGINID::20090203>>
TITLE: Benzimidazoles for inhibiting protein tyrosine kinase
mediated cellular proliferation
INVENTOR(S): Boschelli, Diane Harris, New City, NY, United States
Denny, William Alexander, Pakuranga, New Zealand
Doherty, Annette Marian, Paris, France
Hamby, James Marino, Ann Arbor, MI, United States
Khatana, Sonya Shah, Leawood, KS, United States
Kramer, James Bernard, Sylvania, OH, United States
Palmer, Brian Desmond, Glendene, New Zealand
Showalter, Howard Daniel Hollis, Ann Arbor, MI, United
States
PATENT ASSIGNEE(S): Warner-Lambert Company, Morris Plains, NJ, United
States (U.S. corporation)

	NUMBER	KIND	DATE	
	-----	-----	-----	
PATENT INFORMATION:	US 6218388	B1	20010417	<--
APPLICATION INFO.:	US 1999-459011		19991210 (9)	<--
RELATED APPLN. INFO.:	Division of Ser. No. US 1999-408630, filed on 30 Sep 1999 Division of Ser. No. US 1998-135470, filed on 17 Aug 1998, now patented, Pat. No. US 5990146			
DOCUMENT TYPE:	Utility			
FILE SEGMENT:	Granted			
PRIMARY EXAMINER:	Stockton, Laura L.			
LEGAL REPRESENTATIVE:	Atkins, Michael J.			
NUMBER OF CLAIMS:	7			
EXEMPLARY CLAIM:	1			
LINE COUNT:	2593			
CAS INDEXING IS AVAILABLE FOR THIS PATENT.				
AB	Benzimidazoles of Formula I below are inhibitors of protein tyrosine kinases, and are useful in treating cellular proliferation. ##STR1##			

The compounds are especially useful in treating cancer, atherosclerosis,
restenosis, and psoriasis.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L8 ANSWER 35 OF 45 USPATFULL on STN
ACCESSION NUMBER: 1999:151249 USPATFULL <<LOGINID::20090203>>
TITLE: Benzimidazoles for inhibiting protein tyrosine kinase

mediated cellular proliferation

INVENTOR(S): Boschelli, Diane Harris, New City, NY, United States
 Denny, William Alexander, Pakuranga, New Zealand
 Doherty, Annette Marian, Paris, France
 Hamby, James Marino, Ann Arbor, MI, United States
 Khatana, Sonya Shah, Leawood, KS, United States
 Kramer, James Bernard, Sylvania, OH, United States
 Palmer, Brian Desmond, Glendene, New Zealand
 Showalter, Howard Daniel Hollis, Ann Arbor, MI, United States

PATENT ASSIGNEE(S): Warner-Lambert Company, Morris Plains, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5990146		19991123	<--
APPLICATION INFO.:	US 1998-135470		19980817 (9)	<--
DOCUMENT TYPE:	Utility			
FILE SEGMENT:	Granted			
PRIMARY EXAMINER:	Stockton, Laura L.			
LEGAL REPRESENTATIVE:	Crissey, Todd M.			
NUMBER OF CLAIMS:	9			
EXEMPLARY CLAIM:	1			
LINE COUNT:	2438			

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Benzimidazoles of Formula I below are inhibitors of protein tyrosine kinases, and are useful in treating cellular proliferation. ##STR1## The compounds are especially useful in treating cancer, atherosclerosis, restenosis, and psoriasis.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L8 ANSWER 36 OF 45 BIOSIS COPYRIGHT (c) 2009 The Thomson Corporation on STN

ACCESSION NUMBER: 2004:171711 BIOSIS <<LOGINID::20090203>>
 DOCUMENT NUMBER: PREV200400159195
 TITLE: The role of protein tyrosine kinases in CYP1A1 induction by omeprazole and thiabendazole in rat hepatocytes.
 AUTHOR(S): Lemaire, G. [Reprint Author]; Delescluse, C.; Pralavorio, M.; Ledirac, N.; Lesca, P.; Rahmani, R. [Reprint Author]
 CORPORATE SOURCE: Laboratoire de Pharmacotoxicologie Cellulaire et Molculaire, INRA, 06606, B.P. 2078, Antibes, France
 lemaireg@yahoo.com; rahmani@antibes.inra.fr
 SOURCE: Life Sciences, (March 19 2004) Vol. 74, No. 18, pp. 2265-2278. print.
 ISSN: 0024-3205 (ISSN print).
 DOCUMENT TYPE: Article
 LANGUAGE: English
 ENTRY DATE: Entered STN: 24 Mar 2004
 Last Updated on STN: 24 Mar 2004

AB Benzimidazoles compounds like omeprazole (OME) and thiabendazole (TBZ) mediate CYP1A1 induction differently from classical aryl hydrocarbon receptor (AhR) ligands, 3-methylcholanthrene (3-MC) and 2,3,7,8-tetrachlorodibenzo-p-dioxin (TCDD). To clarify the involvement of an intracellular signal pathway in CYP1A1 induction by OME and TBZ, the TBZ, OME and 3-MC signal-transducing pathways were compared by using specific protein tyrosine kinase inhibitors in primary culture of rat hepatocytes. The effect of OME and TBZ (75-250 muM) on cytochrome P450 1A1 (CYP1A1) expression was therefore studied in primary cultures of rat hepatocytes after 24 h, 48 h and 72 h of exposure. Both compounds provoked a dose- and time-dependent increase in CYP1A1

(EROD activity, protein and mRNA levels), but OME was less effective at all the concentrations and times tested. The mechanism of benzimidazole-mediated induction of CYP1A1 was investigated by comparison with 3-MC, a prototypical AhR ligand. As expected, OME and TBZ were unable to displace (3H)-TCDD from its binding sites to the AhR in competitive binding studies. Moreover, classic tyrosine kinase inhibitor herbimycin A (HA) inhibited the two benzimidazoles-mediated CYP1A1 inductions, but only partially inhibited the 3-MC-mediated one. Another two tyrosine kinase inhibitors, lavendustin A (LA) and genistein (GEN), had no effect on CYP1A1 induction by benzimidazoles and 3-MC. These results are consistent with the implication of a tyrosine kinase, most probably the Src tyrosine kinase, in the mechanism of CYP1A1 induction in rat hepatocytes.

L8 ANSWER 37 OF 45 BIOSIS COPYRIGHT (c) 2009 The Thomson Corporation on STN

ACCESSION NUMBER: 2002:229102 BIOSIS <<LOGINID::20090203>>
DOCUMENT NUMBER: PREV200200229102
TITLE: Inhibitory effect of tyrphostin AG114 on recombinant human protein kinase CK2 holoenzyme.
AUTHOR(S): Liu Xin-Guang [Reprint author]; Liang Nian-Ci
CORPORATE SOURCE: Institute of Biochemistry and Molecular Biology, Guangdong Medical College, Zhanjiang, 524023, China
xgliu@gdmc.edu.cn
SOURCE: Zhongguo Yaolixue Yu Dulixue Zazhi, (February, 2002) Vol. 16, No. 1, pp. 8-14. print.
CODEN: ZYYZEW. ISSN: 1000-3002.
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 3 Apr 2002
Last Updated on STN: 10 May 2002

AB AIM: To study the direct effect of tyrphostin AG114 on recombinant human protein kinase CK2 holoenzyme and its kinetics. METHODS: Recombinant human protein kinase CK2 alpha and beta subunits were cloned and expressed by genetic engineering, and purified to homogeneity. The two subunits were mixed at equal molar ratio and reconstituted CK2 holoenzyme, which exerted the maximum biological activity. The CK2 activity was assayed by detecting incorporation of 32P of (gamma-32P) ATP or (gamma-32P) GTP into the substrate in various conditions. RESULTS: The recombinant human CK2 was a second messenger (Ca²⁺, cAMP and cGMP) independent protein kinase, the characterization and function of the reconstituted holoenzyme were consistent with those of native CK2. AG114 strongly inhibited the holoenzyme activity of recombinant human protein kinase CK2 with an IC₅₀ of 20.8 μmol/L, which lay between IC₅₀ of 5,6-dichloro-1-beta-D-ribofuranosyl-benzimidazole (DRB) and N-(2-aminoethyl)-5-chloronaphthalene-1-sulfonamide (A3), known as CK2 special inhibitors. Kinetic studies of AG114 inhibition on recombinant human CK2 showed that the inhibition was mixed competitive with GTP and noncompetitive with casein. CONCLUSION: AG114 not only is an effective inhibitor of protein tyrosine kinases, but also is a novel potent inhibitor of protein kinase CK2. The recombinant human protein kinase CK2 might be used as a molecular target for simpler screening method and development of more effective inhibitors of CK2.

L8 ANSWER 38 OF 45 BIOSIS COPYRIGHT (c) 2009 The Thomson Corporation on STN

ACCESSION NUMBER: 2002:163260 BIOSIS <<LOGINID::20090203>>
DOCUMENT NUMBER: PREV200200163260
TITLE: Activity of the TonEBP/OREBP transactivation domain varies directly with extracellular NaCl concentration.

AUTHOR(S): Ferraris, Joan D. [Reprint author]; Williams, Chester K.;
Persaud, Prita; Zhang, Zheng; Chen, Ye; Burg, Maurice B.
CORPORATE SOURCE: Laboratory of Kidney and Electrolyte Metabolism, National
Heart, Lung, and Blood Institute, National Institutes of
Health, 10 Center Drive, MSC 1603, Bethesda, MD,
20892-1603, USA
jdf@helix.nih.gov
SOURCE: Proceedings of the National Academy of Sciences of the
United States of America, (January 22, 2002) Vol.
99, No. 2, pp. 739-744. print.
CODEN: PNASA6. ISSN: 0027-8424.
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 21 Feb 2002
Last Updated on STN: 26 Feb 2002

AB Hypertonicity-induced binding of the transcription factor TonEBP/OREBP to
its cognate DNA element, ORE/TonE, is associated with increased
transcription of several osmotically regulated genes. Previously, it was
found that hypertonicity rapidly causes nuclear translocation and
phosphorylation of TonEBP/OREBP and, more slowly, increases TonEBP/OREBP
abundance. Also, the C terminus of TonEBP/OREBP was found to contain a
transactivation domain (TAD). We have now tested for tonicity dependence
of the TAD activity of the 983 C-terminal amino acids of TonEBP/OREBP.
HepG2 cells were cotransfected with a reporter construct and one of
several TAD expression vector constructs. The reporter construct
contained GAL4 DNA binding elements, a minimal promoter, and the Photinus
luciferase gene. TAD expression vectors generate chimeras comprised of
the GAL4 DNA binding domain fused to (i) the 983 C-terminal amino acids of
TonEBP/OREBP, (ii) 17 glutamine residues, (iii) the TAD of c-Jun, or (iv)
no TAD. All TAD-containing chimeras were functional at normal
extracellular osmolality (300 mosmol/kg), but the activity only of the
chimera containing the 983 C-terminal amino acids of TonEBP/OREBP varied
with extracellular NaCl concentration, decreasing by >80% at 200 mosmol/kg
and increasing 8-fold at 500 mosmol/kg. The chimera containing the 983
C-terminal amino acids of TonEBP/OREBP was constitutively localized to the
nucleus and showed tonicity-dependent posttranslational modification
consistent with phosphorylation. The activity at 500 mosmol/kg was
reduced by herbimycin, a tyrosine kinase
inhibitor and by 5,6-dichloro-1-beta-D-ribofuranosyl-
benzimidazole, a protein kinase CK2 inhibitor. Thus, the 983
C-terminal amino acids of TonEBP/OREBP contain a TAD that is regulated
osmotically, apparently by tonicity-dependent phosphorylation.

L8 ANSWER 39 OF 45 BIOSIS COPYRIGHT (c) 2009 The Thomson Corporation on
STN

ACCESSION NUMBER: 2001:468519 BIOSIS <<LOGINID::20090203>>
DOCUMENT NUMBER: PREV200100468519
TITLE: Benzimidazoles for inhibiting protein tyrosine kinase
mediated cellular proliferation.
AUTHOR(S): Boschelli, Diane Harris [Inventor]; Denny, William
Alexander [Inventor, Reprint author]; Doherty, Annette
Marian [Inventor]; Hamby, James Marino [Inventor]; Khatana,
Sonya Shah [Inventor]; Kramer, James Bernard [Inventor];
Palmer, Brian Desmond [Inventor]; Showalter, Howard Daniel
Hollis [Inventor]
CORPORATE SOURCE: Pakuranga, New Zealand
ASSIGNEE: Warner-Lambert Company
PATENT INFORMATION: US 6218388 20010417
SOURCE: Official Gazette of the United States Patent and Trademark
Office Patents, (Apr. 17, 2001) Vol. 1245, No. 3.
e-file.

CODEN: OGUPE7. ISSN: 0098-1133.

DOCUMENT TYPE: Patent

LANGUAGE: English

ENTRY DATE: Entered STN: 3 Oct 2001

Last Updated on STN: 23 Feb 2002

AB Benzimidazoles of Formula I below are inhibitors of protein tyrosine kinases, and are useful in treating cellular proliferation. ##STR1## The compounds are especially useful in treating cancer, atherosclerosis, restenosis, and psoriasis.

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DELETE P123001C	- delete print request
DELETE D134002C	- delete document order request

To delete an individual L-number or range of L-numbers, enter the L-number or L-number range. You may also enter DELETE LAST followed by a number, n, to delete the last n L-numbers. RENUMBER or NORENUMBER may also be explicitly specified to override the value of SET RENUMBER.

Examples:

DELETE L21	- delete a single L-number
DELETE L3-L6	- delete a range of L-numbers
DELETE LAST 4	- delete the last 4 L-numbers
DELETE L33-	- delete L33 and any higher L-number
DELETE -L55	- delete L55 and any lower L-number
DELETE L2-L6 RENUMBER	- delete a range of L-numbers and renumber remaining L-numbers
DELETE RENUMBER	- renumber L-numbers after deletion of intermediate L-numbers

Entire sets of saved items, SDI requests, batch requests, user-defined items, or E-numbers can be deleted.

Examples:

```
DELETE SAVED/Q - delete all saved queries
DELETE SAVED/A - delete all saved answer sets
DELETE SAVED/L - delete all saved L-number lists
DELETE SAVED - delete all saved queries, answer sets,
                and L-number lists
DELETE SAVED/S - delete all SDI requests
DELETE SAVED/B - delete all batch requests
DELETE CLUSTER - delete all user-defined clusters
DELETE FORMAT - delete all user-defined display formats
DELETE FIELD - delete all user-defined search fields
DELETE SELECT - delete all E-numbers
DELETE HISTORY - delete all L-numbers and restart the
                session at L1
```

To delete an entire multifile SDI request, enter DELETE and the name of the request. To delete a component from the multifile SDI, enter DELETE and the name of the component.

=> remove duplicates

DUPLICATES IS NOT VALID HERE

The DELETE command is used to remove various items stored by the system.

To delete a saved query, saved answer set, saved L-number list, SDI request, batch request, mailing list, or user-defined cluster, format, or search field, enter the name. The name may include ? for left, right, or simultaneous left and right truncation.

Examples:

```
DELETE BIO?/Q - delete query names starting with BIO
DELETE ?DRUG/A - delete answer set names ending with DRUG
DELETE ?ELEC?/L - delete L-number lists containing ELEC
DELETE ANTICOAG/S - delete SDI request
DELETE ENZYME/B - delete batch request
DELETE .MYCLUSTER - delete user-defined cluster
DELETE .MYFORMAT - delete user-defined display format
DELETE .MYFIELD - delete user-defined search field
DELETE NAMELIST MYLIST - delete mailing list
```

To delete an ordered document or an offline print, enter its number.

Examples:

```
DELETE P123001C - delete print request
DELETE D134002C - delete document order request
```

To delete an individual L-number or range of L-numbers, enter the L-number or L-number range. You may also enter DELETE LAST followed by a number, n, to delete the last n L-numbers. RENUMBER or NORENUMBER may also be explicitly specified to override the value of SET RENUMBER.

Examples:

```
DELETE L21 - delete a single L-number
DELETE L3-L6 - delete a range of L-numbers
```

```

DELETE LAST 4          - delete the last 4 L-numbers
DELETE L33-            - delete L33 and any higher L-number
DELETE -L55            - delete L55 and any lower L-number
DELETE L2-L6 RENUMBER - delete a range of L-numbers and
                      renumber remaining L-numbers
DELETE RENUMBER        - renumber L-numbers after deletion of
                      intermediate L-numbers

```

Entire sets of saved items, SDI requests, batch requests, user-defined items, or E-numbers can be deleted.

Examples:

```

DELETE SAVED/Q - delete all saved queries
DELETE SAVED/A - delete all saved answer sets
DELETE SAVED/L - delete all saved L-number lists
DELETE SAVED   - delete all saved queries, answer sets,
                  and L-number lists
DELETE SAVED/S - delete all SDI requests
DELETE SAVED/B - delete all batch requests
DELETE CLUSTER - delete all user-defined clusters
DELETE FORMAT  - delete all user-defined display formats
DELETE FIELD   - delete all user-defined search fields
DELETE SELECT  - delete all E-numbers
DELETE HISTORY - delete all L-numbers and restart the
                  session at L1

```

To delete an entire multifile SDI request, enter DELETE and the name of the request. To delete a component from the multifile SDI, enter DELETE and the name of the component.

=> d 1-29 ibib abs

```

L8  ANSWER 1 OF 45  CAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:    2006:340649  CAPLUS <<LOGINID::20090203>>
DOCUMENT NUMBER:     144:390914
TITLE:               Preparation of (indazolyl)benzimidazoles and analogs
                      for inhibiting c-ABL
INVENTOR(S):         Jansen, Johanna M.; McBride, Christopher; Renhowe,
                      Paul A.; Shafer, Cynthia
PATENT ASSIGNEE(S):  USA
SOURCE:              U.S. Pat. Appl. Publ., 243 pp., Cont.-in-part of U.S.
                      Ser. No. 187,967.
                      CODEN: USXXCO
DOCUMENT TYPE:       Patent
LANGUAGE:            English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

```

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
US 20060079564	A1	20060413	US 2005-261995	20051027 <--
US 20030207883	A1	20031106	US 2002-187967	20020702 <--
US 7064215	B2	20060620		
PRIORITY APPLN. INFO.:			US 2001-302791P	P 20010703 <--
			US 2002-187967	A2 20020702 <--
OTHER SOURCE(S):			CASREACT 144:390914; MARPAT 144:390914	
GI				

/ Structure 796 in file .gra /

AB Title compds. I [wherein Z1-Z4 = C or N; R1 = H, F, Cl, Br, etc.; R2 = H, F, Cl, Br, CN, NO2, or (un)substituted CO2H, NH2, CONH2, NHCONH2, etc.; R3 = H, F, Cl, Br, (un)substituted alkoxy, etc.; R4 = H, F, Br, Cl, NO2, etc.; R5 = H, F, Cl, alkyl, etc.; R6, R7 = H, F, Cl, Br, CF3, etc.; R8 = H, F, Cl, alkyl, etc.; R9 = H; R10 = H, alkyl; or R5 is absent if Z1 = N; or R6 is absent if Z2 = N; or R7 is absent if Z3 = N; or R8 is absent if Z4 = N; and tautomers and pharmaceutically acceptable salts thereof] were prepared as tyrosine kinase inhibitors. For example, dimerization of indazole-3-carboxylic acid with POC13 followed by addition of 1,2-phenylenediamine in toluene gave 3-(1H-benzimidazol-2-yl)-1H-indazole. Exemplary compds. were assays for tyrosine kinase activity in vitro, and the majority displayed an IC50 value of less than 10 μ M with respect to VEGFR1, Flk-1, bFGF, Tie-2, CHK-1, cdc2, GSK-3, NEK-2, c-ABL and PDGF.

L8 ANSWER 2 OF 45 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:1240986 CAPLUS <<LOGINID::20090203>>

DOCUMENT NUMBER: 144:22906

TITLE: Preparation of fused heterocycle kinase inhibitors for treatment of protein tyrosine kinase-related diseases

INVENTOR(S): Cusack, Kevin; Salmeron-Garcia, Jose-Andres; Gordon, Thomas D.; Barberis, Claude E.; Allen, Hamish J.; Bischoff, Agnieszka K.; Ericsson, Anna M.; Friedman, Michael M.; George, Dawn M.; Roth, Gregory P.; Talanian, Robert V.; Thomas, Christine; Wallace, Grier A.; Wishart, Neil; Yu, Zhengtian

PATENT ASSIGNEE(S): Abbott Laboratories, USA

SOURCE: PCT Int. Appl., 362 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
WO 2005110410	A2	20051124	WO 2005-US16903	20050513 <--
WO 2005110410	A3	20070329		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2566158	A1	20051124	CA 2005-2566158	20050513 <--
US 20060074102	A1	20060406	US 2005-129624	20050513 <--
EP 1753428	A2	20070221	EP 2005-778736	20050513 <--
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU			
JP 2007537296	T	20071220	JP 2007-513433	20050513 <--

MX 2006013250 A 20070228 MX 2006-13250 20061114 <--
 PRIORITY APPLN. INFO.: US 2004-571281P P 20040514 <--
 WO 2005-US16903 W 20050513
 OTHER SOURCE(S): MARPAT 144:22906
 GI

/ Structure 797 in file .gra /

AB The invention is related to the preparation of fused heterocycles of formula I [A, B = independently N, S, O, a bond, etc.; D = C, N, S, O, C:C; U, V, W = independently CH and derivs., N; Y = a bond, CONH2 and derivs., SO, etc.; Z = H, halo, CN, etc.; X1 = a bond, halo, O, SO, NHSO2, etc.; R1 = a bond, (un)substituted benzofuranyl, benzimidazolyl, pyrrolyl, etc.; when R1 is not a bond, then X2 = a bond, O, S, NHCO and derivs., aliphatic group, etc.; or when R1 = a bond, then X2 = a bond and R2 is not a bond; R2 = a bond or (un)substituted benzoxazolyl, Ph, etc.; with provisos; and with the exception of certain compds.], and their pharmaceutically acceptable salts as inhibitors of kinases, particularly COT or MK2 kinases. The invention is also related to the use of certain compds. I as inhibitors of angiogenic receptor tyrosine kinases. Thus, reacting 4-(3-aminophenyl)thieno[2,3-c]pyridine-2-carboxamide with cyclopropanecarboxaldehyde gave thienopyridine II. All compds. I significantly inhibit either COT or MK2 at concns. of 50 μ M or below.

L8 ANSWER 3 OF 45 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2005:409508 CAPLUS <<LOGINID::20090203>>
 DOCUMENT NUMBER: 142:463726
 TITLE: Preparation of benzimidazolyls as TIE-2 tyrosine kinase inhibitors for the treatment of tumors
 INVENTOR(S): Staehle, Wolfgang; Buchstaller, Hans-Peter; Jonczyk, Alfred; Rautenberg, Wilfried
 PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
 SOURCE: PCT Int. Appl., 105 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005042520	A1	20050512	WO 2004-EP11550	20041014 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10349587	A1	20050525	DE 2003-10349587	20031024 <--
AU 2004285643	A1	20050512	AU 2004-285643	20041014 <--
CA 2543346	A1	20050512	CA 2004-2543346	20041014 <--
EP 1675849	A1	20060705	EP 2004-765962	20041014 <--

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK

CN 1871232	A	20061129	CN 2004-80031334	20041014 <--
BR 2004015760	A	20061219	BR 2004-15760	20041014 <--
JP 2007509096	T	20070412	JP 2006-536006	20041014 <--
MX 2006004405	A	20060614	MX 2006-4405	20060420 <--
KR 2006123124	A	20061201	KR 2006-707939	20060424 <--
US 20070066660	A1	20070322	US 2006-577033	20060424 <--
US 7470702	B2	20081230		
IN 2006KN01239	A	20070427	IN 2006-KN1239	20060511 <--
PRIORITY APPLN. INFO.:			DE 2003-10349587	A 20031024 <--
			WO 2004-EP11550	W 20041014 <--
OTHER SOURCE(S):		MARPAT 142:463726		
GI				

/ Structure 798 in file .gra /

AB Title compds. I [R = (R1)m; R1 = (R1')p; R2 = (R2')q; m, p, q = 0-4; R1, R1' = Halo, OH, CN, etc.; L = CH2, CH2CH2, O, etc.; R2' = halo, OH, CO2H, etc.; E, G, M, Q, U = C or N atom with provisos] and their pharmaceutically acceptable salts and formulations were prepared For example, condensation of 4-(4-isothiocyanatophenoxy)puridine and 4-nitro-1,2-phenylenediamine afforded claimed benzimidazol II. In TIE-2 tyrosine kinase inhibition assays, 3-examples of compds. I exhibited IC50 values ranging from 5-40 + 10-7 mol/L. Compds. I are claimed to be useful as tyrosine kinase inhibitors in the treatment of tumors.

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 45 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:345863 CAPLUS <<LOGINID::20090203>>

DOCUMENT NUMBER: 142:411345

TITLE: Preparation of 1,3-benzoxazols as TIE-2 kinase inhibitors

INVENTOR(S): Staehle, Wolfgang; Jonczyk, Alfred; Rautenberg, Wilfried

PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany

SOURCE: Ger. Offen., 36 pp.
 CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
DE 10344223	A1	20050421	DE 2003-10344223	20030924 <--
AU 2004281879	A1	20050428	AU 2004-281879	20040901 <--
CA 2539767	A1	20050428	CA 2004-2539767	20040901 <--
WO 2005037829	A1	20050428	WO 2004-EP9743	20040901 <--
W:			AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW	

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
 AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
 EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
 SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
 SN, TD, TG

EP 1664039 A1 20060607 EP 2004-764704 20040901 <--
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK

JP 2007506687 T 20070322 JP 2006-527292 20040901 <--
 US 20060281762 A1 20061214 US 2006-573176 20060323 <--
 PRIORITY APPLN. INFO.: DE 2003-10344223 A 20030924 <--
 WO 2004-EP9743 W 20040901 <--

OTHER SOURCE(S): MARPAT 142:411345
 GI

/ Structure 799 in file .gra /

AB Title compds. I [A = (R1)n; B = (R2)m; C = X-Y-(R3)p; R1, R2, R3 = halo, CN, NO2, etc.; X = O, S, SO2, etc.; n, m, p = 1-4; A = (un)substituted cyclic alkyl with provisos]and their pharmaceutically acceptable salts and formulations were prepared For example, condensation of 4-(pyridin-4-ylsulfanyl)phenylamine and 5-chloro-7-nitro-3H-benzoxazol-2-thione, e.g., prepared from diimidazol-1-ylmethanthione and 2-amino-4-chloro-6-nitrophenol, afforded claimed benzoxazol II. In a TIE-2 kinase inhibition assay, the IC50 value of benzoxazol II was 310 nM. Compds. I are claimed to be useful as TIE-2, VEGFR and the Raf kinase inhibitors.

L8 ANSWER 5 OF 45 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2005:216801 CAPLUS <<LOGINID::20090203>>
 DOCUMENT NUMBER: 142:298106
 TITLE: Preparation of benzimidazole derivatives as tyrosine kinase inhibitors
 INVENTOR(S): Beaulieu, Francis; Marinier, Anne; Ouellet, Carl; Roy, Stephan; Wittman, Mark D.
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
 SOURCE: PCT Int. Appl., 54 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005021510	A2	20050310	WO 2004-US24474	20040728 <--
WO 2005021510	A3	20050414		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 20050054655	A1	20050310	US 2004-894938	20040720 <--

US 7312215 B2 20071225
 EP 1651611 A2 20060503 EP 2004-786135 20040728 <--
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR
 PRIORITY APPLN. INFO.: US 2003-490889P P 20030729 <--
 WO 2004-US24474 W 20040728 <--
 OTHER SOURCE(S): CASREACT 142:298106; MARPAT 142:298106
 GI

/ Structure 800 in file .gra /

AB Title compds. represented by the formula I [wherein A = C:O, alkyl, NR7 or a direct bond; B = C:O or NR7 provided A and B are not both NR7; R1-R7 = independently H, (cyclo)alkyl, halo, amino, etc.] were prepared as tyrosine kinase inhibitors (no data). For example, II was given in a multi-step synthesis starting from the reaction of 4-bromo-2-methyl-6-nitroaniline with morpholine. I and their pharmaceutical compns. are useful as tyrosine kinase inhibitors, especially for the treatment of cancer (no data).

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 6 OF 45 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:55062 CAPLUS <<LOGINID::20090203>>

DOCUMENT NUMBER: 142:134604

TITLE: Preparation of benzimidazole amides as raf kinase inhibitors

INVENTOR(S): Buchstaller, Hans-Peter; Finsinger, Dirk; Wiesner, Matthias; Burgdorf, Lars; Amendt, Christiane; Grell, Matthias; Sirrenberg, Christian; Zenke, Frank

PATENT ASSIGNEE(S): Merck Patent GmbH, Germany

SOURCE: PCT Int. Appl., 145 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005004864	A1	20050120	WO 2004-EP6419	20040615 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004255403	A1	20050120	AU 2004-255403	20040615 <--
CA 2531859	A1	20050120	CA 2004-2531859	20040615 <--
EP 1653951	A1	20060510	EP 2004-739891	20040615 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
JP 2007513054	T	20070524	JP 2006-519783	20040615 <--

US 20070010560 A1 20070111 US 2006-564185 20060807 <--
 PRIORITY APPLN. INFO.: EP 2003-15582 A 20030711 <--
 WO 2004-EP6419 W 20040615 <--
 OTHER SOURCE(S): CASREACT 142:134604; MARPAT 142:134604
 GI

/ Structure 801 in file .gra /

AB Title compds. I [R6-7 = H, A, SO2A; A = alkyl, alkenyl, cycloalkyl, etc.;
 Ar2 = aromatic hydrocarbon; R8-10 = H, A, cycloalkyl, etc.; X = divalent
 alkyl, etc.; p, n = 0-5; q = 0-4] are prepared For instance, II is prepared
 from the corresponding 2-aminoimidazole and carboxylic acid (DMF, TBTU,
 HOBT, i-Pr2NEt). I are raf kinase inhibitors and are useful for the
 treatment of cancer.

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 7 OF 45 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2005:55061 CAPLUS <<LOGINID::20090203>>
 DOCUMENT NUMBER: 142:134603
 TITLE: A preparation of benzimidazolecarboxamide
 derivatives, useful as raf-kinase
 inhibitors
 INVENTOR(S): Buchstaller, Hans-Peter; Wiesner, Matthias; Zenke,
 Frank; Amendt, Christiane; Grell, Matthias;
 Sirrenberg, Christian
 PATENT ASSIGNEE(S): Merck Patent GmbH, Germany
 SOURCE: PCT Int. Appl., 184 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005004863	A1	20050120	WO 2004-EP6337	20040611 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004255402	A1	20050120	AU 2004-255402	20040611 <--
CA 2531856	A1	20050120	CA 2004-2531856	20040611 <--
EP 1643991	A1	20060412	EP 2004-739826	20040611 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
JP 2007506676	T	20070322	JP 2006-519782	20040611 <--
US 20070093532	A1	20070426	US 2006-564184	20060807 <--
PRIORITY APPLN. INFO.:				
			EP 2003-15583	A 20030711 <--
			WO 2004-EP6337	W 20040611 <--

OTHER SOURCE(S): MARPAT 142:134603
GI

/ Structure 802 in file .gra /

AB The invention relates to a preparation of benzimidazolecarboxamide derivs. of formula I [wherein: R1 is 0 to 5 independent substituents selected from H, cycloalkyl, halogen, CH2-halogen, or (CH2)0-5-CN, etc.; R2 and R3 are independently selected from H, (cyclo)alkyl, alkoxy, or SO2-(cyclo)alkyl, etc.; R4 is 1 to 5 substituted phenyl; Y is O, S, or C(CN)2, etc.], useful as raf-kinase inhibitors
 . For instance, benzimidazolecarboxamide derivative of formula II was prepared via amidation of 5-chlorobenzimidazolecarboxylic acid by 4-(4-pyridinyloxy)phenylamine with a yield of 75%. The preferred compound of the invention are raf-kinase inhibitors and showed IC50 values in the range of 100 µM or below.

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 8 OF 45 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:756711 CAPLUS <<LOGINID::20090203>>

DOCUMENT NUMBER: 141:277641

TITLE: Preparation of bicyclic (hetero)aryl- and pyridine-containing diaryl ureas as Raf kinase and angiogenesis inhibitors useful in the treatment of cancer and other disorders

INVENTOR(S): Dumas, Jacques; Boyer, Stephen; Verma, Sharad; Adnane, Lila; Chen, Yuanwei; Lee, Wendy; Phillips, Barton; Smith, Roger A.; Scott, William J.; Burke, Jennifer; Chen, Jianqing; Chen, Zhi; Fan, Jianmei; Miranda, Karl; Raudenbush, Brian; Redman, Aniko; Shao, Jianxing; Su, Ning; Wang, Gan; Yi, Lin; Zhu, Qingming

PATENT ASSIGNEE(S): Bayer Pharmaceuticals Corporation, USA

SOURCE: PCT Int. Appl., 162 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2004078748	A2	20040916	WO 2004-US6287	20040301 <--
WO 2004078748	A3	20041111		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2516931	A1	20040916	CA 2004-2516931	20040301 <--
EP 1608639	A2	20051228	EP 2004-716166	20040301 <--
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK			
JP 2006519265	T	20060824	JP 2006-508978	20040301 <--
MX 2005009104	A	20060531	MX 2005-9104	20050826 <--
PRIORITY APPLN. INFO.:			US 2003-450348P	P 20030228 <--

OTHER SOURCE(S): MARPAT 141:277641
GI

/ Structure 803 in file .gra /

AB Title compds. I [wherein A = benzimidazolyl, 2,3-dihydro-1H-indolyl, 2,3-dihydro-1H-indenyl, 1H- or 2H-indazolyl, 1,3-benzodioxin-6-yl, quinoxaliny, etc.; B = (un)substituted Ph, naphthyl, pyridinyl, quinolinyl; L = (CH₂)_m-D-(CH₂)_n; m, n = independently 0-4; D = O, C(:O), NH and derivs., NHCO and derivs., S, CONH and derivs.; M = (un)substituted pyridine ring; Q = C(:O)H and derivs., CO₂H and derivs., CONH₂ and derivs.; and their pharmaceutically acceptable salts, prodrugs, and metabolites] were prepared as Raf kinase inhibitors for treating hyper-proliferative and angiogenesis disorders, alone or in combination with cytotoxic therapies. For example, urea II was prepared from 4-(4-Amino-3-fluorophenoxy)-N-methylpyridine-2-carboxamide (preparation given), triphosgene, 2-aminoquinoxaline, in the presence of DIPEA/anhydrous DMF at 75°. Selected I showed 80% inhibition of c-Raf kinase at 1 μM. Thus, I are useful for treating cancer and other Raf kinase-mediated diseases.

L8 ANSWER 9 OF 45 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:513393 CAPLUS <<LOGINID::20090203>>

DOCUMENT NUMBER: 141:71544

TITLE: Preparation of substituted benzazoles as Raf kinase inhibitors

INVENTOR(S): Amiri, Payman; Fantl, Wendy; Levine, Barry Haskell; Poon, Daniel J.; Ramurthy, Savithri; Renhowe, Paul A.; Subramanian, Sharadha; Sung, Leonard

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 476 pp., Cont.-in-part of U.S. Pat. Appl. 2004 87,626.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040122237	A1	20040624	US 2003-675927	20030929 <--
US 20040087626	A1	20040506	US 2003-405945	20030331 <--
US 7071216	B2	20060704		
AU 2004277405	A1	20050414	AU 2004-277405	20040929 <--
CA 2539748	A1	20050414	CA 2004-2539748	20040929 <--
WO 2005032548	A1	20050414	WO 2004-US32161	20040929 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,				

SN, TD, TG

EP 1675584	A1	20060705	EP 2004-789345	20040929 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
BR 2004014908	A	20061107	BR 2004-14908	20040929 <--
CN 1913884	A	20070214	CN 2004-80032677	20040929 <--
JP 2007507428	T	20070329	JP 2006-528331	20040929 <--
US 20070299039	A1	20071227	US 2005-282939	20051118 <--
MX 2006003435	A	20060620	MX 2006-3435	20060327 <--
JP 2006193533	A	20060727	JP 2006-96143	20060330 <--
KR 2006089232	A	20060808	KR 2006-706470	20060403 <--
IN 2006KN00838	A	20070413	IN 2006-KN838	20060405 <--
PRIORITY APPLN. INFO.:			US 2002-369066P	P 20020329 <--
			US 2003-405945	A2 20030331 <--
			JP 2003-579810	A3 20030331 <--
			US 2003-675927	A 20030929 <--
			WO 2004-US32161	W 20040929 <--

OTHER SOURCE(S): MARPAT 141:71544

GI

/ Structure 804 in file .gra /

AB The title compds. I [wherein X1, X2 = N, NR4, O, S (with provisos); Y = O, S; A1 = (un)substituted alkyl, (hetero)cycloalkyl(alkyl), (hetero)aryl(alkyl), etc.; A2 = (un)substituted heteroaryl; R1 = O, H; R2 = NR5R6, OH; or CR1R2 = (un)substituted heterocycloalkyl, heteroaryl; R3 = H, halo, alkyl, alkoxy; R4 = H, OH, (di)alkylamino, alkyl; R5, R6 = H, (un)substituted (cyclo)alkyl, alkoxyalkyl, aminoalkyl, amidoalkyl, acyl, heterocyclyl, (hetero)aryl, etc.; or R5 and R6 are taken together to form (un)substituted heterocyclyl or heteroaryl; R7 = alkyl; and pharmaceutically acceptable salts, esters, or prodrugs] were prepared as Raf kinase inhibitors. Examples include synthetic methods and phys. data for 1400 compds., as well as descriptions of two Raf kinase bioassays. For instance, 4-amino-3-nitrophenol and (4-chloropyridin-2-yl)-N-methylcarboxamide were coupled using potassium bis(trimethylsilyl)amide and K2CO3 in DMF to give 4-[(4-amino-3-nitrophenyl)oxy]-N-methylpyridine-2-carboxamide. Pd-catalyzed hydrogenation, followed by cyclization with 4-chloro-3-(trifluoromethyl)benzeneisothiocyanate in the presence of 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide•HCl in THF provided the benzimidazole II. One thousand ninety-four compds. inhibited Raf kinase activity with IC50 < 5 µM in a Raf/Mek filtration assay or a biotinylated Raf screen. Thus, I and their pharmaceutical compns., which may comprise at least one addnl. agent, are useful for the treatment of Raf kinase mediated disorders, such as cancer (no data).

L8 ANSWER 10 OF 45 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:310953 CAPLUS <<LOGINID::20090203>>

DOCUMENT NUMBER: 140:321363

TITLE: Preparation of [(piperazinyl)benzimidazolyl
]quinolinones and analogs as tyrosine
 kinase inhibitors for treatment of
 cancer

INVENTOR(S): Velaparthi, Upender; Wittman, Mark D.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 62 pp.
 CODEN: PIXXD2

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004030620	A2	20040415	WO 2003-US30669	20030929 <--
WO 2004030620	A3	20040610		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003275282	A1	20040423	AU 2003-275282	20030929 <--
US 20040092514	A1	20040513	US 2003-674098	20030929 <--
US 7232826	B2	20070619		
EP 1545529	A2	20050629	EP 2003-759558	20030929 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRIORITY APPLN. INFO.:			US 2002-415066P	P 20020930 <--
			WO 2003-US30669	W 20030929 <--
OTHER SOURCE(S):		MARPAT 140:321363		
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I and II [wherein A, B, D, and E = independently C, N, O, S or a direct bond, provided that not more than one of A, B, D, and E can be a single bond; Y = O or S; W = N, CH, O, and S, provided that when W = O or S, R7 is absent; R1-R7 = independently H, alkyl, alkenyl, alkynyl, (hetero)cycloalkyl, halo, amino(alkyl), (thio)alkoxy, NO2, (hetero)aryl, (thio)alkoxyalkyl, aminoalkyl, (hetero)aralkyl, heterocycloalkylalkyl, CN, CO2R8, CONR9R10, CO2NR11R12, NR13CONR14R15, NR16SO2R17, SO2NR18R19, C(NR20)NR21R22, NHZ, or NHZ-(hetero)aryl; Z = (un)substituted (cyclo)alkyl, (cyclo)alkenyl, or alkynyl, optionally interrupted by CO, CONH, CNOR26, CNNR27, CNNCOR28, or CNNSO2R29; R8-R24 and R26 = independently H, alkyl, alkenyl, alkynyl, cycloalkyl(alkyl), OH, alkoxy, (hetero)aryl, heterocyclyl, heteroarylalkyl, alkyl-R25; R25 = alkenyl, OH, SH, (thio)alkoxy, NH2, (di)alkylamino, (hetero)aryl, CN, halo, heterocyclyl, sulfoxy, sulfonyl, NR27CO2R28, NR29COR30, NR31SO2R32, SO2NR31R32, or CONR33R34; R27-R34 = independently H, or (cyclo)alkyl; and enantiomers, diastereomers, pharmaceutically acceptable salts, hydrates, prodrugs, or solvates thereof] were prepared as tyrosine kinase inhibitors. For example, 1-[4-(3,4-diamino-5-methylphenyl)piperazin-1-yl]ethanone was condensed with 2,4-dichloroquinoline-3-carboxaldehyde in MeOH to give the benzimidazole. Hydrolysis of the chloro group using 4N HCl in dioxane afforded the 2- and 4-quinolinones. Nucleophilic addition of (S)-2-(3-chlorophenyl)-2-hydroxyethylamine using N-methylmorpholine in DMF provided III and IV. Compds. of the invention exhibited kinase activity of <25 μ M against one or more of the following kinases: CDK, EMT, FAK, Her1, Her2, IGF, IR, LCK, MET, PDGF, VEGF. Thus, I, II, and their

pharmaceutical compns. are useful as for treatment of cancer and other diseases that can be treated by inhibiting tyrosine kinase enzymes (no data).

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 11 OF 45 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:182584 CAPLUS <<LOGINID::20090203>>

DOCUMENT NUMBER: 140:235710

TITLE: Preparation of
2-(4-substituted-2-oxo-1,2-dihydropyridin-3-yl)-
benzimidazoles as novel tyrosine
kinase inhibitors

INVENTOR(S): Wittman, Mark D.; Balasubramanian, Neelakantan;
Velaparthi, Upender; Zimmermann, Kurt; Saulnier, Mark
G.; Liu, Peiying; Sang, Xiaopeng; Frennesson, David
B.; Stoffan, Karen M.; Tarrant, James G.; Marinier,
Anne; Roy, Stephan

PATENT ASSIGNEE(S): Bristol-Myers Squibb Co., USA

SOURCE: U.S. Pat. Appl. Publ., 210 pp., Cont.-in-part of U.S.
Ser. No. 105,599.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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US 20040044203	A1	20040304	US 2002-263448	20021002 <--
US 7081454	B2	20060725		
WO 2004031401	A2	20040415	WO 2003-US30931	20031001 <--
WO 2004031401	A3	20040729		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2003282891	A1	20040423	AU 2003-282891	20031001 <--
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EP 1545543	A2	20050629	EP 2003-774510	20031001 <--
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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

US 20060079518	A1	20060413	US 2005-289834	20051130 <--
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US 7223757	B2	20070529		
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PRIORITY APPLN. INFO.: US 2001-279327P P 20010328 <--
US 2002-105599 A2 20020325 <--
US 2002-263448 A 20021002 <--
WO 2003-US30931 W 20031001 <--

OTHER SOURCE(S): MARPAT 140:235710

GI

/ Structure 805 in file .gra /

AB The title compds. [I; X = N, C, a bond, etc.; Y = O, S; W = N, C, O, S (if W = O or S, then R9 is absent); R1-R9 = H, alkyl, cycloalkyl, etc.] and their pharmaceutically acceptable salts which inhibit tyrosine kinase enzymes thereby making them useful as anti-cancer agents, were prepared Thus, reacting 3-[6-(imidazol-1-yl)-4-methyl-1H-benzimidazol-2-yl]-4-iodo-1H-pyridin-2-one (preparation given) with (S)-(-)-2-phenylglycinol in the presence of N-methylmorpholine in DMF afforded 52% (S)-II. The compds. I showed kinase activity of <25µM against one or more of the following kinases CDK, EMT, FAK, Her1, Her2, IGF, IR, LCK, MET, PDGF, VEGF. The compds. I are also useful for the treatment of other diseases which can be treated by inhibiting tyrosine kinase enzymes.

REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 12 OF 45 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:147756 CAPLUS <<LOGINID::20090203>>

DOCUMENT NUMBER: 140:296808

TITLE: The role of protein tyrosine kinases in CYP1A1 induction by omeprazole and thiabendazole in rat hepatocytes

AUTHOR(S): Lemaire, G.; Delescluse, C.; Pralavorio, M.; Ledirac, N.; Lesca, P.; Rahmani, R.

CORPORATE SOURCE: Laboratoire de Pharmaco-toxicologie Cellulaire et Moléculaire, INRA, Antibes, 06606, Fr.

SOURCE: Life Sciences (2004), 74(18), 2265-2278

CODEN: LIFSAK; ISSN: 0024-3205

PUBLISHER: Elsevier Science Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Benzimidazoles compds. like omeprazole (OME) and thiabendazole (TBZ) mediate CYP1A1 induction differently from classical aryl hydrocarbon receptor (AhR) ligands, 3-methylcholanthrene (3-MC) and 2,3,7,8-tetrachlorodibenzo-p-dioxin (TCDD). To clarify the involvement of an intracellular signal pathway in CYP1A1 induction by OME and TBZ, the TBZ, OME and 3-MC signal-transducing pathways were compared by using specific protein tyrosine kinase inhibitors in primary culture of rat hepatocytes. The effect of OME and TBZ (75-250 µM) on cytochrome P 450 1A1 (CYP1A1) expression was therefore studied in primary cultures of rat hepatocytes after 24 h, 48 h and 72 h of exposure. Both compds. provoked a dose- and time-dependent increase in CYP1A1 (EROD activity, protein and mRNA levels), but OME was less effective at all the concns. and times tested. The mechanism of benzimidazole-mediated induction of CYP1A1 was investigated by comparison with 3-MC, a prototypical AhR ligand. As expected, OME and TBZ were unable to displace [3H]-TCDD from its binding sites to the AhR in competitive binding studies. Moreover, classic tyrosine kinase inhibitor herbimycin A (HA) inhibited the two benzimidazoles-mediated CYP1A1 inductions, but only partially inhibited the 3-MC-mediated one. Another two tyrosine kinase inhibitors, Lavendustin A (LA) and genistein (GEN), had no effect on CYP1A1 induction by benzimidazoles and 3-MC. These results are consistent with the implication of a tyrosine kinase, most probably the Src tyrosine kinase, in the mechanism of CYP1A1 induction in rat hepatocytes.

REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 13 OF 45 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:143147 CAPLUS <<LOGINID::20090203>>

DOCUMENT NUMBER: 140:181450

TITLE: Preparation of substituted benzimidazoles as interleukin-2 tyrosine kinase (Itk) inhibitors

INVENTOR(S): Cywin, Charles; Pullen, Steven S.; Roth, Gregory Paul; Snow, Roger John; Fleck, Roman Wolfgang; Takahashi, Hidenori; Winters, Michael; Qiao, Lei; Nemoto, Peter Allen; Moriarity, Kevin J.; Wang, Ji; Bentzien, Joerg; Cook, Brian; Lo, Ho-yin

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 180 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004014905	A1	20040219	WO 2003-US24024	20030801 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2494942	A1	20040219	CA 2003-2494942	20030801 <--
AU 2003257094	A1	20040225	AU 2003-257094	20030801 <--
EP 1529046	A1	20050511	EP 2003-784864	20030801 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 20050203158	A1	20050915	US 2003-632888	20030801 <--
US 7138420	B2	20061121		
JP 2005536533	T	20051202	JP 2004-527696	20030801 <--
PRIORITY APPLN. INFO.:			US 2002-402009P	P 20020808 <--
			WO 2003-US24024	W 20030801 <--
OTHER SOURCE(S):		MARPAT 140:181450		
GI				

/ Structure 806 in file .gra /

AB Title compds. I [R1 = H, alkyl; R2 = (hetero)aryl; R3 = alkyl; R4 = 5-/6-position of benzimidazole alkyl(amino, amido, etc.); R5 = H, alkyl, alkoxy, halo; X = O, S] are prepared For instance, cyclohexanecarboxylic acid N-[4-fluoro-3-nitrophenyl]amide (preparation given) is reacted with β -alanine amide•HCl (DMSO, Et3N, 80°, 16 h) to give the aryl substitution product; this intermediate is reduced (EtOH, NH4OCHO, Pd/C) to the corresponding aniline and converted to the 2-aminobenzimidazole (EtOH, BrCN). This is acylated with 2-thiophenecarbonyl chloride (pyridine) to give II. I inhibit Itk kinase and are therefore useful for treating diseases and pathol. conditions involving inflammation, immunol. disorders and allergic disorders.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 14 OF 45 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2003:511153 CAPLUS <<LOGINID::20090203>>
 DOCUMENT NUMBER: 139:69281
 TITLE: Preparation of alkynyl thienopyrimidines as protein
 tyrosine kinase inhibitors useful against cancer and
 other disorders
 INVENTOR(S): Caferro, Thomas R.; Chamberlain, Stanley Dawes;
 Donaldson, Kelly Horne; Harris, Philip Anthony; Gaul,
 Michael David; Uehling, David Edward; Vanderwall, Dana
 Edward
 PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
 SOURCE: PCT Int. Appl., 240 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003053446	A1	20030703	WO 2002-US39872	20021213 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002357193	A1	20030709	AU 2002-357193	20021213 <--
EP 1463507	A1	20041006	EP 2002-805582	20021213 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005516023	T	20050602	JP 2003-554203	20021213 <--
US 20050009845	A1	20050113	US 2004-499247	20040617 <--
PRIORITY APPLN. INFO.:			US 2001-342207P	P 20011219 <--
			WO 2002-US39872	W 20021213 <--
OTHER SOURCE(S): MARPAT 139:69281				
GI				

/ Structure 807 in file .gra /

AB The present invention relates to alkynyl thienopyrimidines (shown as I;
 variables defined below; e.g. N-(2-benzyl-1H-benzimidazol
 -5-yl)-6-ethynylthieno[3,2-d]pyrimidin-4-amine), salts thereof, as well as
 use and preparation of the same. These compds. are inhibitors of
 various protein tyrosine kinases (PTKs) of the ErbB
 family and consequently are useful in the treatment of disorders mediated
 by aberrant activity of such kinases. Semiquant. pIC50 values for
 inhibition of ErbB-2 tyrosine kinase and IC50 values for cytotoxicity for
 HFF as a representative human normal cell line are reported for 11
 examples of I. For I: one of A1 and A2 is S and the other is CH; R1 is H
 or -(CR11R11)n-R5; R2 is H or OC1-6alkyl; R3 = aryl (un)substituted with
 ≥1 halo, alkynyl, -CF3, -(CH2)nOR4, -(CH2)nSR4, -NO2, C1-6alkyl,
 -CN, -SO2R9, -(CH2)naryl and -(CH2)nNR9R10, and heteroaryl (un)substituted
 with ≥1 halo, alkynyl, -CF3, -(CH2)nOR4, -(CH2)nSR4, -NO2,

C1-6alkyl, -CN, -SO₂R⁹, -(CH₂)_naryl and -(CH₂)_nNR⁹R¹⁰; n = 0-6; addnl.
 details are given in the claims. Although the methods of preparation are not
 claimed, .apprx.120 example preps. of I are included.
 REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 15 OF 45 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2003:98039 CAPLUS <<LOGINID::20090203>>
 DOCUMENT NUMBER: 138:153534
 TITLE: Preparation of benzimidazolyl-substituted quinolinone
 derivatives and analogs, with inhibitory action
 against vascular endothelial growth factor receptor
 tyrosine kinase, and useful as anticancer agents
 INVENTOR(S): Renhowe, Paul A.; Pecchi, Sabina; Machajewski, Timothy
 D.; Shafer, Cynthia M.; Taylor, Clarke; McCrea,
 William R.; McBride, Christopher; Jazan, Elisa
 PATENT ASSIGNEE(S): Chiron Corporation, USA
 SOURCE: U.S. Pat. Appl. Publ., 69 pp., Cont.-in-part of U.S.
 Pat. Appl. 2002 107,392.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20030028018	A1	20030206	US 2002-116117	20020405 <--
US 20020107392	A1	20020808	US 2001-951265	20010911 <--
US 6605617	B2	20030812		
EP 1650203	A1	20060426	EP 2005-17665	20010911 <--
EP 1650203	B1	20080220		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1849782	A1	20071031	EP 2007-11978	20010911 <--
R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE, TR, AL, LT, LV, MK, RO, SI				
US 20030158224	A1	20030821	US 2002-284017	20021030 <--
US 6774237	B2	20040810		
US 20040006101	A1	20040108	US 2003-387355	20030312 <--
US 6762194	B2	20040713		
CA 2481055	A1	20031023	CA 2003-2481055	20030404 <--
WO 2003087095	A1	20031023	WO 2003-US10463	20030404 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003226275	A1	20031027	AU 2003-226275	20030404 <--
EP 1497287	A1	20050119	EP 2003-746614	20030404 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003008996	A	20050222	BR 2003-8996	20030404 <--
CN 1659165	A	20050824	CN 2003-812909	20030404 <--
JP 2005527587	T	20050915	JP 2003-584051	20030404 <--
NZ 536068	A	20080430	NZ 2003-536068	20030404 <--

SG 143985	A1	20080729	SG 2006-6999	20030404 <--
US 20040097545	A1	20040520	US 2003-613411	20030703 <--
US 6800760	B2	20041005		
US 20050054672	A1	20050310	US 2004-886950	20040708 <--
MX 2004009739	A	20050111	MX 2004-9739	20041005 <--
IN 2004KN01494	A	20070601	IN 2004-KN1494	20041006 <--
NO 2004004776	A	20041207	NO 2004-4776	20041103 <--
US 20050209456	A1	20050922	US 2005-92137	20050329 <--
US 7335774	B2	20080226		
JP 2007191486	A	20070802	JP 2007-62683	20070312 <--
US 20080070906	A1	20080320	US 2007-866296	20071002 <--
PRIORITY APPLN. INFO.:			US 2000-232159P	P 20000911 <--
			US 2001-951265	A2 20010911 <--
			EP 2001-973722	A3 20010911 <--
			EP 2005-17665	A3 20010911 <--
			JP 2002-526851	A3 20010911 <--
			US 2002-116117	A 20020405 <--
			US 2002-284017	A1 20021030 <--
			WO 2003-US10463	W 20030404 <--
			US 2004-886950	A1 20040708 <--
OTHER SOURCE(S):		MARPAT 138:153534		
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. of formulas I and II are provided [for I: Z = O, S, (un)substituted NH; Y = certain OH derivs., CHO, esters and amides of CO₂H, certain NH₂ derivs.; R₁-R₄ = H, halo, cyano, NO₂, OH or derivs., NH₂ or derivs., (un)substituted amidinyl, guanidinyl, alk(en/yn)yl, aryl, heterocyclyl, CHO, CO₂H and esters and amides; R₅-R₈ = H, halo, NO₂, OH or derivs., NH₂ or derivs., SH or derivs., cyano, etc.; R₉ = H, OH, (un)substituted alkoxy or aryloxy, NH₂ or derivs., (un)substituted alkyl or aryl, CHO, alkanoyl, aroyl; for II: A, B, D, E = C or N, with at least one being N; Y = H, OH or derivs., SH or derivs., NH₂ or derivs., cyano, various acyl groups, (un)substituted alk(en/yn)yl, aralkyl, heterocycloalkyl, aryl, etc.; R₁-R₈ = H, halo, NO₂, cyano, OH or derivs., NH₂ or derivs., acyl, SH or derivs., etc.; R₉ = H, OH, (un)substituted alkoxy, aryloxy, NH₂ or derivs., aryl, CHO, alkanoyl, aroyl]. Also provided are pharmaceutical formulations including the compds. or their pharmaceutically acceptable salts and a pharmaceutically acceptable carrier, which may be prepared by mixing the compds. or salts with a carrier and water. A disclosed method of treating a patient includes administering a pharmaceutical formulation according to the invention to a patient. Claims include tautomers of the compds., pharmaceutically acceptable salts, and pharmaceutically acceptable salts of the tautomers. I and II are inhibitors of receptor tyrosine kinases, and particularly of vascular endothelial growth factor receptor (VEGFR) tyrosine kinase. As such, they are inhibitors of angiogenesis, and thereby act as anticancer agents. Approx 270 invention compds. are listed, with detailed preps. given for about 50 compds. Several general preparatory methods are discussed in detail. For instance, cyclocondensation of Et 2-(benzimidazol-2-yl)acetate with the corresponding ortho-amino nitrile (preps. given), carried out in refluxing ClCH₂CH₂Cl in the presence of SnCl₄, gave the invention quinolinone III. Many compds. I and II had in vitro IC₅₀ values of less than 10 μ M with respect to flt-1 (VEGFR1), KDR (VEGFR2) and bFGF kinases (recombinant, expressed in Sf9 insect cells).

L8 ANSWER 16 OF 45 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2002:777929 CAPLUS <<LOGINID::20090203>>
 DOCUMENT NUMBER: 137:294954
 TITLE: Preparation of
 2-(4-substituted-2-oxo-1,2-dihydropyridin-3-yl)-
 benzimidazoles as novel tyrosine
 kinase inhibitors
 INVENTOR(S): Wittman, Mark D.; Balasubramanian, Neelakantan;
 Velaparthi, Upender; Zimmermann, Kurt; Saulnier, Mark
 G.; Liu, Peiying; Sang, Xiaopeng; Frennesson, David
 B.; Stoffan, Karen M.; Tarrant, James G.
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
 SOURCE: PCT Int. Appl., 249 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002079192	A1	20021010	WO 2002-US9402	20020326 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2442428	A1	20021010	CA 2002-2442428	20020326 <--
AU 2002254399	A1	20021015	AU 2002-254399	20020326 <--
EP 1381598	A1	20040121	EP 2002-723631	20020326 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EE 200300475	A	20040216	EE 2003-475	20020326 <--
CN 1514833	A	20040721	CN 2002-810516	20020326 <--
JP 2004534010	T	20041111	JP 2002-577817	20020326 <--
BR 2002008373	A	20050222	BR 2002-8373	20020326 <--
HU 2004000323	A2	20051128	HU 2004-323	20020326 <--
MX 2003008690	A	20031212	MX 2003-8690	20030924 <--
ZA 2003007466	A	20050113	ZA 2003-7466	20030925 <--
NO 2003004308	A	20031126	NO 2003-4308	20030926 <--
BG 108206	A	20041130	BG 2003-108206	20030926 <--
IN 2003DN01548	A	20070316	IN 2003-DN1548	20030926 <--
PRIORITY APPLN. INFO.:			US 2001-279327P	P 20010328 <--
			WO 2002-US9402	W 20020326 <--
OTHER SOURCE(S):			MARPAT 137:294954	
GI				

/ Structure 808 in file .gra /

AB The title compds. [I; X = N, C, a bond, etc.; Y = O, S; W = N, C, O, S (if W = O or S, then R9 is absent); R1-R9 = H, alkyl, cycloalkyl, etc.] and their pharmaceutically acceptable salts which inhibit tyrosine kinase enzymes thereby making them useful as anti-cancer agents, were prepared

Thus, reacting 3-[6-(imidazol-1-yl)-4-methyl-1H-benzimidazol-2-yl]-4-iodo-1H-pyridin-2-one (preparation given) with (S)-(-)-2-phenylglycinol in the presence of N-methylmorpholine in DMF afforded 52% (S)-II which showed IC₅₀ of 1.0 μ M in cytotoxicity assay (HT-29 human colon tumor cell line). 30 Of the exemplified compds. I showed kinase activity of <25 μ M against one or more of the following kinases CDK, EMT, FAK, Her1, Her2, IGF, IR, LCK, MET, PDGF, VEGF. The compds. I are also useful for the treatment of other diseases which can be treated by inhibiting tyrosine kinase enzymes.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 17 OF 45 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:308929 CAPLUS <<LOGINID::20090203>>
DOCUMENT NUMBER: 138:112
TITLE: Inhibitory effect of tyrphostin AG114 on recombinant human protein kinase CK2 holoenzyme
AUTHOR(S): Liu, Xinguang; Liang, Nianci
CORPORATE SOURCE: Institute of Biochemistry + Molecular Biology, Guangdong Medical College, Zhanjiang, 524023, Peop. Rep. China
SOURCE: Zhongguo Yaolixue Yu Dulixue Zazhi (2002), 16(1), 8-14
CODEN: ZYYZEW; ISSN: 1000-3002
PUBLISHER: Zhongguo Yaolixue Yu Dulixue Zazhi Biarjibu
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The direct effect of tyrphostin AG114 on recombinant human protein kinase CK2 holoenzyme and its kinetics were studied. Recombinant human protein kinase CK2 α and β subunits were cloned and expressed by genetic engineering, and purified to homogeneity. The 2 subunits were mixed at equal molar ratio and reconstituted CK2 holoenzyme, which exerted the maximum biol. activity. The CK2 activity was assayed by detecting incorporation of ³²P of [γ ³²P]ATP or [γ ³²P]GTP into the substrate in various conditions. The recombinant human CK2 was a 2nd messenger (Ca²⁺, cAMP, and cGMP) independent protein kinase, the characterization and function of the reconstituted holoenzyme were consistent with those of native CK2. AG114 strongly inhibited the holoenzyme activity of recombinant human protein kinase CK2 with an IC₅₀ of 20.8 μ mol L⁻¹, its potency was between 5,6-dichloro-1- β -D-ribofuranosyl-benzimidazole (DRB) and N-(2-aminoethyl)-5-chloronaphthalene-1-sulfonamide (A3), known as CK2 special inhibitors. Kinetic studies of AG114 inhibition on recombinant human CK2 showed that the inhibition was mixed competitive with GTP and non-competitive with casein. AG114 not only was an effective inhibitor of protein Tyr kinases, but also was a novel potent inhibitor of protein kinase CK2. The recombinant human protein kinase CK2 might be used as a mol. target for simpler screening and development of more effective inhibitors of CK2.

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 18 OF 45 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:269098 CAPLUS <<LOGINID::20090203>>
DOCUMENT NUMBER: 136:273663
TITLE: Presence of gene whose product mediates ligand-independent activation of Ah receptor
AUTHOR(S): Kikuchi, Hideaki
CORPORATE SOURCE: Department of Molecular Genetics, Institute of Development, Aging and Cancer, Tohoku University, Sendai, 980-8575, Japan

SOURCE: Karei Igaku Kenkyusho Zasshi (2002),
53(1-2), 1-12
CODEN: KIKZEP; ISSN: 1340-3397
PUBLISHER: Tohoku Daigaku Karei Igaku Kenkyusho Kenkyukai
DOCUMENT TYPE: Journal; General Review
LANGUAGE: Japanese
AB A review. Previously, we showed that such benzimidazole
compsds., as omeprazole, lansoprazole and thiabendazole in human cells
could activate Ah receptor but not in mouse cells. This activation was
inhibited by the tyrosine kinase inhibitors,
but not by the Ah-receptor antagonists, suggesting the presence of a
ligand-independent signal-transduction pathway. We utilized the lack of
CYP1A1 induction by omeprazole in mouse cells to map a putative human gene
for omeprazole-responsiveness in cell hybrids produced by fusion of Hepa-1
and HepG2 cells. In this review, I summarize our recent progress and
discuss the physiol. significance of this ligand-independent activation of
Ah receptor.

L8 ANSWER 19 OF 45 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:220574 CAPLUS <<LOGINID::20090203>>
DOCUMENT NUMBER: 136:263158
TITLE: Benzimidazolyl-substituted quinolinone derivatives and
analogs, with inhibitory action against vascular
endothelial growth factor receptor tyrosine kinase,
and useful as anticancer agents
INVENTOR(S): Renhowe, Paul; Pecchi, Sabina; Machajewski, Tim;
Shafer, Cynthia; Taylor, Clarke; McCrea, Bill;
McBride, Chris; Jazan, Elisa; Wernette-Hammond,
Mary-Ellen; Harris, Alex
PATENT ASSIGNEE(S): Chiron Corporation, USA
SOURCE: PCT Int. Appl., 207 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022598	A1	20020321	WO 2001-US42131	20010911 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2421120	A1	20020321	CA 2001-2421120	20010911 <--
CA 2421120	C	20080715		
AU 2001093275	A	20020326	AU 2001-93275	20010911 <--
EP 1317442	A1	20030611	EP 2001-973722	20010911 <--
EP 1317442	B1	20051116		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
HU 2003001045	A2	20031229	HU 2003-1045	20010911 <--
BR 2001013757	A	20040302	BR 2001-13757	20010911 <--
JP 2004509112	T	20040325	JP 2002-526851	20010911 <--
NZ 524717	A	20040924	NZ 2001-524717	20010911 <--
AU 2001293275	B2	20050414	AU 2001-293275	20010911 <--

AT 309996	T	20051215	AT 2001-973722	20010911 <--
ES 2250480	T3	20060416	ES 2001-973722	20010911 <--
EP 1650203	A1	20060426	EP 2005-17665	20010911 <--
EP 1650203	B1	20080220		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
AP 1666	A	20061031	AP 2003-2781	20010911 <--
W: GM, GH, KE, LS, MW, MZ, SL, SD, SZ, TZ, UG, ZM, ZW				
SG 129306	A1	20070226	SG 2005-1676	20010911 <--
EP 1849782	A1	20071031	EP 2007-11978	20010911 <--
R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE, TR, AL, LT, LV, MK, RO, SI				
CN 100351249	C	20071128	CN 2001-815371	20010911 <--
AT 386736	T	20080315	AT 2005-17665	20010911 <--
ES 2302106	T3	20080701	ES 2005-17665	20010911 <--
ZA 2003001578	A	20040826	ZA 2003-1578	20030226 <--
IN 2003KN00244	A	20050311	IN 2003-KN244	20030226 <--
MX 2003002032	A	20030724	MX 2003-2032	20030307 <--
NO 2003001097	A	20030325	NO 2003-1097	20030310 <--
NO 324155	B1	20070903		
US 20040006101	A1	20040108	US 2003-387355	20030312 <--
US 6762194	B2	20040713		
BG 107709	A	20040130	BG 2003-107709	20030408 <--
HK 1053644	A1	20060504	HK 2003-104217	20030612 <--
US 20050054672	A1	20050310	US 2004-886950	20040708 <--
HK 1064368	A1	20080926	HK 2004-106977	20040914 <--
US 20050209456	A1	20050922	US 2005-92137	20050329 <--
US 7335774	B2	20080226		
AU 2005202068	A1	20050602	AU 2005-202068	20050513 <--
AU 2005202068	B2	20070809		
KR 2006036494	A	20060428	KR 2006-707122	20060413 <--
KR 765841	B1	20071010	KR 2006-717401	20060828 <--
JP 2007191486	A	20070802	JP 2007-62683	20070312 <--
NO 2007001888	A	20030325	NO 2007-1888	20070412 <--
IN 2008KN01705	A	20081226	IN 2008-KN1705	20080428 <--
PRIORITY APPLN. INFO.:				
			US 2000-232159P	P 20000911 <--
			AU 2001-293275	A3 20010911 <--
			EP 2001-973722	A3 20010911 <--
			EP 2005-17665	A3 20010911 <--
			JP 2002-526851	A3 20010911 <--
			US 2001-951265	A1 20010911 <--
			WO 2001-US42131	W 20010911 <--
			US 2002-284017	A1 20021030 <--
			IN 2003-KN244	A3 20030226 <--
			KR 2003-703558	A3 20030311 <--
			US 2004-886950	A1 20040708 <--
OTHER SOURCE(S): MARPAT 136:263158				
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. of formulas I and II are provided [for I: Z = O, S, (un)substituted NH; Y = certain OH derivs., CHO, esters and amides of CO₂H, certain NH₂ derivs.; R₁-R₄ = H, halo, cyano, NO₂, OH or derivs., NH₂ or derivs., (un)substituted amidinyl, guanidinyl, alk(en/yn)yl, aryl, heterocyclyl, CHO, CO₂H and esters and amides; R₅-R₈ = H, halo, NO₂, OH or derivs., NH₂ or derivs., SH or derivs., cyano, etc.; R₉ = H, OH, (un)substituted alkoxy or aryloxy, NH₂ or derivs., (un)substituted alkyl

or aryl, CHO, alkanoyl, aroyl; for II: A, B, D, E = C or N, with at least one being N; Y = H, OH or derivs., SH or derivs., NH₂ or derivs., cyano, various acyl groups, (un)substituted alk(en/yn)yl, aralkyl, heterocycloalkyl, aryl, etc.; R₁-R₈ = H, halo, NO₂, cyano, OH or derivs., NH₂ or derivs., acyl, SH or derivs., etc.; R₉ = H, OH, (un)substituted alkoxy, aryloxy, NH₂ or derivs., aryl, CHO, alkanoyl, aroyl]. Also provided are pharmaceutical formulations including the compds. or their pharmaceutically acceptable salts and a pharmaceutically acceptable carrier, which may be prepared by mixing the compds. or salts with a carrier and water. A disclosed method of treating a patient includes administering a pharmaceutical formulation according to the invention to a patient. Claims include tautomers of the compds., pharmaceutically acceptable salts, and pharmaceutically acceptable salts of the tautomers. I and II are inhibitors of receptor tyrosine kinases, and particularly of vascular endothelial growth factor receptor (VEGFR) tyrosine kinase. As such, they are inhibitors of angiogenesis, and thereby act as anticancer agents. Approx 270 invention compds. are listed, with detailed preps. given for about 50 compds. Several general preparatory methods are discussed in detail. For instance, cyclocondensation of Et 2-(benzimidazol-2-yl)acetate with the corresponding ortho-amino nitrile (preps. given), carried out in refluxing ClCH₂CH₂Cl in the presence of SnCl₄, gave the invention quinolinone III. Many compds. I and II had in vitro IC₅₀ values of less than 10 μ M with respect to flt-1 (VEGFR1), KDR (VEGFR2) and bFGF kinases (recombinant, expressed in Sf9 insect cells).

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 20 OF 45 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:300674 CAPLUS <<LOGINID::20090203>>

DOCUMENT NUMBER: 134:326527

TITLE: Preparation of benzimidazole derivatives as tyrosine kinase inhibitors

INVENTOR(S): Fraley, Mark E.; Hambaugh, Scott R.; Hungate, Randall W.

PATENT ASSIGNEE(S): Merck & Co. Inc., USA

SOURCE: PCT Int. Appl., 107 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001028993	A2	20010426	WO 2000-US28641	20001016 <--
WO 2001028993	A3	20010913		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2387840	A1	20010426	CA 2000-2387840	20001016 <--
AU 2001010913	A	20010430	AU 2001-10913	20001016 <--
AU 778042	B2	20041111		
EP 1226119	A2	20020731	EP 2000-972217	20001016 <--
EP 1226119	B1	20050316		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL
 JP 2003512353 T 20030402 JP 2001-531793 20001016 <--
 AT 290865 T 20050415 AT 2000-972217 20001016 <--
 ES 2235970 T3 20050716 ES 2000-972217 20001016 <--
 US 6479512 B1 20021112 US 2000-690602 20001017 <--
 PRIORITY APPLN. INFO.: US 1999-160362P P 19991019 <--
 WO 2000-US28641 W 20001016 <--
 OTHER SOURCE(S): MARPAT 134:326527
 GI

/ Structure 809 in file .gra /

AB Title compds. [I; X = CH, N; Y = CH, N, S; Z = CH, S, electron pair; Q = CH, electron pair; dotted bond = single, double; R = (CH₃)₂NCH₂CH(CH₃)CH₂O, (CH₃OCH₂CH₂)(C₆H₅CH₂)NCH₂CH₂O, (CH₃CH₂)₂NCH₂CH₂O, (CH₃)(C₆H₅CH₂)NCH₂CH₂CH₂O, (CH₃OCH₂CH₂)(HOOCCH₂CH₂)NCH₂CH₂O, (CH₃OCH₂CH₂)(CH₃SO₂)NCH₂, cycloalkylaminoalkyl, heterocyclylalkyl, etc.], stereoisomer, and pharmaceutically acceptable salts are prepared and inhibit, regulate and/or modulate tyrosine kinase signal transduction. Title compds. are tested on VEGF-stimulated mitogenesis of human vascular endothelial cells in culture with IC₅₀ values between 0.001-5.0 μM. Pharmaceutical compns. and methods of using them to treat tyrosine kinase-dependent diseases and conditions, such as angiogenesis, cancer, tumor growth, atherosclerosis, age related macular degeneration, diabetic retinopathy, inflammatory diseases, etc. are discussed. Thus, the title compound II was prepared

L8 ANSWER 21 OF 45 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2001:169365 CAPLUS <<LOGINID::20090203>>
 DOCUMENT NUMBER: 134:217405
 TITLE: Fibroblast growth factor-2 (FGF-2) increases N-cadherin expression through protein kinase C and src-kinase pathways in human calvaria osteoblasts
 AUTHOR(S): Debais, Francoise; Lemonnier, Jerome; Hay, Eric; Delannoy, Philippe; Caverzasio, Joseph; Marie, Pierre J.
 CORPORATE SOURCE: INSERM Unit 349 Affiliated CNRS, Lariboisiere Hospital, Paris, 75475, Fr.
 SOURCE: Journal of Cellular Biochemistry (2001), 81(1), 68-81
 CODEN: JCEBD5; ISSN: 0730-2312
 PUBLISHER: Wiley-Liss, Inc.
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB Fibroblast growth factors (FGFs) are important factors regulating osteogenesis. However, the early mechanisms and signaling pathways involved in FGF actions in osteoblasts are unknown. The authors investigated the effects of FGF-2 on cell-cell adhesion and cadherin expression and the underlying signaling pathways in immortalized human neonatal calvaria (IHNC) cells. These cells express E- and N-cadherins, as shown by immunocytochem. and Western blot analyses. rhFGF-2 increased cell-cell adhesion at 24-72h, as measured in a cell aggregation assay, and this effect was blocked by specific neutralizing anti-N-cadherin, but not anti-E-cadherin antibodies. Accordingly, ELISA and Western blot analyses showed that rhFGF-2 (10-100 ng/mL) dose dependently increased N-cadherin but not E-cadherin protein levels. RT-PCR anal. showed that rhFGF-2

transiently increased N-cadherin mRNA levels in IHNC cells. The RNA polymerase II inhibitor 5,6-dichloro-1- β -D-ribofuranosyl benzimidazole prevented the rhFGF-2-induced upregulation of N-cadherin mRNA, suggesting that transcription is necessary for this effect. Anal. of signaling mols. showed evidence that PLC γ -PKC, Src, Erk 1/2 and p38 MAPK pathways are activated by rhFGF-2 in IHNC cells. The selective PKC inhibitors calphostin C, Ro-31-8220, Go6976 and Go6983 abrogated the stimulatory effect of rhFGF-2 on N-cadherin mRNA levels. The src-family tyrosine kinase inhibitor PP1 also blocked rhFGF-2-promoted N-cadherin expression. In contrast, the p38 MAP kinase inhibitor SB 203580 or the MEK inhibitor PD98059 had no effect on rhFGF-2-induced N-cadherin mRNA levels. The authors' data indicate that FGF-2 increases N-cadherin expression and function in human calvaria osteoblasts via activation of PKC and src-kinase pathways. This study identifies N-cadherin as a previously unrecognized target gene for FGF-2 signaling pathway that regulates cell-cell adhesion in human osteoblasts.

REFERENCE COUNT: 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 22 OF 45 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:12273 CAPLUS <<LOGINID::20090203>>

DOCUMENT NUMBER: 134:86271

TITLE: Preparation of pyrimidine derivatives as Src-family protein tyrosine kinase inhibitor compounds

INVENTOR(S): Armstrong, Helen M.; Beresis, Richard; Goulet, Joung L.; Holmes, Mark A.; Hong, Xingfang; Mills, Sander G.; Parsons, William H.; Sinclair, Peter J.; Steiner, Mark G.; Wong, Frederick; Zaller, Dennis M.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 470 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001000213	A1	20010104	WO 2000-US17443	20000626 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2383546	A1	20010104	CA 2000-2383546	20000626 <--
EP 1206265	A1	20020522	EP 2000-941701	20000626 <--
EP 1206265	B1	20031112		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
US 6498165	B1	20021224	US 2000-604305	20000626 <--
JP 2003523942	T	20030812	JP 2001-505922	20000626 <--
AT 253915	T	20031115	AT 2000-941701	20000626 <--
PRIORITY APPLN. INFO.:			US 1999-141639P	P 19990630 <--
			WO 2000-US17443	W 20000626 <--

OTHER SOURCE(S): MARPAT 134:86271

GI

/ Structure 810 in file .gra /

AB What are claimed are pyrimidine compds. (shown as I), or their pharmaceutically acceptable salts, hydrates, solvates, crystal forms and individual diastereomers, and pharmaceutical compns. including the same and their use as inhibitors of tyrosine kinase enzymes and consequently their use in the prophylaxis and treatment of protein tyrosine kinase-associated disorders, such as immune diseases, hyperproliferative disorders and other diseases in which inappropriate protein kinase action is believed to play a role, such as cancer, angiogenesis, atherosclerosis, graft rejection, rheumatoid arthritis and psoriasis. In I, R1, R2 = independently H, halo, OH, SH, CN, NO2, alkyl, alkoxy, acyloxy, alkoxycarbonyloxy, carbamoyloxy, alkylthio, sulfinyl, sulfonyl, acyl, alkoxycarbonyl, carbamoyl, amino, acylamino, ureido, sulfamoyl, sulfonylamino, or R1 and R2 can join together to form a fused methylenedioxy ring or a fused 6-membered aromatic ring; terms such as 'alkyl' here and below are further defined in the claims. R3, R5 = independently H, C1-C6-alkyl unsubstituted or substituted with 1-3 substituents, aryl, or R3 and R5 taken together can represent :O; R3 or R5 can represent a 2 or 3 C methylene bridge forming a ring of 5-8 atoms fused to the A ring. R4 = H, C1-C6-alkyl, C1-C6-alkoxyl. X1, X2, X3, X4 in -X1:X2-X3:X4- are substituted or unsubstituted CH or N where 0-2 of X1, X2, X3, X4 are N. X5, X6 = independently N, C, optionally substituted CH. A ring = Ph, naphthyl, pyridyl, pyrazinyl, pyrimidinyl, pyrrolyl, thienyl, oxazolyl, isoxazolyl, thiazolyl, pyrazolyl, triazolyl, tetrazolyl, furanyl, benzothienyl, benzofuranyl, indolyl, imidazolyl, benzimidazolyl, thiadiazolyl. R7, R8, R9, R10 = independently H, halo, OH, SH, CN, NO2, N3, N2+BF4-, alkyl, alkoxy, alkylthio, sulfinyl, sulfonyl, C1-C6-alkyl, C1-C6-perfluoroalkyl, acyl, alkoxycarbonyl, carbamoyl, acyloxy, alkoxycarbonyloxy, carbamoyloxy, amino, acylamino, ureido, sulfamoyl, sulfonylamino, two of R7, R8, R9, and R10 when on adjacent carbons join together to form a methylenedioxy bridge. N = 0-2. More than 500 example preps. are given, but no preparative method is claimed and no data relating to the usefulness of the compds. are given.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 23 OF 45 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2000:891563 CAPLUS <<LOGINID::20090203>>

DOCUMENT NUMBER: 134:42130

TITLE: Benzimidazole derivatives as tyrosine kinase inhibitors

INVENTOR(S): Bilodeau, Mark T.; Cunningham, April M.; Hungate, Randall W.; Koester, Timothy J.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: U.S., 21 pp., Cont.-in-part of U.S. Ser. No. 143,881, abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	---	-----	-----	-----
US 6162804	A	20001219	US 1999-266331	19990311 <--
PRIORITY APPLN. INFO.:			US 1997-60151P	P 19970926 <--
			US 1998-143881	B2 19980831 <--
OTHER SOURCE(S):	MARPAT	134:42130		

GI

/ Structure 811 in file .gra /

AB Benzimidazoles I [X = CH, N; R1 = (un)substituted Ph, thienyl, thiazolyl; R2, R3 = H, alkyl, aryl, cycloalkyl, OH, NO2, NH2, halo; R4 = (un)substituted Ph, pyridinyl, pyrimidinyl, etc.; R5 = H, alkyl, alkoxy, aryloxy, halo, NH2, NO2, etc.] were prepared as tyrosine kinase inhibitors. Thus, II was prepared in 6 steps starting from 4-bromo-1-fluoro-2-nitrobenzene and proceeding via 4'-methoxy-3-nitro-N-phenyl-4-biphenylamine. The products were inhibitors of vascular endothelial growth factor (VEGF) and inhibited VEGF-stimulated mitogenesis of human vascular endothelial cells in culture with IC50 values of 150-650 nM.

REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 24 OF 45 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1999:748315 CAPLUS <<LOGINID::20090203>>

DOCUMENT NUMBER: 131:351330

TITLE: Preparation of 1-phenylbenzimidazoles for inhibiting protein tyrosine kinase mediated cellular proliferation

INVENTOR(S): Boschelli, Diane Harris; Denny, William Alexander; Doherty, Annette Marian; Hamby, James Marino; Khatana, Sonya Shah; Kramer, James Bernard; Palmer, Brian Desmond; Showalter, Howard Daniel Hollis

PATENT ASSIGNEE(S): Warner-Lambert Company, USA

SOURCE: U.S., 25 pp.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5990146	A	19991123	US 1998-135470	19980817 <--
US 6218388	B1	20010417	US 1999-459011	19991210 <--
PRIORITY APPLN. INFO.:			US 1997-56609P	P 19970820 <--
			US 1998-135470	A3 19980817 <--
			US 1999-408630	A3 19990930 <--

OTHER SOURCE(S): MARPAT 131:351330

GI

/ Structure 812 in file .gra /

AB The title compds. [I; Ar = (un)substituted aryl; R1-R4 = H, alkyl, halo, etc.] and their pharmaceutically acceptable salts, inhibitors of protein tyrosine kinases such as FGFr and PDGFr which are useful in treating cellular proliferation, especially in treating cancer, atherosclerosis, restenosis, and psoriasis, were prepared Thus, reduction of 1-(4-nitrophenyl)benzimidazole over Raney Ni in THF afforded 79%

I.2HCl [Ar = 4-H₂NC₆H₄; R₁-R₄ = H] which showed IC₅₀ of > 10 μ M against PDGF-stimulated receptor autophosphorylation in rat aorta smooth muscle cells.

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1999:735987 CAPLUS <<LOGINID::20090203>>

DOCUMENT NUMBER: 132:107890

TITLE: A novel and expedient approach to new heterocycles containing benzothiophene,

AUTHOR(S): Bilokin, Yaroslav V.; Vasylyev, Maksym V.; Branytska, Olena V.; Kovalenko, Sergiy M.; Chernykh, Valentyn P.

CORPORATE SOURCE: Department of Organic Chemistry, The Weizmann Institute of Science, Rehovot, 76100, Israel

SOURCE: Tetrahedron (1999), 55(48), 13757-13766

CODEN: TETRAB; ISSN: 0040-4020

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB In order to obtain potent protein-tyrosine kinase inhibitors, a novel and versatile method for synthesis of heterocyclic compds. comprising 2-imino-2H-1-benzopyran, tetrahydrobenzo[b]thiophene, and carboxamide/1H-benzimidazole fragments has been developed. This method was based on the reactions of 2-imino-2H-1-benzopyrans with 2-amino-4,5,6,7-tetrahydrobenzo[b]thiophenes in glacial acetic acid. Furthermore, new heterocycles with tetrahydrobenzo[4,5]thieno[2,3-d]pyrimidine and coumarin moieties were prepared via a rearrangement of the corresponding 2-[[3-(aminocarbonyl)-4,5,6,7-tetrahydrobenzo[b]thien-2-yl]imino]-2H-1-benzopyran-3-carboxamides. The biol. activity of the compds. thus prepared was not reported.

REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 26 OF 45 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1999:451297 CAPLUS <<LOGINID::20090203>>

DOCUMENT NUMBER: 131:102288

TITLE: Bicyclic heteroaromatic compounds [quinazolinamines, pyridopyrimidines, and analogs] useful as protein tyrosine kinase inhibitors

INVENTOR(S): Carter, Malcolm Clive; Cockerill, George Stuart; Guntrip, Stephen Barry; Lackey, Karen Elizabeth; Smith, Kathryn Jane

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 129 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9935146	A1	19990715	WO 1999-EP48	19990108 <--
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW			

RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,					
FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,					
CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
CA 2317589	A1	19990715	CA 1999-2317589	19990108	<--
CA 2317589	C	20070807			
AU 9922783	A	19990726	AU 1999-22783	19990108	<--
AU 749549	B2	20020627			
BR 9906904	A	20001017	BR 1999-6904	19990108	<--
EP 1047694	A1	20001102	EP 1999-902522	19990108	<--
EP 1047694	B1	20040707			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,					
IE, SI, LT, LV, FI, RO					
TR 200002015	T2	20010122	TR 2000-2015	19990108	<--
HU 2001000941	A2	20010928	HU 2001-941	19990108	<--
EE 200000411	A	20011217	EE 2000-411	19990108	<--
EE 4616	B1	20060417			
JP 2002500225	T	20020108	JP 2000-527545	19990108	<--
JP 3390741	B2	20030331			
JP 2002326990	A	20021115	JP 2002-92102	19990108	<--
NZ 505456	A	20030630	NZ 1999-505456	19990108	<--
CN 1134437	C	20040114	CN 1999-803887	19990108	<--
AT 270670	T	20040715	AT 1999-902522	19990108	<--
EP 1454907	A1	20040908	EP 2004-76762	19990108	<--
EP 1454907	B1	20081217			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,					
IE, SI, LT, LV, FI, RO, MK, CY, AL					
EP 1460072	A1	20040922	EP 2004-76761	19990108	<--
EP 1460072	B1	20060405			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,					
IE, SI, LT, LV, FI, RO, MK, CY, AL					
PT 1047694	T	20041130	PT 1999-902522	19990108	<--
ES 2221354	T3	20041216	ES 1999-902522	19990108	<--
AP 1446	A	20050930	AP 2000-1861	19990108	<--
W: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW					
AT 322491	T	20060415	AT 2004-76761	19990108	<--
PT 1460072	T	20060731	PT 2004-76761	19990108	<--
ES 2262087	T3	20061116	ES 2004-76761	19990108	<--
PL 192746	B1	20061229	PL 1999-341595	19990108	<--
SK 285405	B6	20070104	SK 2000-1050	19990108	<--
CZ 298047	B6	20070606	CZ 2000-2587	19990108	<--
AT 417847	T	20090115	AT 2004-76762	19990108	<--
ZA 9900172	A	20000711	ZA 1999-172	19990111	<--
TW 477788	B	20020301	TW 1999-88100388	19990112	<--
US 6727256	B1	20040427	US 2000-582746	20000630	<--
NO 2000003561	A	20000911	NO 2000-3561	20000711	<--
NO 316176	B1	20031222			
MX 2000006824	A	20010405	MX 2000-6824	20000711	<--
HR 2000000469	A1	20010630	HR 2000-469	20000712	<--
HR 2000000469	B1	20070531			
IN 2000KN00130	A	20050311	IN 2000-KN130	20000712	<--
BG 104668	A	20010430	BG 2000-104668	20000807	<--
BG 64825	B1	20060531			
HK 1031883	A1	20050304	HK 2001-102589	20010411	<--
US 20020147205	A1	20021010	US 2002-71358	20020208	<--
US 6713485	B2	20040330			
US 20030176451	A1	20030918	US 2003-342810	20030115	<--
US 20050130996	A1	20050616	US 2005-50033	20050203	<--
US 7109333	B2	20060919			
US 20070015775	A1	20070118	US 2006-532926	20060919	<--
US 20070238875	A1	20071011	US 2007-752582	20070523	<--
PRIORITY APPLN. INFO.:			GB 1998-569	A	19980112 <--

EP 1999-902522	A3 19990108 <--
JP 2000-527545	A3 19990108 <--
WO 1999-EP48	W 19990108 <--
US 2000-582746	A1 20000630 <--
US 2003-342810	A1 20030115 <--
US 2005-50033	A1 20050203

OTHER SOURCE(S): MARPAT 131:102288
GI

/ Structure 813 in file .gra /

AB Title compds. I and their salts and solvates are disclosed [wherein X = N or CH; Y = CR1 and V = N; or Y = N and V = CR1; or Y = CR1 and V = CR2; or Y = CR2 and V = CR1; R1 = MeSO₂CH₂CH₂NHCH₂-Ar-, wherein Ar = (un)substituted Ph, furan, thiophene, pyrrole, or thiazole; R2 = H, halo, OH, C1-4 alkyl, C1-4 alkoxy, C1-4 alkylamino, or di[C1-4 alkyl]amino; U = Ph, pyridyl, 3H-imidazolyl, indolyl, isoindolyl, indolinyl, isoindolinyl, 1H-indazolyl, 2,3-dihydro-1H-indazolyl, 1H-benzimidazolyl, 2,3-dihydro-1H-benzimidazolyl or 1H-benzotriazolyl group, substituted by R3 and optionally by R4; R3 = (halo)benzyl, benzoyl, pyridylmethyl, pyridylmethoxy, phenoxy, benzyloxy, halo-, dihalo- and (halo)benzyloxy, PhSO₂, (trihalomethyl)benzyl, (trihalomethyl)benzyloxy, (R5)n-substituted phthalimido; R4 = OH, halo, C1-4 alkyl, C2-4 alkenyl, C2-4 alkynyl, C1-4 alkoxy, (di)(alkyl)amino, C1-4 alkylthio, etc.; R5 = halo, C1-4 alkyl, C1-4 alkoxy; n = 0-3]. Also disclosed are methods for their preparation, pharmaceutical compns. containing them, and their use in medicine. The compds. are inhibitors of protein tyrosine kinases, and as such are useful in the treatment of cancer, psoriasis, and rheumatoid arthritis. Over 40 title compds. and numerous intermediates were prepared For example, 4,6-dichloropyrido[3,4-d]pyrimidine was condensed with 4-[(4-fluorobenzyl)oxy]aniline at the 4-chloro position, followed by Pd-catalyzed coupling with 5-(1,3-dioxolan-2-yl)-2-(tributylstannyl)furan at the 6-chloro position, hydrolysis of the dioxolane protecting group to give an aldehyde, reductive amination of the latter with MeSCH₂CH₂NH₂, and finally S-oxidation with Oxone and acidification, to give title salt II.2HCl. In a methylene blue growth inhibition assay against 5 tumor cell lines, II.2HCl had an IC₅₀ of < 5 μM against 4 of them, and an IC₅₀ of 25-50 μM against the 5th.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 27 OF 45 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1999:233907 CAPLUS <<LOGINID::20090203>>

DOCUMENT NUMBER: 130:252359

TITLE: Preparation of benzimidazoles and imidazopyridines as tyrosine kinase inhibitors

INVENTOR(S): Bilodeau, Mark T.; Hungate, Randall W.; Cunningham, April M.; Koester, Timothy J.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 40 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9916755	A1	19990408	WO 1998-US19789	19980922 <--
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2303830	A1	19990408	CA 1998-2303830	19980922 <--
AU 9895003	A	19990423	AU 1998-95003	19980922 <--
AU 744939	B2	20020307		
EP 1017682	A1	20000712	EP 1998-948427	19980922 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
JP 2001518470	T	20011016	JP 2000-513841	19980922 <--
PRIORITY APPLN. INFO.:			US 1997-60151P	P 19970926 <--
			GB 1998-10544	A 19980515 <--
			WO 1998-US19789	W 19980922 <--
OTHER SOURCE(S):		MARPAT 130:252359		
GI				

/ Structure 814 in file .gra /

AB The title compds. I [X = N, C; R1 = H, alkyl, cycloalkyl, halo, etc.; R2, R3 = H, alkyl, aryl, OH, etc.; R4 = H, alkyl, alkoxy, alkenyl, etc.; R5 = H, alkyl, halo, etc.], which inhibit tyrosine kinase enzymes, were prepared E.g., 1-phenyl-5-(4-methoxyphenyl)benzimidazole was prepared

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 28 OF 45 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 1998:780635 CAPLUS <<LOGINID::20090203>>
 DOCUMENT NUMBER: 130:192242
 TITLE: BDNF-dependent enhancement of exocytosis in cultured cortical neurons requires translation but not transcription
 AUTHOR(S): Bradley, John; Sporns, Olaf
 CORPORATE SOURCE: The Neurosciences Institute, San Diego, CA, 92121, USA
 SOURCE: Brain Research (1999), 815(1), 140-149
 CODEN: BRREAP; ISSN: 0006-8993
 PUBLISHER: Elsevier Science B.V.
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB Neurotrophic factors, such as brain-derived neurotrophic factor (BDNF), are involved in acute modulation of synaptic plasticity. Different modes of action of BDNF have been described with time courses ranging from seconds to hours, but the sequence of cellular processes responsible for BDNF-dependent modulation of synaptic plasticity is unknown. We have used optical imaging of the styryl dye, FM1-43, which selectively labels synaptic vesicles, to investigate potential presynaptic effects of BDNF. Addition of BDNF to cultured cortical neurons for 3 h produced a significant enhancement of exocytosis upon modest depolarization. BDNF had no effect on exocytosis either immediately or after incubation for 30 min. BDNF-dependent enhancement of exocytosis was blocked by the tyrosine kinase inhibitor, K252a, but not by K252b, consistent with signaling via the TrkB receptor. Having

demonstrated that the BDNF-dependent enhancement of synaptic vesicle release was present only after 1 h, we investigated whether de novo gene transcription and/or protein synthesis were involved. Addition of the inhibitors of RNA synthesis, actinomycin D, or 5,6-dichloro-1- β -D-ribofuranosyl benzimidazole, did not affect the enhancement of exocytosis produced by BDNF. However, the effect of BDNF was blocked by the inhibitors of translation, cycloheximide or anisomycin. Our results indicate a rapid BDNF-dependent enhancement of neurotransmitter release that requires translation but not transcription.

REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 29 OF 45 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1998:678728 CAPLUS <<LOGINID::20090203>>

DOCUMENT NUMBER: 130:47443

TITLE: Induction of cytochrome P-450 1A1 by omeprazole in human HepG2 cells is protein tyrosine kinase-dependent and is not inhibited by α -naphthoflavone

AUTHOR(S): Kikuchi, Hideaki; Hossain, Anwar; Yoshida, Hiroyuki; Kobayashi, Shunsuke

CORPORATE SOURCE: Department of Molecular Genetics, Tohoku University, Sendai, 980-8575, Japan

SOURCE: Archives of Biochemistry and Biophysics (1998), 358(2), 351-358

CODEN: ABBIA4; ISSN: 0003-9861

PUBLISHER: Academic Press

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Benzimidazole compds., such as omeprazole and thiabendazole, are a different type of CYP1A1 inducer from Ah receptor-ligands, such as TCDD (2,3,7,8-tetrachlorodibenzo-p-dioxin) and 3-methylcholanthrene. In HepG2 cells, the commonly used tyrosine kinase inhibitors, herbimycin-A and a series of tyrphostins, inhibited the induction of CYP1A1 produced by treatment with TCDD. Genistein, another type of tyrosine kinase inhibitor, inhibited the induction of CYP1A1 whether it was produced by omeprazole or TCDD; however, this inhibition was caused by a dual effect of genistein, that is an anti-tyrosine kinase and an anti-topoisomerase I effect. An antagonist of Ah receptor, α -naphthoflavone (0.1-10 μ M), and 3'-methoxy-4'-aminoflavone (1 μ M), did not inhibit the induction of CYP1A1 produced in HepG2 cells by omeprazole, but both of them did inhibit that produced by TCDD. In one of a number of human lung tumor cell lines, S6T, the inducibility of CYP1A1 was high by TCDD, whereas the inducibility by omeprazole was low. Thus, omeprazole appears to induce CYP1A1 by initiating a protein tyrosine kinase-mediated signal transduction pathway, a different pathway from that inhibited by TCDD. (c) 1998 Academic Press.

REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> FIL STNGUIDE

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

212.25

212.69

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.77	213.46
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-23.78

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FILE COVERS 1907 - 3 Feb 2009 VOL 150 ISS 6

FILE LAST UPDATED: 2 Feb 2009 (20090202/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

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=> e staehle w/au

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E2	11	STAEHLE VOLKER/AU
E3	0 -->	STAEHLE W/AU
E4	1	STAEHLE WILHELM/AU
E5	32	STAEHLE WOLFGANG/AU
E6	4	STAEHLER A/AU
E7	1	STAEHLER ANNE JULIA/AU
E8	12	STAEHLER ARTHUR/AU
E9	1	STAEHLER C F/AU
E10	4	STAEHLER CORD/AU
E11	9	STAEHLER CORD F/AU
E12	3	STAEHLER CORD FRIEDRICH/AU

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L9 32 "STAEHLE WOLFGANG"/AU

=> e jonczyk a/au

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E2          1      JONCZY MACIEJ/AU
E3         46 --> JONCZYK A/AU
E4          1      JONCZYK AGNES/AU
E5        104      JONCZYK ALFRED/AU
E6          1      JONCZYK ALFRED DR/AU
E7          2      JONCZYK ANDREZEJ/AU
E8        125      JONCZYK ANDRZEJ/AU
E9          12      JONCZYK ANNA/AU
E10         3      JONCZYK ANTONI/AU
E11         1      JONCZYK BARBARA/AU
E12         2      JONCZYK BEATA/AU

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      )

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E2          2      RAUTENBERG UTE/AU
E3         16 --> RAUTENBERG W/AU
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E5         34      RAUTENBERG WILFRIED/AU
E6          2      RAUTENBERGER RALF/AU
E7          1      RAUTENBERGER WILFRIED/AU
E8          5      RAUTENBURG H W/AU
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E10         1      RAUTENBUSCH W/AU
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=> s 19 or 110 or 111
L12       203 L9 OR L10 OR L11

=> s 13 (L) (benzoxazol? or benzimidazol?)
      12213 BENZOXAZOL?
      36828 BENZIMIDAZOL?
L13       42 L3 (L) (BENZOXAZOL? OR BENZIMIDAZOL?)

=> s 112 and 113
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      603909 INHIBITOR
      595724 INHIBITORS
      935378 INHIBITOR
      (INHIBITOR OR INHIBITORS)
L15       12631 INHIBITOR (5A) L1

=> s 112 and 115
L16        21 L12 AND L15

=> d ti abs 1-21

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L16 ANSWER 1 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
TI Preparation of 1-phenyl-3-(2H-pyrazol-3-yl)ureas as Tie-2 and Raf
kinase inhibitors for treating tumor
GI

/ Structure 815 in file .gra /

AB Title compds. [I; R = (un)substituted mono- or bicyclic aromatic heterocycle containing 1-4 N-, O-, and/or S-atoms; X = bond, CH₂, NH, O, S; R₁ = (un)substituted Ph, R₂ = A, R₁, (un)substituted mono- or bicyclic aromatic heterocycle containing 1-4 N-, O-, and/or S-atoms; A = (F-, or Cl-substituted) alkyl; R₃, R₄ = H, A, halo, OH, OA, cyano], were prepared as Tie-2 and Raf kinase inhibitors (no data). Thus, a mixture of 5-tert-butyl-2p-tolyl-2H-pyrazol-3-ylamine (preparation given) and 4-nitrophenyl chloroformate in CH₂Cl₂ was stirred with pyridine for 2 h at room temperature followed by treatment with 9-(aminophenyl)-9H-purin-6-ylamine (preparation given) and N-ethyldiisopropylamine to give after stirring over night 1-[4-(6-aminopurin-9-yl)phenyl]-3-(5-tert-butyl-2p-tolyl-2H-pyrazol-3-yl)urea.

L16 ANSWER 2 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
TI Preparation of purine derivatives as receptor-tyrosine
kinase activity inhibitors
GI

/ Structure 816 in file .gra /

AB The invention relates to compds. I [R₁ = H, A; R₂, R₃ = H, A, Hal, OH, OA, CN; R₄ = Ar, Het₁; R₅, R₆ = H, A; X = OH, NH₂; A = C₁-10-alkyl, optionally substituted with 1 to 7 F or Cl; Ar = (un)substituted Ph optionally substituted with 1 to 3 from the following, Hal, A, OA, OH, C₂-6-alkenyl, C₂-6-alkynyl, NO₂, NR₅R₆, C(:O)NR₅R₆, CO₂H, CO₂A, CN, CHO, COA, Ph, (CH₂)_nHet, O(CH₂)_nHet, NH(CH₂)_nHet, O(CH₂)_nCyc, N(CH₂)_nCyc, O(CH₂)_mNR₅R₆, NR₁(CH₂)_mNR₅R₆, O(CH₂)_mNR₁O(CH₂)_mNR₅R₆; Het = (un)saturated or aromatic, mono-
or bicyclic heterocycle containing 1 to 4 N, O and S and optionally substituted with 1 to 3 Hal, A, OA, Ph, CO₂A, CN, CC(:O); Het₁ = mono- or bicyclic, aromatic heterocycle containing 1 to 4 N, O and S and optionally substituted
with 1 to 3 Hal, A, OA, OH, C₂-6-alkenyl, C₂-6-alkynyl, NO₂, NR₅R₆, C(:O)NR₅R₆, CO₂H, CO₂A, CN, CHO, COA, Ph, (CH₂)_nHet, O(CH₂)_nHet, NH(CH₂)_nHet, O(CH₂)_nCyc, N(CH₂)_nCyc, O(CH₂)_mNR₅R₆, NR₁(CH₂)_mNR₅R₆, O(CH₂)_mNR₁O(CH₂)_mNR₅R₆; Cyc = C₃-7-cycloalkyl; Hal = F, Cl, Br, I; n = 0, 1, 2, 3, 4; m = 1, 2, 3, 4] or their pharmaceutically acceptable salts, solvates, tautomers, stereoisomers or their mixts., which are inhibitors of tyrosine kinases, in particular TIE-2, and the Raf-kinases and can be also be used for treating tumors. The procedure for the preparation of I comprises: carbamoylation of purinylanilines II with (a) isocyanates, R₄NCO; or (b) carbamoylation by sequential addition of chloroformates followed by amines R₄NH₂; or, (c) by solvolysis or hydrogenolysis of protected derivs. of II and its salts. Thus, 1-[4-(6-aminopurin-9-yl)phenyl]-3-[3-(trifluoromethyl)phenyl]urea [I; R₁ = R₂ = R₃ = H; R₄ = C₆H₄CF₃-3; X = NH₂] was prepd, from 9-(4-aminophenyl)adenine [II; R₁ = R₂ = R₃ = H; X = NH₂] via carbamoylation with 3-CF₃C₆H₄NCO in CH₂Cl₂ containing Et₃N. The enzyme inhibiting activity of I [R₁ = R₂ = R₃ = H; R₄ = C₆H₄CF₃-3; X = NH₂] was

determined [IC50 = 14 nmol/L vs. Tyrosine kinase receptor Tie-2 and IC50 = 3.6 nmol/L vs. Vascular endothelial growth factor receptors (VEGFR)].

L16 ANSWER 3 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
TI Preparation of (1-phenyl-1H-pyrazol-5-yl)ureas as TIE-2 and Raf
Kinase inhibitors
GI

/ Structure 817 in file .gra /

AB Title compds. I [R1 = (un)substituted phenyl; R2 = A, R1, Het; A = alkyl; Het = aromatic heterocycle] and their pharmaceutically acceptable salts and formulations were prepared For example, phenylpyrazolylurea II was prepared from (4-fluorophenyl)hydrazine in 2-steps. Compds. I are claimed to be inhibitors of TIE-2 and Raf Kinases.

L16 ANSWER 4 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
TI Preparation of pyrrolo[3,2,1-ij]quinolines as tyrosine
kinase and Raf kinase inhibitors
GI

/ Structure 818 in file .gra /

AB Title compds. I [X = CH, N; R1 = halo, CN, NO2, etc.; R2 = Ar, OR, NHR, etc.; R3 = (CH2)nAr, (CH2)nHet; n = 0-4; R = H, A, Ar, etc.; A = (un)substituted alkyl with provisos] and their pharmaceutically acceptable salts and formulations were prepared For example, three-component coupling of 5-fluoroindoline, 1-vinyl-2-pyrrolidone and 3-methoxybenzaldehyde afforded claimed pyrroloquinoline II. In insulin like growth factor I receptor kinase assays, 45-examples of compds. I exhibited IC50 values ranging from 0.0019-2.9x10⁻⁵ mol/L.

L16 ANSWER 5 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
TI Preparation of 4-aminopyridopyrimidinones as TIE-2 and Raf
Kinase inhibitors
GI

/ Structure 819 in file .gra /

AB Title compds. I [R1 = Ar, Het; R3 = H, A; X = phenylene with provisos; A = alkyl; Ar = (un)substituted aromatic carbocycle; Het = aromatic heterocycle with 1-4 N, O, or S atoms] and their pharmaceutically acceptable salts and formulations were prepared For example, condensation of aniline II and 2-fluoro-5-(trifluoromethyl)phenylisocyanate afforded claimed pyridopyrimidinone III. Compds. I are claimed to be inhibitors of TIE-2 and Raf Kinases.

L16 ANSWER 6 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
TI Preparation of phenylureas as TIE-2 and Raf kinase
inhibitors
GI

/ Structure 820 in file .gra /

AB Title compds. I [R1, R2, R4, R6, R7, R8 = halo, CN, NO2, etc.; R3 = halo, OR; R5 = H, A; R = H, A, etc.; A = (un)substituted alkyl with provisos] and their pharmaceutically acceptable salts and formulations were prepared For example, condensation of aniline II and 3-trifluoromethylphenylisocyanate afforded claimed diphenylurea III. Compds. I are noted as TIE-2 and Raf kinase inhibitors (no data provided).

L16 ANSWER 7 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
TI Preparation of N,N'-diphenylureas as TIE-2 and Raf
GI kinase inhibitors

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1, R2, R4, R6, R7, R8 = halo, CN, NO2, etc.; R3 = halo, OR; R5 = H, A; R = H, A, etc.; A = (un)substituted alkyl with provisos] and their pharmaceutically acceptable salts and formulations were prepared For example, condensation of aniline II and 2-fluoro-5-trifluoromethylphenylisocyanate afforded claimed diphenylurea III. Compds. I are noted as TIE-2 and Raf kinase inhibitors (no data provided).

L16 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
TI Preparation of pyridopyrimidinyl phenyl sulfonamides as inhibitors
GI of tyrosine and Raf-kinases

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [X = (un)substituted -(CH2)n-Ph or -(CH2)-Het; n = 0-3; Het = (un)substituted, (un)saturated or aromatic heterocycle containing 1-4 heteroatoms selected from N, O or S; R1 and R2 independently = H, halo, OH, etc.; R3 and R4 independently = H or (un)substituted alkyl] and their pharmaceutically acceptable salts, are prepared and disclosed as inhibitors of tyrosine and Raf-kinases. Thus, e.g., II was prepared by coupling of 4-Amino-8H-pyrido[2,3-d]pyrimidin-5-one with 1-fluoro-4-nitrobenzene followed by reduction and subsequent sulfonylation using 2,3-dichlorobenzenesulfonyl chloride. The activity of I towards VEGF receptor kinase was evaluated using scintillation assay (no data). I as inhibitors of tyrosine and Raf-kinases should prove useful in the treatment of cancers such as but not limited to bladder, stomach and prostate. Pharmaceutical compns. comprising I are disclosed.

L16 ANSWER 9 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN
TI Preparation of imidazole derivatives as inhibitors of
GI tyrosine kinases and Raf kinases

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1, R2, R3, R4 and R5 independently = H, OH, NH₂, etc. or two neighboring R1, R2, R3, R4 and R5 together may form -O-CH₂-CH₂-, -O-CH₂-O- or -O-CH₂-CH₂-O-; R6 and R7 independently = H, OH, CN, etc.; R8 = CN, COOH, CONH₂, etc.; R9, R10 and R11 independently = H or A; A = (un)substituted alkyl; X and X1 independently = NH or missing] and their pharmaceutically acceptable salts, are prepared and disclosed as inhibitors of tyrosine kinases and Raf kinases. Thus, e.g., II was prepared by coupling of 2-methoxy-5-trifluoromethylaniline with 4-nitrophenyl chloroformate followed by deprotection and subsequent cyclization using 2-amino-2-cyanoacetamide. The inhibitory activity of I towards VEGF-receptor kinase was evaluated using scintillation assays and it was revealed that compds. of the invention displayed kinase inhibitory activity (no data). I as inhibitors of tyrosine kinases and Raf kinases should prove useful in the treatment of diseases such as but not limited to lung cancer, breast cancer and arthritis. Pharmaceutical compns. comprising I are disclosed.

L16 ANSWER 10 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN

TI Preparation of triazolo[1,5-a]pyrimidines and related compounds as TIE-2 kinase inhibitors

GI

/ Structure 821 in file .gra /

AB Title compds. I [X = C, N; B = N, CN, C-CN; R1 = O, OH, NH₂, etc.; R2 = C, N with provisos; R3 = H, A, SA, etc.; A = alkyl with provisos; R4 = (CH₂)_s(Ar₁)_n-Ar; R5 = H, CH₃; Y = O, S, NH, etc.; S = 0-4; Ar = Ph, naphthyl, biphenyl; Ar₁ = phenylene, piperazindyl (sic); R6 = (CH₂)_rNH₂, (CH₂)_rNHA, (CH₂)_rNA₂, etc.; r = 0-4] and their pharmaceutically acceptable salts and formulations were prepared For example, condensation of amine II and 4,4,4-trifluoro-1-phenyl-1,3-butanedione afforded triazolo[1,5-a]pyrimidine III in 68% yield. Compds. I are claimed to be useful as TIE-2 kinase inhibitors.

L16 ANSWER 11 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN

TI Preparation of thienopyrimidines and related compounds as tyrosine kinase inhibitors

GI

/ Structure 822 in file .gra /

AB Title compds. I [R1, R2 = H, alkenyl, alkynyl, etc.; R3, R4 = H, OH, halo, etc.; X = 5-7 membered heterocyclic ring with provisos; n = 0-3] and their pharmaceutically acceptable salts were prepared For example, condensation of imidazole and chloropyrimidine II, afforded claimed thienopyrimidine III. In RAF inhibition assays, 2-examples of compds. I exhibited IC₅₀ values ranging from 4.3-5.3 μ M. Compds. I are claimed to be useful as TIE-2 and Raf kinase inhibitors.

L16 ANSWER 12 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN

TI Preparation of pyridopyrimidinones as inhibitors of tyrosine and
Raf kinases for treatment of tumors.

GI

/ Structure 823 in file .gra /

AB Title compds. [I; R1-R5 = H, A, OH, OA, alkenyl, alkynyl, NO₂, NH₂, NHA, NA₂, halo, cyano, CO₂H, CO₂A, O-Het, etc.; pairs of R1-R5 = OCH₂CH₂, OCH₂O, OCH₂CH₂O, OCF₂O, OCA₂O; R6, R7 = H, A halo, OA, cyano; R8, R9 = H, alkyl optionally interrupted by O, N; Het = mono- or bicyclic (unsatd.) (aromatic) heterocyclyl; A = (fluoro- and/or chloro-substituted) alkyl; X, X1 = NH, null], were prepared as inhibitors of tyrosine and Raf kinases (no data). Thus, 4-amino-8-(4-aminophenyl)-8H-pyrido[2,3-d]pyrimidin-5-one (preparation given) was stirred overnight with 2-fluoro-5-trifluoromethylphenyl isocyanate and Et₃N in CH₂Cl₂ to give 1-[4-(4-amino-5-oxo-5H-pyrido[2,3-d]pyrimidin-8-yl)phenyl]-3-(2-fluoro-5-trifluoromethylphenyl)urea.

L16 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN

TI Preparation of benzimidazolyls as TIE-2 tyrosine kinase
inhibitors for the treatment of tumors

GI

/ Structure 824 in file .gra /

AB Title compds. I [R = (R1)_m; R1 = (R1')_p; R2 = (R2')_q; m, p, q = 0-4; R1, R1' = Halo, OH, CN, etc.; L = CH₂, CH₂CH₂, O, etc.; R2' = halo, OH, CO₂H, etc.; E, G, M, Q, U = C or N atom with provisos] and their pharmaceutically acceptable salts and formulations were prepared For example, condensation of 4-(4-isothiocyanatophenoxy)pyridine and 4-nitro-1,2-phenylenediamine afforded claimed benzimidazol II. In TIE-2 tyrosine kinase inhibition assays, 3-examples of compds. I exhibited IC₅₀ values ranging from 5-40 + 10⁻⁷ mol/L. Compds. I are claimed to be useful as tyrosine kinase inhibitors in the treatment of tumors.

L16 ANSWER 14 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN

TI Preparation of 1,3-benzoxazols as TIE-2 kinase inhibitors

GI

/ Structure 825 in file .gra /

AB Title compds. I [A = (R1)_n; B = (R2)_m; C = X-Y-(R3)_p; R1, R2, R3 = halo, CN, NO₂, etc.; X = O, S, SO₂, etc.; n, m, p = 1-4; A = (un)substituted cyclic alkyl with provisos]and their pharmaceutically acceptable salts and formulations were prepared For example, condensation of 4-(pyridin-4-ylsulfanyl)phenylamine and 5-chloro-7-nitro-3H-benzoxazol-2-thione, e.g., prepared from diimidazol-1-ylmethanthione and 2-amino-4-chloro-6-nitrophenol, afforded claimed benzoxazol II. In a TIE-2 kinase inhibition assay, the IC₅₀ value of benzoxazol II was 310 nM. Compds. I are claimed to be useful as TIE-2, VEGFR and the Raf kinase inhibitors.

L16 ANSWER 15 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN

TI Preparation of benzylbenzimidazoles as inhibitors of

tyrosine kinases

GI

/ Structure 826 in file .gra /

AB Benzylbenzimidazoles I [R1, R2 = R, halogen, CN, NO2, NHR, NR2, NHCOR, NHSO2R, OR, COR, CONHR, SCF3, SO3R, SO2R, SO2NHR, SO2NR2, SR, CO2H, CO2A; R22 = OCH2O, OCH2CH2O; R = H, A, Ar, (CH2)nAr, (CH2)nHet; n = 1-3; Ar = (un)substituted Ph, naphthyl; A = (un)substituted alkyl, heteroalkyl, alkenyl; Het = (un)substituted heterocyclic; m = 0-4; p = 0-5] were prepared as inhibitors of tyrosine kinases, particularly TIE-2, VEGFR, PDGFR, FGFR and/or FLT/KDR, for the treatment of tumors. Thus, 4,3-F(O2N)C6H3CHO was converted to 4,3-F(O2N)C6H3CO2H and bound to polymer support, followed by reduction to the amine, reaction with 4-MeOC6H4CH2NH2, release from the polymer, and reduction to give I [R1 = 5-(CH2)3OH, R2 = 4-OMe]. I [R1 = 4-(2,3-Cl2C6H3SO2NH), R2 = 5-(CH2)3OH] had IC50 for inhibition of TIE-2 320 nM.

L16 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN

TI Preparation of 2-aminobenzimidazoles as TIE-2 and Raf kinase inhibitors for the treatment of tumors

GI

/ Structure 827 in file .gra /

AB Title compds. I [R1 = (R4)m; R2 = (R4')p; R3 = L-Y; R4, R4' = halo, OH, CN, etc.; L = CH2, CH2CH2, O, etc.; Y = heterocycle; m, p = 0-4] and their pharmaceutically acceptable salts were prepared. For example, condensation of 4-fluoronitrobenzene and isothiocyanate II, e.g., prepared from 5-hydroxy-2,1,3-benzothiadiazole in 3-steps, afforded aminobenzimidazole III. In TIE-2 tyrosine kinase receptor inhibition assays, 4-examples of compds. I exhibited IC50 values ranging from 0.22-0.39 μ M, e.g., the IC50 value of aminobenzimidazole III was 0.22 μ M. Compds. I are claimed to be useful for the treatment of tumors via the inhibition of TIE-2 and Raf kinases.

L16 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN

TI Preparation of heteroaryl-substituted diarylureas as tyrosine kinase inhibitors

AB Twenty-eight title compds. were claimed. Thus, 5-(4-aminophenoxy)benzo-1,2,5-thiadiazole (preparation given), 2-fluoro-5-trifluoromethylphenyl isocyanate, and Et3N were stirred in CH2Cl2 to give 1[4-(benzo-1,2,5-thiadiazol-5-yloxy)phenyl]-3-(2-fluoro-5-trifluoromethylphenyl)urea as the trifluoroacetate. The latter inhibited TIE-2 and RAF kinase with IC50 = 57 nM and 220 nM, resp.

L16 ANSWER 18 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN

TI Preparation of heteroaryl chromenones as inhibitors of tyrosine kinases and/or Raf kinases.

GI

/ Structure 828 in file .gra /

AB Title compds. [I; R1-R3 = H, OH, OA, PhO, Ar, O2CA, SO2H, SO3A, OSO2A, SO2A, halo, CO2H, CO2A, CONH2, NHSO2A, COA, CHO, SO2NH2; R1R2 = OCH2O,

OCH₂CH₂O; Ar = (substituted) (unsatd.) (aromatic) mono- or binuclear heterocyclyl; A = (fluorinated) alkyl; Ar = (substituted) Ph, naphthyl, biphenyl], were prepared Thus, 1-methylimidazole in THF at -78° was treated with BuLi and then with 6-hydroxy-2-ethoxycarbonylchromen-4-one (preparation given) in THF followed by stirring for 1 h to give 6-hydroxy-2-(1-methyl-1H-imidazol-2-carbonyl)chromen-4-one. The latter inhibited Raf with IC₅₀ >1.0 μM.

L16 ANSWER 19 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN

TI Preparation of oxadiazolylchromones as modulators of tyrosine kinase signal transduction.

GI

/ Structure 829 in file .gra /

AB Title compds. [I; R = A, pyridyl, (substituted) Ph; X = H, OH, PhO, OA, O₂CA, SO₃H, OSO₃H, OSO₃A, halo, CO₂H, CO₂A, CONH₂, NHSO₂A, COA, CHO, SO₂NH₂, etc.; A = alkyl, fluoroalkyl; n = 1-4], were prepared Thus, 2,5-dihydroxyacetophenone and di-Et oxalate were heated 3 h at 80° in EtOH to give 6-hydroxy-2-ethoxycarbonylchromone. This was refluxed with aqueous HCl in HOAc to give 6-hydroxychromon-2-carboxylic acid. The latter in THF at -10° was treated with Et₃N and iso-Bu chloroformate; after stirring for 1 h, 4-tert-butylbenzaloxime in THF was added followed by stirring for 30 min. at room temperature and at reflux for 90 min. to give 6-hydroxy-2-[3-(4-tert-butylphenyl)-1,2,4-oxadiazol-5-yl]chromone. The latter inhibited Tie2 receptor tyrosine kinase with IC₅₀ >10 μM.

L16 ANSWER 20 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN

TI Preparation of 2-benzoylchromone derivatives as inhibitors of the tyrosine kinase

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB New compds. I [R = OH, OA, OPh, Ar, OC(:O)A, SO₃H, SO₃A, OSO₃H, OSO₃A, OSO₂A, SO₂A, halogen (F, Cl, I, Br), CO₂H, CO₂A, CONH₂, NHSO₂A, COA, CHO, SO₂NH₂; RR = OCH₂O, OCH₂CH₂O; A = (un)branched C₁-10-alkyl, C₁-10-fluoroalkyl; Ar = (un)substituted Ph; X = OH; XX = OCH₂O, OCH₂CH₂O; n = 1 - 4; m = 1 - 5], their pharmaceutically acceptable derivs., solvates and stereoisomers, are inhibitors of the tyrosine kinase and can for the treatment by tumors, to the neuroprotection and for the protection of the stress proteins of the skin is used. The procedure for the preparation of I is characterized by: (a) hydroxyacetophenones II are cyclized with AOC(:O)C(:O)OA (A = C₁-6-alkyl) to chromones III; (b) hydrolysis of III to acid IV; (c) chlorination to acid chloride V; (d) Friedel-Crafts acylation of PhRm. Thus, 5-Hydroxy-2-(2,4-dihydroxybenzoyl)chromone (VI) was prepared from 2,6-dihydroxyacetophenone via cyclocondensation with (EtO₂C)₂, hydrolysis with aqueous HCl in MeCO₂H, chlorination with (COCl)₂ in CH₂Cl₂ containing catalytic DMF, then Friedel-Crafts acylation of resorcinol in THF containing AlCl₃. Several drug dosage formulations are presented.

L16 ANSWER 21 OF 21 CAPLUS COPYRIGHT 2009 ACS on STN

TI Pharmaceutical preparation containing a cyclic peptide and a chemotherapeutic agent or an angiogenesis inhibitor

AB A pharmaceutical preparation containing the integrin antagonist cyclo(Arg-Gly-Asp-D-Phe-N-methylvalyl) (I) and/or salt thereof, ≥ 1 chemotherapeutic agent and/or salt thereof, and/or an angiogenesis inhibitor and/or salt thereof is useful for treatment of pathol. angiogenic disorders, thrombosis, cardiac infarct, coronary heart disease, arteriosclerosis, tumors, osteoporosis, inflammations, and infections. These agents may be administered as a combined preparation, sep. but simultaneously, or sequentially. Among the chemotherapeutic agents usable in combination with I are alkylating agents, antibiotics, antimetabolites, immunomodulators, hormones, hormone antagonists, mustard gas derivs., alkaloids, matrix metalloproteinase inhibitors, and protein kinase inhibitors. Thus, in mice 8-10 wk old inoculated with Lewis lung carcinoma cells on day 0, treatment with I (30 mg/kg/day i.p. beginning on day 4) and 5-fluorouracil (30 mg/kg/day i.p. beginning on day 7) slowed tumor growth.

=> d his

(FILE 'HOME' ENTERED AT 10:31:41 ON 03 FEB 2009)

FILE 'CAPLUS, USPATFULL, BIOSIS, DISSABS' ENTERED AT 10:32:51 ON 03 FEB 2009

L1 133959 S (RAF OR TYR OR TYROSINE) (A) KINASE
L2 31230 S INHIBITOR (5A) L1
L3 22162 S L2/TI OR L2/AB
L4 96009 S L3 AND BENZOXAZOL? OR BENZIMIDAZOL?
L5 363 S L3 AND (BENZOXAZOL? OR BENZIMIDAZOL?)
L6 285 S L5 AND (AY<2005 OR PY<2005 OR PRY<2005)
L7 69 S L3 (L) (BENZOXAZOL? OR BENZIMIDAZOL?)
L8 45 S L7 AND (AY<2005 OR PY<2005 OR PRY<2005)

FILE 'STNGUIDE' ENTERED AT 10:53:01 ON 03 FEB 2009

FILE 'CAPLUS' ENTERED AT 10:59:47 ON 03 FEB 2009

E STAEHLE W/AU
L9 32 S E5
E JONCZYK A/AU
L10 151 S E3 OR E5-E6
E RAUTENBERG W/AU
L11 50 S E3 OR E5
L12 203 S L9 OR L10 OR L11
L13 42 S L3 (L) (BENZOXAZOL? OR BENZIMIDAZOL?)
L14 2 S L12 AND L13
L15 12631 S INHIBITOR (5A) L1
L16 21 S L12 AND L15

=> log

ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF
LOGOFF? (Y)/N/HOLD:y

(FILE 'HOME' ENTERED AT 10:31:41 ON 03 FEB 2009)

FILE 'CAPLUS, USPATFULL, BIOSIS, DISSABS' ENTERED AT 10:32:51 ON 03 FEB 2009

L1 133959 SEA SPE=ON ABB=ON PLU=ON (RAF OR TYR OR TYROSINE) (A)
KINASE
L2 31230 SEA SPE=ON ABB=ON PLU=ON INHIBITOR (5A) L1
L3 22162 SEA SPE=ON ABB=ON PLU=ON L2/TI OR L2/AB
L4 96009 SEA SPE=ON ABB=ON PLU=ON L3 AND BENZOXAZOL? OR BENZIMIDAZOL?

L5 363 SEA SPE=ON ABB=ON PLU=ON L3 AND (BENZOXAZOL? OR BENZIMIDAZOL
 ?)
 L6 285 SEA SPE=ON ABB=ON PLU=ON L5 AND (AY<2005 OR PY<2005 OR
 PRY<2005)
 L7 69 SEA SPE=ON ABB=ON PLU=ON L3 (L) (BENZOXAZOL? OR BENZIMIDAZOL
 ?)
 L8 45 SEA SPE=ON ABB=ON PLU=ON L7 AND (AY<2005 OR PY<2005 OR
 PRY<2005)
 D 40-45 IBIB ABS
 D 30-39 IBIB ABS
 D 1-29 IBIB ABS

FILE 'STNGUIDE' ENTERED AT 10:53:01 ON 03 FEB 2009

FILE 'CAPLUS' ENTERED AT 10:59:47 ON 03 FEB 2009

E STAEHLE W/AU
 L9 32 SEA SPE=ON ABB=ON PLU=ON "STAEHLE WOLFGANG"/AU
 E JONCZYK A/AU
 L10 151 SEA SPE=ON ABB=ON PLU=ON "JONCZYK A"/AU OR ("JONCZYK
 ALFRED"/AU OR "JONCZYK ALFRED DR"/AU)
 E RAUTENBERG W/AU
 L11 50 SEA SPE=ON ABB=ON PLU=ON "RAUTENBERG W"/AU OR "RAUTENBERG
 WILFRIED"/AU
 L12 203 SEA SPE=ON ABB=ON PLU=ON L9 OR L10 OR L11
 L13 42 SEA SPE=ON ABB=ON PLU=ON L3 (L) (BENZOXAZOL? OR BENZIMIDAZOL
 ?)
 L14 2 SEA SPE=ON ABB=ON PLU=ON L12 AND L13
 L15 12631 SEA SPE=ON ABB=ON PLU=ON INHIBITOR (5A) L1
 L16 21 SEA SPE=ON ABB=ON PLU=ON L12 AND L15
 D TI ABS 1-21
 D 15 IBIB

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